

chain nodes :

18 19 20 21 22 24

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

1-21 6-22 15-18 18-19 18-20 20-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-14 9-10
11-12 12-13 13-14 13-15 14-17 15-16 16-17

exact/norm bonds :

1-2 1-6 1-21 2-3 3-4 4-5 4-7 5-6 5-10 6-22 7-8 7-11 8-9 8-14
9-10 11-12 12-13 13-14 13-15 14-17 15-16 16-17 18-19 18-20
20-24

exact bonds :

15-18

G1:H,CH3,Et

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 24:Atom

Generic attributes :

24:
Saturation : Unsaturated

10/020,740

=> d his

(FILE 'HOME' ENTERED AT 14:30:55 ON 18 NOV 2002)

FILE 'REGISTRY' ENTERED AT 14:31:06 ON 18 NOV 2002

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 6 S L2

L4 107 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 14:31:54 ON 18 NOV 2002

L5 64 S L4

L6 ANALYZE L5 1- RN HIT : 107 TERMS

FILE 'REGISTRY' ENTERED AT 14:32:22 ON 18 NOV 2002

L7 1 S 164656-23-9/RN

L8 1 S 133216-46-3/RN

L9 1 S 139512-71-3/RN

L10 1 S 139512-72-4/RN

L11 STRUCTURE UPLOADED

L12 QUE L11

L13 62 S L12 SUB=L4 FUL

L14 45 S L4 NOT L13

FILE 'CAPLUS' ENTERED AT 14:35:32 ON 18 NOV 2002

L15 48 S L13

=> d bib abs hitstr 1-48

10/020,740

~~IN~~ 5 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2002:556104 CAPLUS

DN 137:109489

TI Compositions comprising a polypeptide and an active agent

IN Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.

PA USA

SO U.S. Pat. Appl. Publ., 34 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 2002099013	A1	20020725	US 2001-933708	20010822
PRAI	US 2000-247556P	P	{ 20001114		
	US 2000-247558P	P	{ 20001114		
	US 2000-247559P	P	20001114		
	US 2000-247560P	P	20001114		
	US 2000-247561P	P	20001114		
	US 2000-247594P	P	20001114		
	US 2000-247595P	P	20001114		
	US 2000-247606P	P	20001114		
	US 2000-247607P	P	20001114		
	US 2000-247608P	P	20001114		
	US 2000-247609P	P	20001114		
	US 2000-247610P	P	20001114		
	US 2000-247611P	P	20001114		
	US 2000-247612P	P	20001114		
	US 2000-247620P	P	20001114		
	US 2000-247621P	P	20001114		
	US 2000-247634P	P	20001114		
	US 2000-247635P	P	20001114		
	US 2000-247698P	P	20001114		
	US 2000-247699P	P	20001114		
	US 2000-247700P	P	20001114		
	US 2000-247701P	P	20001114		
	US 2000-247702P	P	20001114		
	US 2000-247797P	P	20001114		
	US 2000-247798P	P	20001114		
	US 2000-247799P	P	20001114		
	US 2000-247800P	P	20001114		
	US 2000-247801P	P	20001114		
	US 2000-247802P	P	20001114		
	US 2000-247803P	P	20001114		
	US 2000-247804P	P	20001114		
	US 2000-247805P	P	20001114		
	US 2000-247807P	P	20001114		
	US 2000-247832P	P	20001114		
	US 2000-247833P	P	20001114		
	US 2000-247926P	P	20001114		
	US 2000-247927P	P	20001114		
	US 2000-247928P	P	20001114		
	US 2000-247929P	P	20001114		
	US 2000-247930P	P	20001114		

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a

heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBut)NCA and cephalixin hydrochloride.

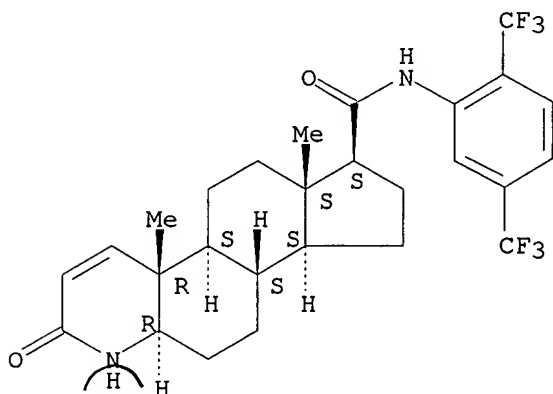
IT 164656-23-9, Dutasteride

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comps. comprising a polypeptide and an active agent)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



~~15~~ ANSWER 2 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2002:449695 CAPLUS

DN 137:20508

TI Preparation of 3-oxo-4-azasteroids via stereoselective hydrogenation

IN Davis, Roman; Millar, Alan; Sterbenz, Jeffrey Thomas

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 24 pp.

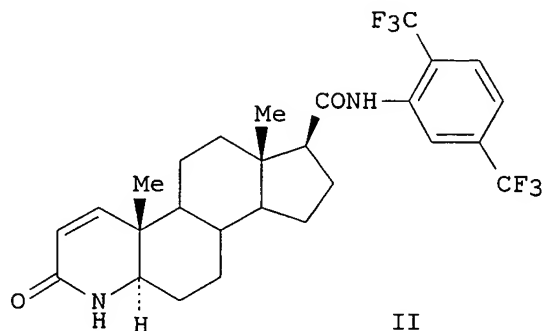
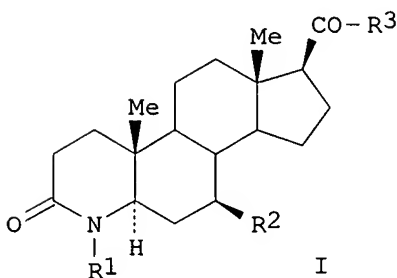
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046207	A2	20020613	WO 2001-US48173	20011102
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2000-26876	A	20001103		
OS	CASREACT 137:20508; MARPAT 137:20508				
GI					



AB An improved process for prepg. steroids, such as 3-oxo-4-azasteroids of formula I [R1 = H, OH, alkyl, aryl, heteroarom. group; R2 = H, alkyl, aryl, heteroarom. group; R3 = H, OH, alkyl, alkoxy, aryl, (substituted) NH2, etc.], is described. Comps. of this type are known to be useful in the prepn. of compds. having 5.alpha.-reductase inhibitor activity. The process comprises the hydrogenation of the corresponding steroid alkene in the presence of ammonium acetate, ammonium formate, and/or ammonium propionate and an appropriate catalyst. Thus, 3-oxo-4-aza-5-androstene-17.beta.-carboxylic acid (prepn. given) was hydrogenated with ammonium acetate and PtO2 to give 3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxylic acid with a high .alpha.:.beta. ratio. 3-Oxo-4-aza-5.alpha.-androstane-17.beta.-carboxylic acid was reacted with DDQ and bis(trimethylsilyl)trifluoroacetamide (BSTFA), then SOCl2 and

2,5-bis(trifluoromethyl)aniline to give II.

IT **164656-23-9P**

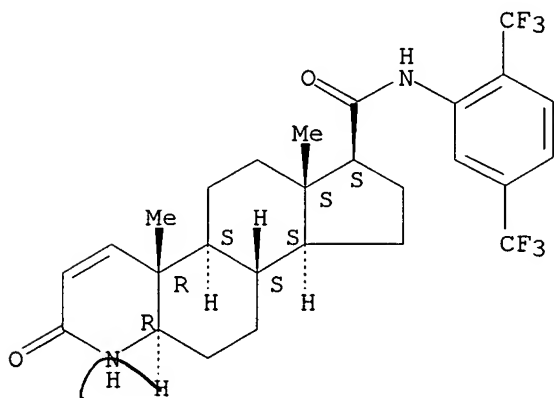
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of 3-oxo-4-azasteroids via stereoselective hydrogenation)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



~~LN~~ 5 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 2002:431469 CAPLUS

~~DN~~ 137:41205

TI Dihydrotestosterone and the concept of 5.alpha.-reductase inhibition in human benign prostatic hyperplasia

AU Bartsch, G.; Rittmaster, R. S.; Klocker, H.

CS Department of Urology, University of Innsbruck, Innsbruck, 6020, Austria

SO World Journal of Urology (2002), 19(6), 413-425

CODEN: WJURDJ; ISSN: 0724-4983

PB Springer-Verlag

DT Journal; General Review

LA English

AB A review. The development of human benign prostatic hyperplasia (BPH) clearly requires a combination of testicular androgens and the ageing process. Although the role of androgens as the causative factor for human benign prostatic hyperplasia is debated, they undoubtedly play, at least, a permissive role. The principal prostatic androgen is dihydrotestosterone. Although not elevated in human benign prostatic hyperplasia, dihydrotestosterone levels in the prostate remain at a normal level with ageing, despite a decrease in the plasma testosterone. Dihydrotestosterone (DHT) is generated by a redn. in testosterone. Two isoenzymes of 5.alpha.-reductase have been discovered. Type 1 is present in most tissues in the body where 5.alpha.-reductase is expressed, and is the dominant form in sebaceous glands. Type 2 5.alpha.-reductase is the dominant isoenzyme in genital tissues, including the prostate. Finasteride is a 5.alpha.-reductase inhibitor that has been used to treat BPH and male-pattern baldness. At doses used clin., its major effect is to suppress type 2 5.alpha.-reductase, because it has a much lower affinity for the type 1 isoenzyme. Finasteride suppresses DHT by about 70% in serum and by as much as 85%-90% in the prostate. The remaining DHT in the prostate is likely to be the result of type 1 5.alpha.-reductase. The suppression of both 5.alpha.-reductase isoenzymes with GI198745 results in greater and more consistent containment of serum dihydrotestosterone than that obsd. with a selective inhibitor of type 2 5.alpha.-reductase. Physiol. and clin. studies comparing dual 5.alpha.-reductase inhibitors, such as GI198745, with selective type 2, such as finasteride, will be needed to det. the clin. relevance of type 1 5.alpha.-reductase within the prostate. There have been two large, international multicenter, phase III trials published documenting the safety and efficacy of finasteride in treating human benign prostatic hyperplasia. Combining these two studies, randomized, controlled data are available for 12 mo. Non-controlled extension of these data from a subset of patients, who elected to continue on the drug for 3, 4 and 5 yr, are also available. Long-term medical therapy with finasteride can reduce clin. significant endpoints, such as acute urinary retention or surgery. According to the meta-anal. of six randomized, clin. trials with finasteride, finasteride is most effective in men with large prostates. A more effective dual inhibitor of type 1 and 2 human 5.alpha.-reductase may lower circulating dihydrotestosterone to a greater extent than finasteride and show advantages in treating human benign prostatic hyperplasia and other disease states that depend on dihydrotestosterone. A clin. evaluation of potent dual 5.alpha.-reductase inhibitors may help to define the relative roles of human type 1 and 2 5.alpha.-reductase in the pathophysiol. of benign prostatic hyperplasia and other androgen-dependent diseases.

IT 164656-23-9, GI198745

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

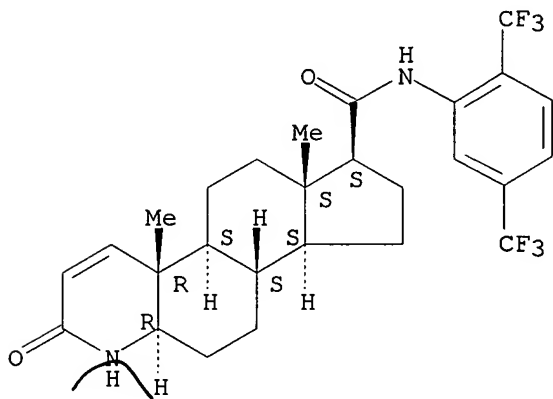
10/020,740

(finasteride and GI198745, 5.alpha.-reductase inhibitors: effect on dihydrotestosterone in benign prostatic hyperplasia patients)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 113 THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~LTS~~ ANSWER 4 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2002:332011 CAPLUS

DN 136:355482

TI Compositions comprising a polypeptide and an active agent

IN Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.

PA New River Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

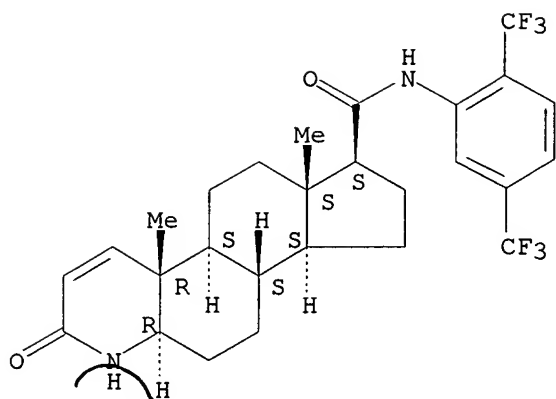
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002034237	A1	20020502	WO 2001-US26142	20010822
	W:				
					AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
	RW:				GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
	AU 2001086599	A5	20020506	AU 2001-86599	20010822
PRAI	US 2000-642820	A	20000822		
	WO 2001-US26142	W	20010822		
AB	Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBut)NCA and cephalixin hydrochloride.				
IT	164656-23-9, Dutasteride				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(compns. comprising a polypeptide and an active agent)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)				
	(CA INDEX NAME)				

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LI~~5 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2002:89809 CAPLUS

DN 136:139844

TI Compositions useful for regulating hair growth containing metal complexes of oxidized carbohydrates

IN Gardlik, John Michael; Severynse-Stevens, Diana; Comstock, Bryan Gabriel

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

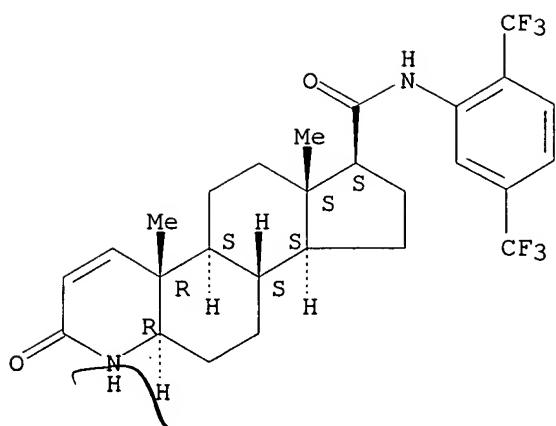
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002007700	A2	20020131	WO 2001-US23425	20010725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002119174	A1	20020829	US 2001-909440	20010719
PRAI	US 2000-220756P	P	20000726		
AB	A stable cosmetic, dermatol., or pharmaceutical compn. comprising: (a) about 0.001-99.9%, by wt., of at least one metal complex of an oxidized carbohydrate, wherein the metal complex of an oxidized carbohydrate is neither zinc gluconate, manganese gluconate, nor lithium gluconate; and (b) about 0.1-99.999%, by wt., of a vehicle, wherein the vehicle comprises at least about 5%, by wt. of the compn., of propylene glycol. The compn. is administered orally, parenterally or topically. For example, a topical compn. was prepd. contg. zinc lactobionate 5.0%, zinc gluconate 3.0%, minoxidil 2.5%, propylene glycol 8.0%, dimethylisosorbide 19.0%, and ethanol and minors up to 100%.				
IT	164656-23-9 , Dutasteride RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. contg. metal complexes of oxidized carbohydrates for regulating hair growth)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



15 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2002 ACS

IN 2002:89795 CAPLUS

DN 136:139843

TI Method of regulating hair growth using metal complexes of oxidized carbohydrates

IN Gardlik, John Michael; Severynse-Stevens, Diana; Comstock, Bryan Gabriel

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

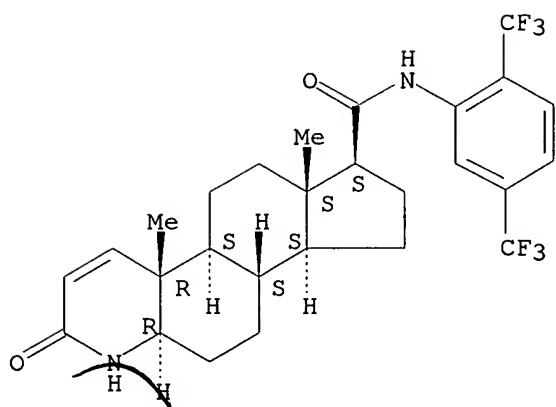
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002007685	A2	20020131	WO 2001-US23424	20010725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002035070	A1	20020321	US 2001-909441	20010719
PRAI	US 2000-220755P	P	20000726		
AB	A method for regulating the growth of hair comprising administering to a mammal, an effective amt. of a compn. comprising: (a) about 0.001-99.9%, by wt., of at least one metal complex of an oxidized carbohydrate, wherein the metal complex of an oxidized carbohydrate is neither zinc gluconate nor manganese gluconate; and (b) about 0.1-99.999%, by wt., of a vehicle. The compn. is administered orally, parenterally, or topically. For example, a topical compn. contained zinc lactobionate 5.0%, zinc gluconate 1.0%, zinc pyrithione 1.0%, Tween 20 1.0%, propylene glycol 10.0%, dimethylisobutylidene 18.0%, EtOH 30.0%, and water and minors up to 100%. Also, tablets were prepd. contg. zinc lactobionate 100 mg, Crospovidone 15 mg, lactose 200 mg, microcryst. cellulose 80 mg, and magnesium stearate 5 mg.				
IT	164656-23-9, Dutasteride RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. contg. metal complexes of oxidized carbohydrates for regulating hair growth)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



~~DIS~~ ANSWER 7 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:886779 CAPLUS

~~DN~~ 136:644

TI Dutasteride to prevent and treat atherosclerosis and its complications

IN Weisman, Kenneth; Goldberg, Michael E.

PA USA

SO U.S. Pat. Appl. Publ., 3 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001048942	A1	20011206	US 2001-851454	20010508
PRAI	US 2000-202425P	P	20000508		

AB A method of decreasing atherosclerosis and its complications including but not limited to myocardial infarction, stroke, and peripheral vascular disease comprising administering to a human or animal an amt. of dutasteride sufficient to decrease atherosclerosis and its complications. The effective amt. of dutasteride is 0.5 mg orally daily administered as a tablet or via any other method that results in systemic absorption of the drug.

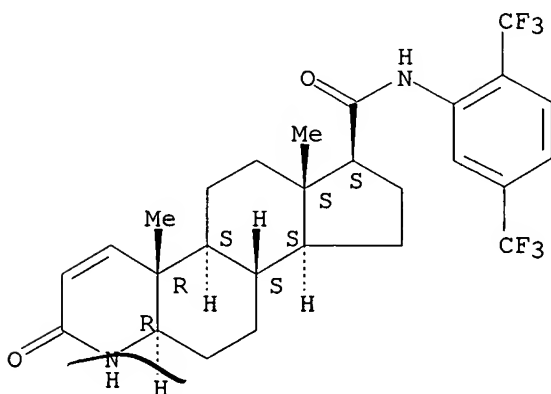
IT 164656-23-9, Dutasteride

RL: PAC (Pharmacological activity); BIOL (Biological study)
(dutasteride to prevent and treat atherosclerosis and its complications)

RN 164656-23-9 CAPLUS

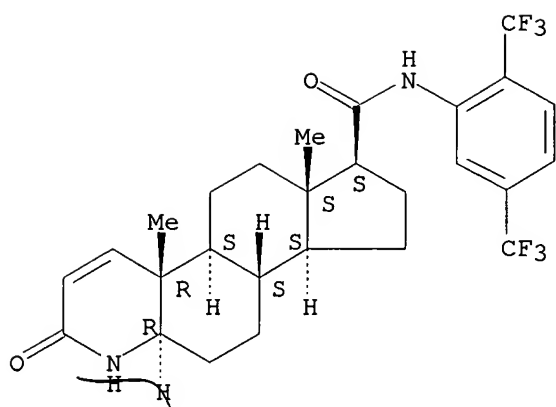
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



~~145~~ ANSWER 8 OF 48 CAPLUS COPYRIGHT 2002 ACS
 AN 2001:653717 CAPLUS
 DN 136:31864
 TI Pharmacokinetic parameters and mechanisms of inhibition of rat type 1 and 2 steroid 5.alpha.-reductases: determinants for different in vivo activities of GI198745 and finasteride in the rat
 AU Darren Stuart, J.; Lee, F. W.; Simpson Noel, D.; Kadwell, S. H.; Overton, L. K.; Hoffman, C. R.; Kost, T. A.; Tippin, T. K.; Yeager, R. L.; Batchelor, K. W.; Neal Bramson, H.
 CS Division of Biochemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
 SO Biochemical Pharmacology (2001), 62(7), 933-942
 CODEN: BCPA6; ISSN: 0006-2952
 PB Elsevier Science Inc.
 DT Journal
 LA English
 AB The interaction of baculovirus expressed rat steroid 5.alpha.-reductase types 1 and 2 (r5AR1 and r5AR2) with 17.beta.-N-(2,5-bis(trifluoromethyl)phenyl)carbamoyl-4-aza-5.alpha.-androst-1-en-3-one (GI198745) was investigated at pH 7 and 37.degree.. This 5.alpha.-reductase inhibitor was found previously to be a time-dependent inhibitor of the 2 human 5.alpha.-reductase isoenzymes. In contrast, the authors demonstrate in the present study that although GI198745 is a potent time-dependent inhibitor of r5AR2, it is a classical rapid-equil. inhibitor of r5AR1. This type of behavior with human and rat 5.alpha.-reductases was shown for the inhibitor 17.beta.-(N-tert-butylcarbamoyl)-4-aza-5.alpha.-androst-1-en-3-one (finasteride), a current therapy for benign prostatic hyperplasia. Inhibition of r5AR1 by GI198745 was competitive with testosterone and followed Michaelis-Menten kinetics with a Ki value of 0.3 nM. Data for the inhibition of r5AR2 by GI198745 were consistent with a 2-step mechanism, where Ki is the dissocn. const. for an initial enzyme-inhibitor complex and k3 is the rate const. for the 2nd slow step. The pseudo-bimol. rate const. (k3/Ki) for the assocn. of GI198745 with r5AR2 was (2.0) .times. 107 M-1 sec-1. The high affinity of this inhibitor for r5AR2 was further demonstrated by the inability of the enzyme-inhibitor complex to dissoc. after approx. 7 days of dialysis at 4.degree.. Both GI198745 and finasteride appear to inactivate r5AR2 by apparent irreversible modification, but are classical, reversible inhibitors of r5AR1. Therefore, the authors hypothesize that because of its pharmacokinetic parameters and increased potency against r5AR1, GI198745 is more effective than finasteride in preventing the growth of the rat prostate.
 IT 164656-23-9, GI198745
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacokinetic parameters and mechanisms of inhibition of rat type 1 and 2 steroid 5.alpha.-reductases)
 RN 164656-23-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

115 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2001:617820 CAPLUS

DN 135:175361

TI Treatment or prevention of prostate cancer with a COX-2 selective inhibiting drug

IN Waldstreicher, Joanne; Morrison, Briggs W.

PA Merck + Co., Inc., USA

SO PCT Int. Appl., 12 pp.

CODEN: PIXXD2

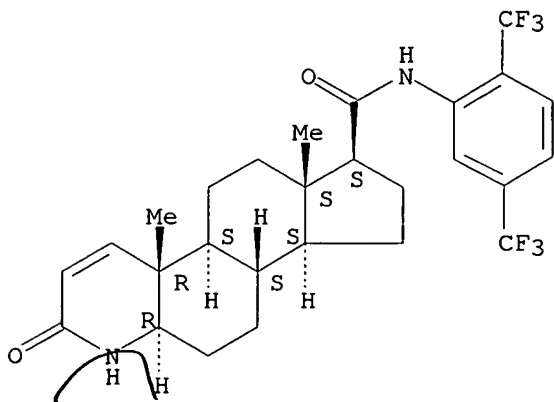
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060365	A1	20010823	WO 2001-US4655	20010213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2001041713	A1	20011115	US 2001-784878	20010216
PRAI US 2000-183204P	P	20000217		
AB A COX-2 selective inhibiting drug is disclosed as useful in treating or preventing prostate cancer. The compd. is used alone or in combination with other drugs.				
IT 164656-23-9 , Dutasteride RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination with; treatment or prevention of prostate cancer with COX-2 selective inhibiting drug)				
RN 164656-23-9 CAPLUS				
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



10/020,740

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~DIS~~ ANSWER 10 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:564830 CAPLUS

~~DN~~ 135:132427

TI Treatment or prevention of prostate cancer with a COX-2 selective inhibiting drug

IN Waldstreicher, Joanne; Morrison, Briggs W.

PA Merck + Co., Inc., USA

SO PCT Int. Appl., 11 pp.

CODEN: PIXXD2

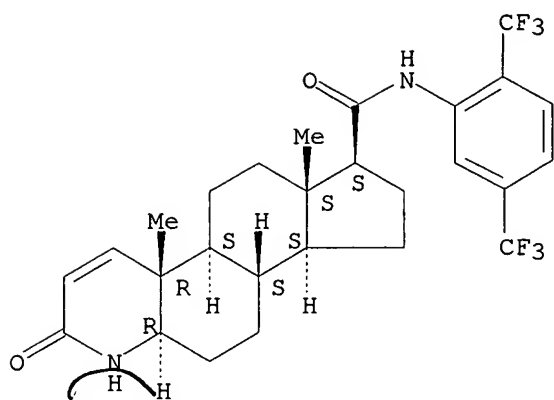
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001054688	A1	20010802	WO 2001-US2405	20010125
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1253921	A1	20021106	EP 2001-908690	20010125
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	US 2001047022	A1	20011129	US 2001-771315	20010126
PRAI	US 2000-178722P	P	20000128		
	WO 2001-US2405	W	20010125		
AB	A COX-2 selective inhibiting drug is disclosed as useful in treating or preventing prostate cancer. The compd. is used alone or in combination with other drugs.				
IT	164656-23-9, Dutasteride				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(prevention and treatment of prostate cancer with COX-2 inhibitors and in combination with other drugs or radiotherapy)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)				
	(CA INDEX NAME)				

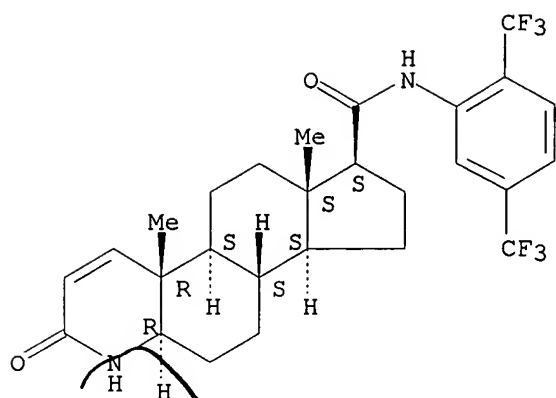
Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

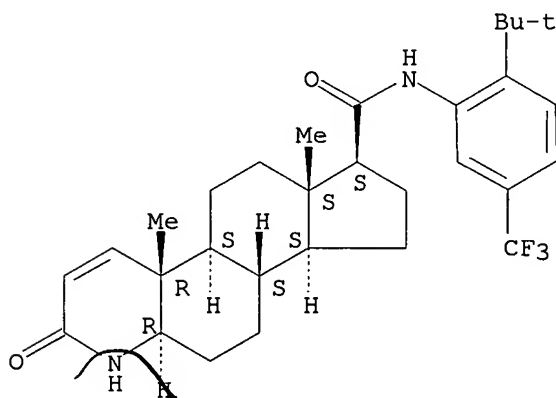
~~DA~~ 5 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 2001:455673 CAPLUS
 DN 135:207322
 TI Linear relationships between the ligand binding energy and the activation energy of time-dependent inhibition of steroid 5.alpha.-reductase by .DELTA.1-4-azasteroids
 AU Tian, Gaochao; Haffner, Curt D.
 CS Department of Molecular Biochemistry, GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
 SO Journal of Biological Chemistry (2001), 276(24), 21359-21364
 CODEN: JBCHA3; ISSN: 0021-9258
 PB American Society for Biochemistry and Molecular Biology
 DT Journal
 LA English
 AB The inhibition of steroid 5.alpha.-reductase (5AR) by .DELTA.1-4-azasteroids is characterized by a two-step time-dependent kinetic mechanism where inhibitor combines with enzyme in a fast equil., defined by the inhibition const. K_i , to form an initial reversible enzyme-inhibitor complex, which subsequently undergoes a time-dependent chem. rearrangement, defined by the rate const. k_3 , leading to the formation of an apparently irreversible, tight-binding enzyme-inhibitor complex. A detailed kinetic anal. of this process with a series of .DELTA.1-4-azasteroids having different C-17 substituents was performed to understand the relationships between the rate of time-dependent inhibition and the affinity of the time-dependent inhibitors for the enzyme. A linear correlation was obsd. between $\ln(1/K_i)$, which is proportional to the ligand binding energy for the formation of the enzyme-inhibitor complex, and $\ln(1/(k_a/K_i))$, which is proportional to the activation energy for the inhibition reaction under the second order reaction condition, which leads to the formation of the irreversible, tight-binding enzyme-inhibitor complex. The coeff. of the correlation was -0.88 ± 0.07 for type 1 5AR and -1.0 ± 0.2 for type 2 5AR. In comparison, there was no obvious correlation between $\ln(1/K_i)$ and $\ln(1/k_3)$, which is proportional to the activation energy of the second, time-dependent step of the inhibition reaction. These data are consistent with a model where ligand binding energies provided at C-17 of .DELTA.1-4-azasteroids is fully expressed to lower the activation energy of k_3/K_i with little perturbation of the energy barrier of the second, time-dependent step.
 IT 164656-23-9, GG 745 164721-99-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (linear relationships between ligand binding energy and activation energy of time-dependent inhibition of steroid 5.alpha.-reductase by .DELTA.1-4-azasteroids)
 RN 164656-23-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 164721-99-7 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LX~~5 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:246376 CAPLUS

DN 135:33596

TI Mass spectral fragmentation reactions of a therapeutic 4-azasteroid and related compounds

AU Burinsky, D. J.; Williams, J. D.; Thornquest, A. D.; Sides, S. L.

CS Pharmaceutical Development Division, GlaxoSmithKline, Research Triangle Park, NC, USA

SO Journal of the American Society for Mass Spectrometry (2001), 12(4), 385-398

CODEN: JAMSEF; ISSN: 1044-0305

PB Elsevier Science Inc.

DT Journal

LA English

AB Mass spectra were acquired for a therapeutic 4-azasteroid (dutasteride), and some related compds., using various ionization conditions (EI, CI, APCI and ESI) in both pos. and neg. ion modes. The ionization and fragmentation behavior of the compd. dutasteride, its precursors and several analogs is reported. Pos. atm. pressure chem. ionization (APCI+) and pos. electrospray ionization (ESI+) produced distinctive collision-induced disson. (CID) spectra for the resp. [MH]⁺ ions of dutasteride. The spectral differences are attributed to ion populations having either different structures or different internal energy distributions (as a consequence of the method of ionization). Irresp. of their origin, the protonated mols. undergo interesting fragmentation reactions when collisionally activated. The identity of the major fragmentation products was confirmed by accurate mass measurement. The neg. APCI mass spectrum of dutasteride displays extensive dehydrohalogenation, apparently due to the thermal component of the APCI process. Some of the resulting radical anions display remarkable stability toward collisional decompn. Details of the fragmentation behavior for the neg. ion species and their relationship to the pos. ion results are discussed.

IT 164656-23-9, Dutasteride 167558-01-2

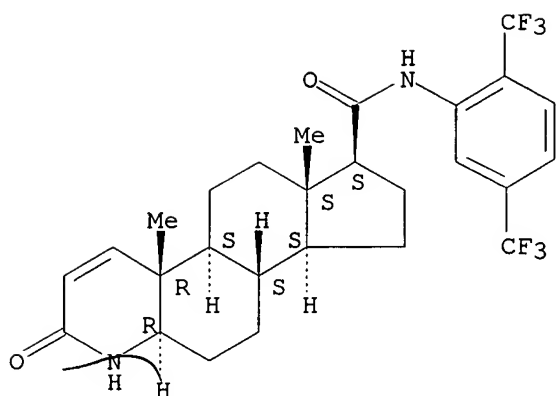
RL: PRP (Properties)

(mass spectral fragmentation reactions of a therapeutic 4-azasteroid and related compds.)

RN 164656-23-9 CAPLUS

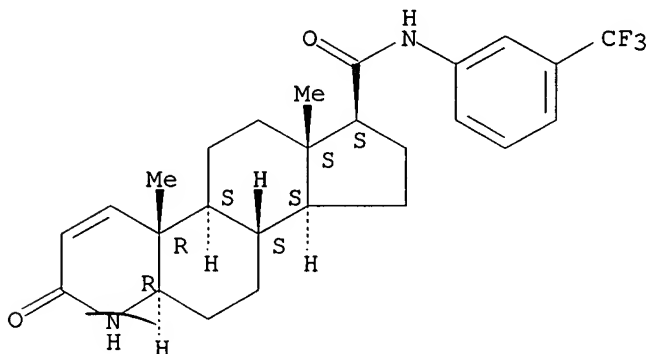
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 167558-01-2 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

15 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2001:228701 CAPLUS

DN 134:247264

TI Treatment of lower urinary tract symptoms with muscarinic and .alpha.-adrenergic antagonists and 5.alpha.-reductase inhibitors, and pharmaceutical compositions for use therein

IN Stoner, Elizabeth; Drake, Paul J.; Bach, Mark A.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001021167	A1	20010329	WO 2000-US25534	20000918
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 1999-155357P P 19990922

OS MARPAT 134:247264

AB A medical condition in men known as Lower Urinary Tract Symptoms (LUTS) is treated by the administration of a muscarinic receptor antagonist in combination with at least one of a 5.alpha.-reductase inhibitor and an .alpha.-adrenergic receptor blocker.

IT **158522-79-3 158522-79-3D**, Ph-substituted derivs.

164656-23-9, Dutasteride

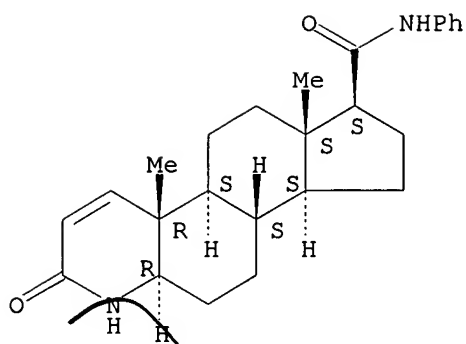
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(muscarinic and .alpha.-adrenergic antagonists and 5.alpha.-reductase inhibitors for treatment of lower urinary tract symptoms , and pharmaceutical compns.)

RN 158522-79-3 CAPLUS

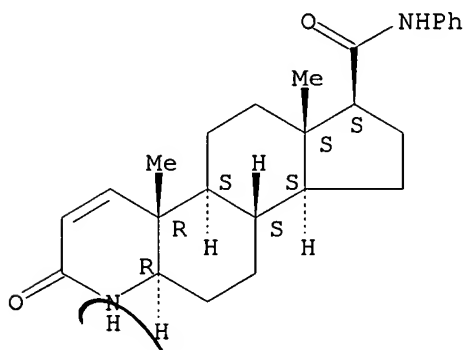
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11, 11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



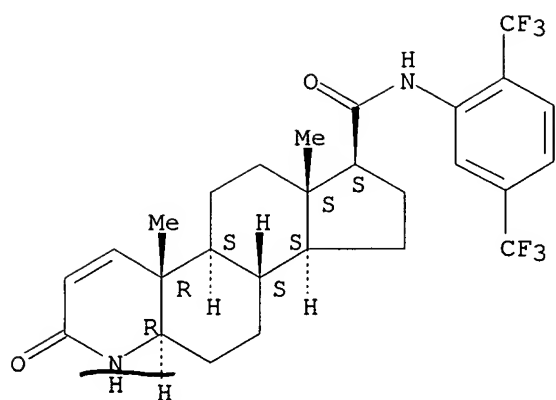
RN 158522-79-3 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164656-23-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

LA5 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2000:790272 CAPLUS

DN 133:354981

TI Anti-dandruff and conditioning shampoos containing polyalkylene glycols and cationic polymers

IN Dunlop, David Scott; Guskey, Susan Marie; Leyba, Vicente Eduardo; Royce, Douglas Allan

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000066081	A1	20001109	WO 2000-US11829	20000502
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6451300	B1	20020917	US 2000-558447	20000425
	EP 1175202	A1	20020130	EP 2000-928694	20000502
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			

PRAI US 1999-132869P P 19990503
WO 2000-US11829 W 20000502

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair and scalp an effective amt. of said compns. The anti-dandruff and conditioning shampoos comprise: (A) 5-50 an anionic surfactant; (B) 0.01-10 a non-volatile conditioning agent; (C) 0.1-4 an anti-dandruff particulate; (D) 0.02-5 at least one cationic polymer; (E) 0.005-1.5 % a polyalkylene glycol corresponding to the formula: $H(OCH_2-CHR)_n-OH$ ($R = H, Me; n = 1,500-120,000$); and (F) water. An antidandruff and conditioning shampoo compn. contg. ammonium laureth sulfate 12, ammonium lauryl sulfate 8, guar hydroxypropyltrimonium chloride 0.4, PEG-90M (Polyox WSR 301) 0.1, zinc pyrithione 1, 1-decene homopolymer (Puresyn 6) 0.2, trimethylpropane capryl caprylate (Mobil P43) 0.2 dimethicone (Visasil 330,000 csk) 1, ethylene glycol distearate 1, cocamide MEA 0.6, cetyl alc. 0.9, and water q.s. to 100 % was formulated.

IT 164656-23-9, Dutasteride

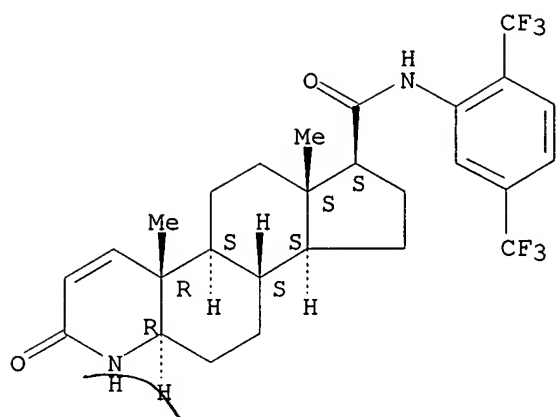
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidandruff and conditioning shampoos contg.)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

LA5 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2000:790271 CAPLUS

DN 133:354980

TI Anti-dandruff and conditioning shampoos containing certain cationic polymers

IN Dunlop, David Scott; Leyba, Vicente Eduardo

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066080	A1	20001109	WO 2000-US11828	20000502
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1181008	A1	20020227	EP 2000-928693	20000502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI US 1999-132868P	P	19990503		
WO 2000-US11828	W	20000502		

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair an effective amt. of said compns. The anti-dandruff and conditioning shampoos comprise: (A) 5-50 an anionic surfactant; (B) 0.01-10 a non-volatile conditioning agent; (C) 0.1-4 an anti-dandruff particulate; (D) 0.02-5 % a cationic guar deriv.; (i) wherein said cationic guar deriv. has a mol. wt. of 50,000-700,000; and (ii) wherein the cationic guar deriv. has a charge d. of 0.05-1 meq/g; and (E) water. An antidandruff and conditioning shampoo compn. contg. ammonium laureth sulfate 11, ammonium lauryl sulfate 5.5, guar hydroxypropyltrimonium chloride 0.25, zinc pyrithione 1, 1-decene homopolymer (Purexyn 6) 0.5, dimethicone 1.5, ethylene glycol distearate 1, cocamide MEA 0.8, cetyl alc., and water q.s. to 100 % was formulated.

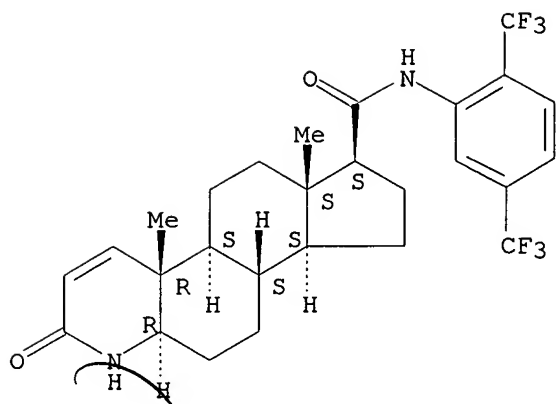
IT 164656-23-9, Dutasteride

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidandruff and conditioning shampoos contg.)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~LT~~ ANSWER 16 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 2000:790264 CAPLUS

DN 133:339958

TI Shampoos providing a superior combination of anti-dandruff efficacy and conditioning

IN Dunlop, David Scott; Boyd, Roberta Atwood; Guskey, Susan Marie; Schwartz, James Robert; Marchetta, Anthony Raymond

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000066072	A1	20001109	WO 2000-US11830	20000502
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002102228	A1	20020801	US 2000-558465	20000425
	EP 1173141	A1	20020123	EP 2000-928695	20000502
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1999-132867P	P	19990503		
	WO 2000-US11830	W	20000502		

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair and scalp an amt. of said compns. The anti-dandruff and conditioning shampoos comprise: (A) from about 5 % to about 50 %, by wt., of an anionic surfactant; (B) from about 0.01 % to about 10 %, by wt., of a non-volatile conditioning agent; (C) from about 0.1 % to about 4 %, by wt., of an anti-dandruff agent; (D) from about 0.02 % to about 5 %, by wt., of at least one cationic polymer; and (E) water. The compns. (A) have a bioavailability/coverage index value, as defined herein, of at least about 1.25; (B) have a first conditioning index value, as defined herein, of less than or equal to about 1.0; (C) have a second conditioning index value, as defined herein, of at least 1.5; and (D) have a minimal inhibitory concn. index value, as defined herein, of at least 0.125.

IT 164656-23-9, Dutasteride

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

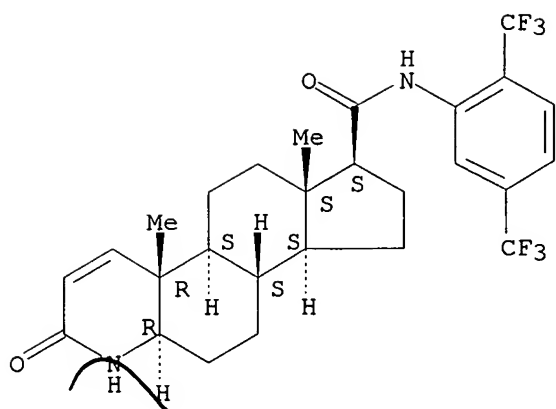
(as hair growth regulating agent; shampoos providing superior combination of anti-dandruff efficacy and conditioning)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/020,740



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~LI5~~ ANSWER 17 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:528014 CAPLUS

DN 133:346313

TI Biochemical and pharmacogenetic dissection of human steroid
5.alpha.-reductase type II

AU Makridakis, Nick M.; di Salle, Enrico; Reichardt, Juergen K. V.

CS Department of Biochemistry and Molecular Biology, and, Institute for
Genetic Medicine, Keck School of Medicine of the University of Southern
California, Los Angeles, CA, USA

SO Pharmacogenetics ((2000)), 10(5), 407-413

CODEN: PHMCEE; ISSN: 0960-314X

PB Lippincott Williams & Wilkins

DT Journal

LA English

AB Human prostatic steroid 5.alpha.-reductase, encoded by the SRD5A2 gene on
chromosome band 2p23, catalyzes the irreversible conversion of
testosterone to dihydrotestosterone (DHT), the most active androgen in the
prostate, with NADPH as its cofactor. This enzyme has never been purified
but a no. of competitive inhibitors have been developed for this enzyme
since increased steroid 5.alpha.-reductase activity may cause benign
prostatic hypertrophy and prostate cancer. We report here the detailed
biochem. and pharmacogenetic dissection of the human enzyme by analyzing
10 missense substitutions and three double mutants which are all naturally
found in humans. Nine of these 13 mutants reduce activity (measured as
Vmax) by 20% or more, three increase steroid 5.alpha.-reductase by more
than 15% and one results in essentially unaltered kinetic properties
suggesting that it is a truly neutral ("polymorphic") amino acid
substitution. Substantial pharmacogenetic variation among the mutants was
also obsd. when three competitive inhibitors, finasteride, GG745
(dutasteride) and PNU157706, were investigated. Our studies not only
define the substrate and cofactor binding sites of human steroid
5.alpha.-reductase, but also have significant consequences for the
pharmacol. usage of steroid 5.alpha.-reductase inhibitors in human
patients treated for prostatic conditions.

IT 164656-23-9, Dutasteride

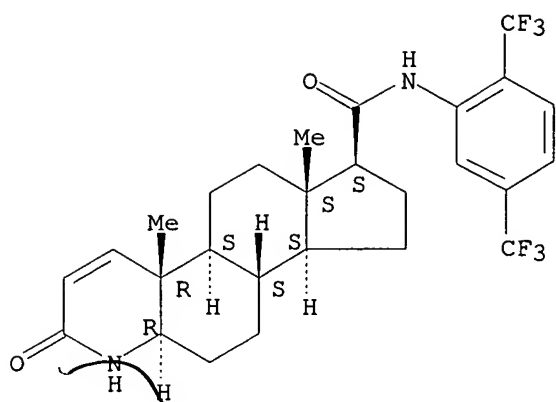
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)

(biochem. and pharmacogenetic dissection of human steroid
5.alpha.-reductase type II)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

ANSWER 18 OF 48 CAPLUS COPYRIGHT 2002 ACS

2000:227505 CAPLUS

DN 132:260692

TI Methods and pharmaceutical compositions using 5.alpha.-reductase inhibitors combined with calcium channel blockers for treating androgen-related conditions

IN Waldstreicher, Joanne; Wang, Daniel Z.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018402	A1	20000406	WO 1999-US22225	19990924
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6268377	B1	20010731	US 1999-401135	19990922
	AU 9962638	A1	20000417	AU 1999-62638	19990924
PRAI	US 1998-102018P	P	19980928		
	WO 1999-US22225	W	19990924		

OS MARPAT 132:260692

AB The invention provides for the combined use of 5.alpha.-reductase inhibitors together with calcium channel blockers for the treatment of benign prostatic hyperplasia (BPH), prostate cancer, prostatitis, hematuria, and other androgen related disorders, including prostatitis and the prevention of prostate cancer. The invention provides a method of treatment which is useful in the treatment of benign prostatic hyperplasia, prostatitis, and/or the prevention and treatment of prostatic cancer, as well as in the treatment of prostatitis and hematuria. The invention also provides a pharmaceutical compn. which is useful in the treatment of benign prostatic hyperplasia, prostatitis, hematuria and/or the prevention and treatment of prostatic cancer, wherein the pharmaceutical compn. comprises the combination of a 5.alpha.-reductase inhibitor and a calcium channel blocking agent.

IT 164656-23-9

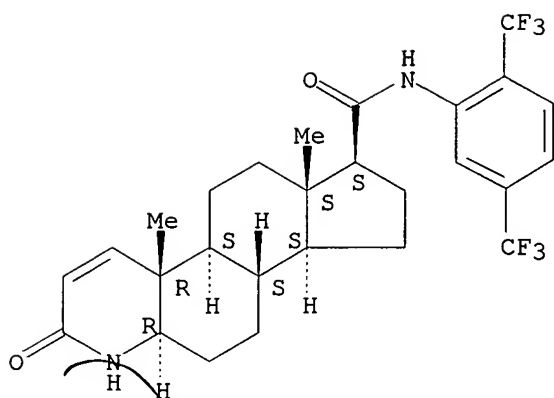
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combined use of 5.alpha.-reductase inhibitors and calcium channel blockers for treating androgen-related conditions)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LI~~ ANSWER 19 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AM~~ 2000:175635 CAPLUS

~~DN~~ 132:203181

TI Methods using prostate-specific antigen (PSA) level determination and 5.alpha.-reductase inhibitors for determining and reducing the risk of benign prostatic hyperplasia (BPH)-related urologic events

IN Stoner, Elizabeth; Waldstreicher, Joanne; Wang, Daniel Z.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000013509	A1	20000316	WO 1999-US20451	19990903
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9961373	A1	20000327	AU 1999-61373	19990903
PRAI	US 1998-99620P	P	19980909		
	US 1998-99620	P	19980909		
	WO 1999-US20451	W	19990903		

OS MARPAT 132:203181

AB The invention is concerned with a method of detg. the risk of a urol. event, particularly an event selected from BPH-related surgery and acute urinary retention in a man by measuring the man's serum PSA level. The invention also provides a method of reducing the risk of the urol. event in a man detd. to be at risk by the present urol. event risk-detg. method by administration of a 5.alpha.-reductase inhibitor, e.g. finasteride. Also provided is a kit for detg. the risk of a urol. event.

IT 164656-23-9

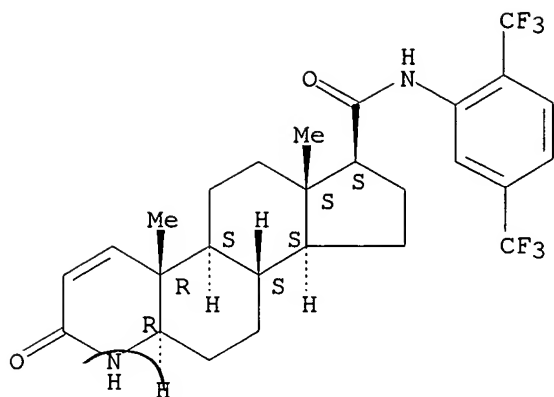
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prostate-specific antigen level detn. and 5.alpha.-reductase inhibitors for detg. and reducing risk of benign prostatic hyperplasia-related urol. event)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

LLS ANSWER 20 OF 48 CAPLUS COPYRIGHT 2002 ACS

AM 1999:779221 CAPLUS

DN 132:12445

TI Preparation of 4-azaandrostanones as 5.alpha.-reductase inhibitors

IN Batchelor, Kenneth William; Frye, Stephen Vernon

PA Glaxo Wellcome Inc., USA

SO U.S., 20 pp.

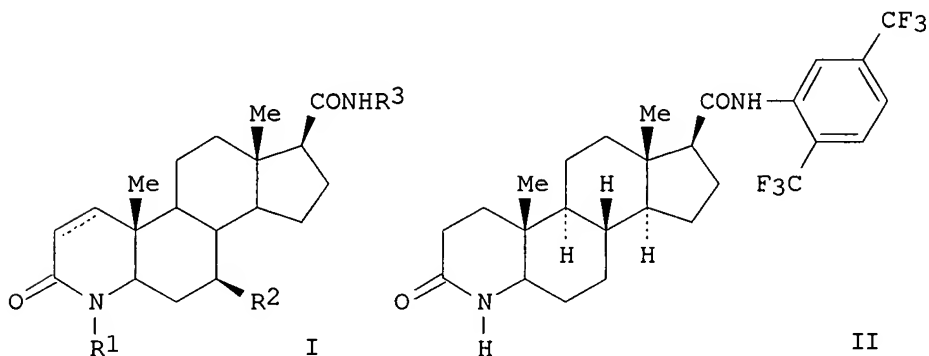
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5998427	A	19991207	US 1998-78468	19980514
OS	MARPAT 132:12445				
GI					



AB 4-Azaandrostanones of formula I [R1, R2 = H, Me; R3 = (substituted) Ph,] are prepd. for their use in the treatment of androgen responsive and mediated diseases. Thus, II is prepd. from 3-oxo-4-androsten-17.beta.-carboxylic acid and 2,5-bis-(trifluoromethyl)aniline in 4 steps. The IC50 of II against human type 2 5.alpha.-reductase was less than 1 nM. Pharmaceutical compns. contg. I are described.

IT 164721-99-7P 164722-02-5P 164722-05-8P

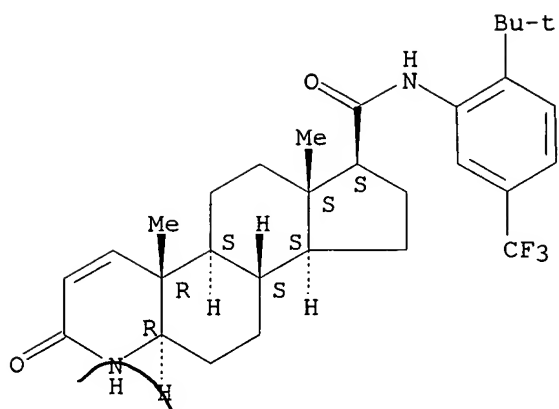
164722-06-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azaandrostanones as 5.alpha.-reductase inhibitors)

RN 164721-99-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

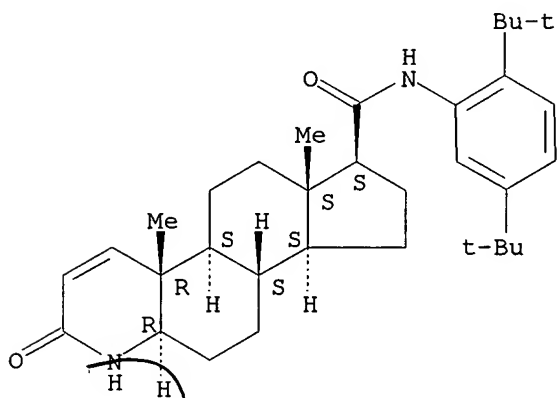
Absolute stereochemistry.



RN 164722-02-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(1,1-dimethylethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

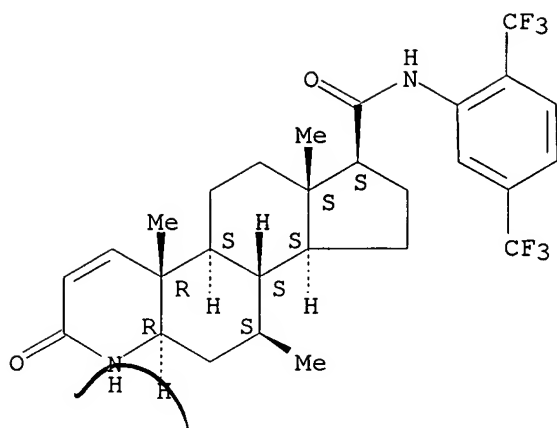
Absolute stereochemistry.



RN 164722-05-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

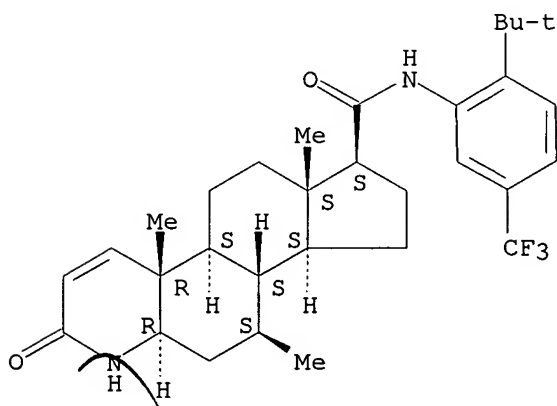
Absolute stereochemistry.



RN 164722-06-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)-(9CI) (CA INDEX NAME)

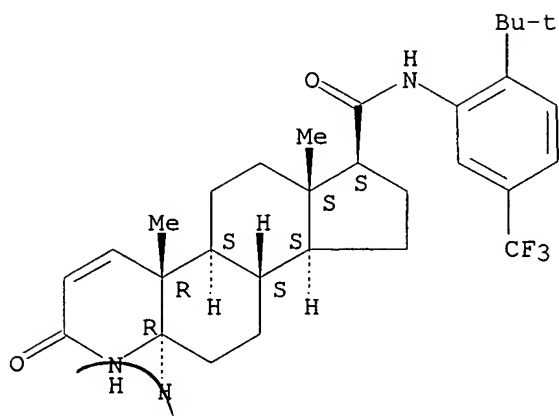
Absolute stereochemistry.



RE.CNT 58

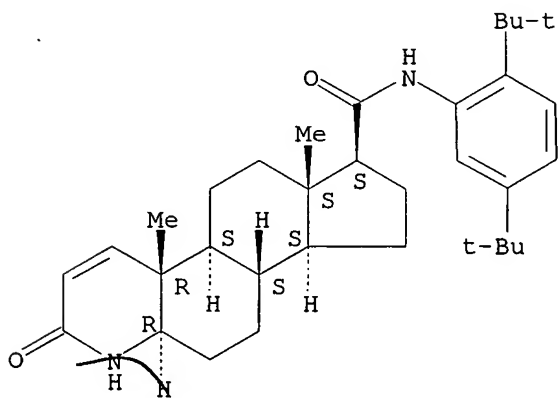
THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

Absolute stereochemistry.



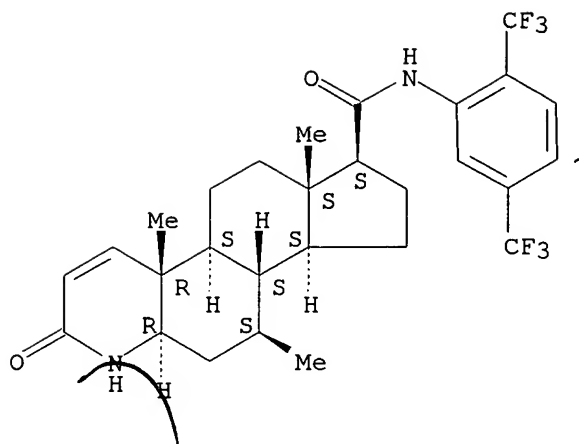
RN 164722-02-5 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(1,1-dimethylethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



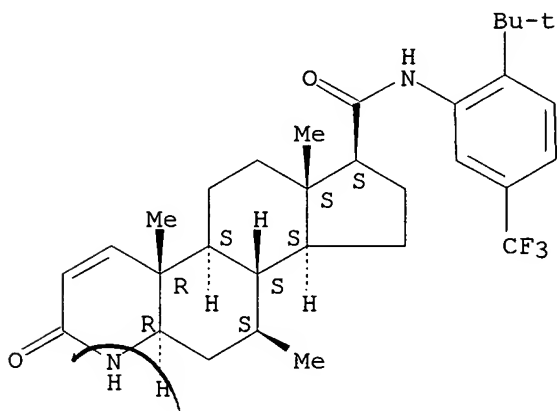
RN 164722-05-8 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164722-06-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~LIB~~ ANSWER 22 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:468543 CAPLUS

DN 131:106836

TI Pharmaceutical composition and method for treating dihydroxytestosterone-dependent conditions

IN Foitl, Daniel

PA Davitz, Michael, A., USA; Leason, David

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

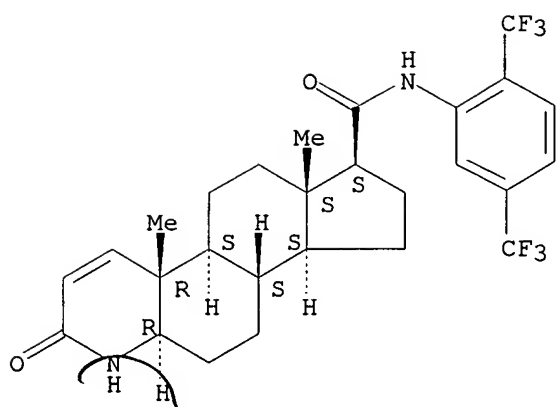
DT Patent

LA English

FAN.CNT 1

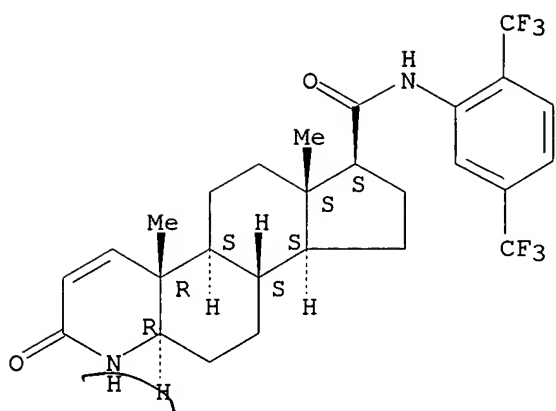
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9936030	A2	19990722	WO 1999-US1207	19990119
	WO 9936030	A3	19990923		
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9924619	A1	19990802	AU 1999-24619	19990119
PRAI	US 1998-7964		19980116		
	WO 1999-US1207		19990119		
AB	A pharmaceutical compn. for treating DHT dependent conditions including androgenic alopecia is disclosed. An oral dosage form according to the invention includes a therapeutically effective amt. of a 5.alpha.-Reductase inhibitor and another active compd. which binds with androgenic receptors. In a preferred form, the bioavailable concn. of the compd. which binds with androgenic receptors is limited or controlled to avoid appreciable anti-androgenic side effects, for example, by providing a controlled (timed or sustained release) coating on that active compd. Spironolactone is a particularly preferred compd. which binds with androgenic receptors. A preferred dosage form has the ratio of the 5.alpha.-Reductase inhibitor to spironolactone in the range of 1:5 to 1:2500. A method for creating an oral dosage form for treating DHT dependent conditions is also disclosed. Patients who took finasteride (5mg/day) in conjunction with 25 mg/day spironolactone showed superior objective and subjective clin. responses in hair regrowth among the treatment group including hair d. and length vs. patients in the control group who took finasteride alone at 5 mg/day. No effect on plasma testosterone or PSA was noted in either group. No appreciable effect on libido, breast tenderness, erectile function, or muscle mass was noted in either group.				
IT	164656-23-9, Dutasteride				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(5.alpha.-reductase inhibitor; pharmaceutical compn. for dihydroxytestosterone-dependent conditions)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)				
	(CA INDEX NAME)				

Absolute stereochemistry.



~~LS~~ ANSWER 23 OF 48 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1999:464790 CAPLUS
DN 131:280942
TI Validation of a population pharmacokinetic/pharmacodynamic model for
5.alpha.-reductase inhibitors
AU Olsson Gisleskog, Per; Hermann, David; Hammarlund-Udenaes, Margareta;
Karlsson, Mats O.
CS Clinical Pharmacology, GlaxoWellcome Research and Development, Middlesex,
UK
SO European Journal of Pharmaceutical Sciences (1999), 8(4), 291-299
CODEN: EPSCED; ISSN: 0928-0987
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB A population pharmacokinetic/dynamic model describing the conversion of
testosterone to dihydrotestosterone (DHT) by 5.alpha.-reductases and the
irreversible inhibition of 5.alpha.-reductase(s) by finasteride and
dutasteride was validated. The model had been developed using data from a
single dose study in healthy volunteers and was validated against data
from a 28-day repeat dose study in patients with benign prostatic
hyperplasia. Validation was carried out by comparing results of Monte
Carlo simulations to the obsd. data, fitting the model to the repeat dose
data and comparing with previously derived parameter values, and examg.
individual predictions of the model for the individuals in the repeat dose
study for any bias. Simulations closely predicted the outcome of the
repeat dose study, estd. parameters of the pharmacodynamic modeling were
generally close to within 88 to 116% of those from the original model and
the individual predictions did not indicate any bias. Thus the model
derived from single dose data from healthy volunteers was considered to be
valid for the prediction of DHT levels in the patient population after
repeated dosing of dutasteride and finasteride.
IT **164656-23-9**, Dutasteride
RL: BPR (Biological process); BSU (Biological study, unclassified); THU
(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(validation of a population pharmacokinetic/pharmacodynamic model for
5.alpha.-reductase inhibitors)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

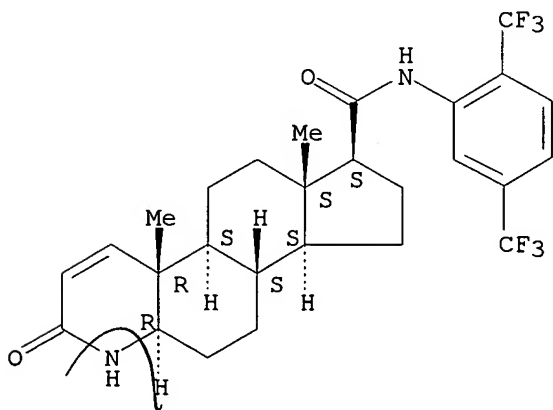
Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DI~~ 5 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2002 ACS
 AM 1999:393864 CAPLUS
 DN 131:53443
 TI GI-198745 Glaxo Wellcome
 AU Palomino, Eduardo
 CS Wayne State University, Detroit, MI, 48202, USA
 SO Current Opinion in Central & Peripheral Nervous System Investigational
 Drugs (1999), 1(2), 253-256
 CODEN: COCDFA; ISSN: 1464-844X
 PB Current Drugs Ltd.
 DT Journal; General Review
 LA English
 AB A review with 31 refs. Glaxo is developing GI-198745, a
 5.alpha.-reductase inhibitor, as a potential treatment for benign
 prostatic hyperplasia (BPH) [181294]. This compd. entered phase III
 trials for this indication in Nov. 1997 and MAA and US NDA filings are
 predicted for 2000 [244813], [270170], [322815]. GI-198745 has commenced
 phase II trials as a potential treatment for alopecia [290251] and
 localized prostate cancer [244813]. The compd. reduces
 dihydrotestosterone (DHT) levels by 90% in men at a dose of 0.5 mg/day (Ki
 .gtoreq. 1 nM), and has exhibited superior efficacy and pharmacokinetics
 in animal models, compared to finasteride [295987]. In Jan. 1999, Paribas
 predicted sales of STG 50 million in 2000, rising to STG 200 million in
 2003 [317650].
 IT **164656-23-9**, GI-198745
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (review of GI-198745)
 RN 164656-23-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
 bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
 tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

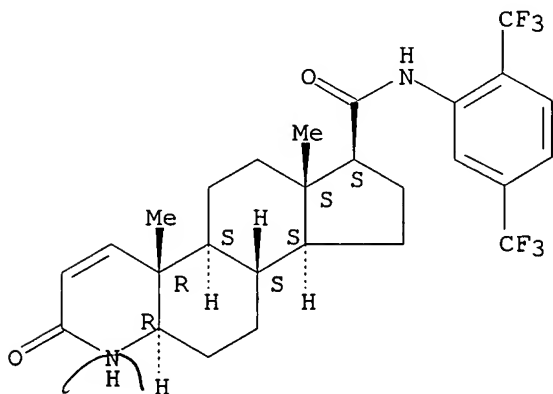
Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~115~~ ANSWER 25 OF 48 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1999:336495 CAPLUS
~~DN~~ 131:138781
 TI Dutasteride: Steroid 5.alpha.-reductase inhibitor treatment of BPH
 AU Graul, A.; Silvestre, J.; Castaner, J.
 CS Prous Science, Barcelona, 08080, Spain
 SO Drugs of the Future (1999), 24(3), 246-253
 CODEN: DRFUD4; ISSN: 0377-8282
 PB Prous Science
 DT Journal; General Review
 LA English
 AB A review with 30 refs. on the synthesis, pharmacokinetics, pharmacodynamics and clin. pharmacol. of dutasteride used in treatment of benign prostatic hyperplasia.
 IT 164656-23-9P, Dutasteride:
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (dutasteride, a steroid 5.alpha.-reductase inhibitor for treatment of benign prostatic hyperplasia)
 RN 164656-23-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~LT5~~ ANSWER 26 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:297310 CAPLUS

DN 130:320866

TI Prevention of precipitated acute urinary retention with an inhibitor of 5.alpha.-reductase

IN Waldstreicher, Joanne

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9921563	A1	19990506	WO 1998-US22669	19981023
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2308070	AA	19990506	CA 1998-2308070	19981023
	AU 9912790	A1	19990517	AU 1999-12790	19981023
	AU 731576	B2	20010405		
	US 5942519	A	19990824	US 1998-178138	19981023
	EP 1032400	A1	20000906	EP 1998-956213	19981023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001521000	T2	20011106	JP 2000-517721	19981023
PRAI	US 1997-65953P	P	19971028		
	WO 1998-US22669	W	19981023		

OS MARPAT 130:320866

AB The invention is concerned with the prevention of pptd. acute urinary retention in a subject male susceptible thereto by the administration of an inhibitor of 5.alpha.-reductase to the subject. Also provided is a method for reducing the risk of pptd. acute urinary retention by the administration of a 5.alpha.-reductase inhibitor to the subject at risk therefor.

IT 158522-79-3 223915-52-4

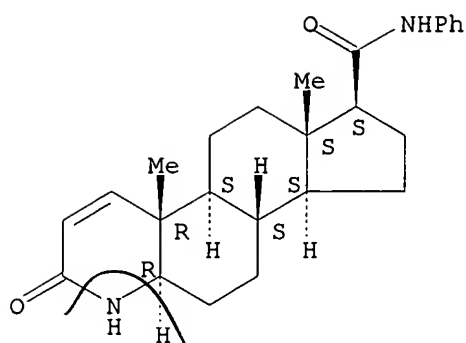
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pptd. acute urinary retention prevention with 5.alpha.-reductase inhibitor)

RN 158522-79-3 CAPLUS

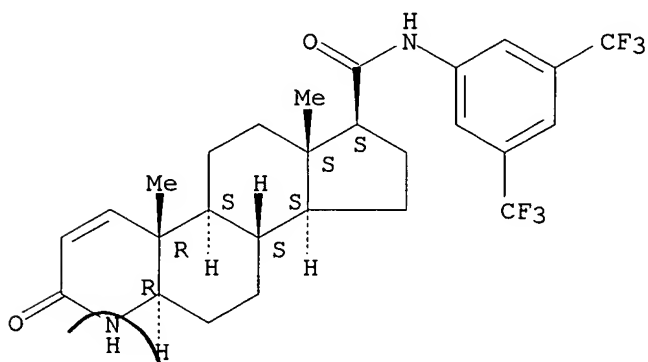
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223915-52-4 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 27 OF 48 CAPLUS COPYRIGHT 2002 ACS

1999:141216 CAPLUS

DN 130:200935

TI Solutions containing azasteroids suitable for soft gelatin capsules

IN Parr, Alan Frank; Rizzolio, Michele Catherine

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 18 pp.

CODEN: PIXXD2

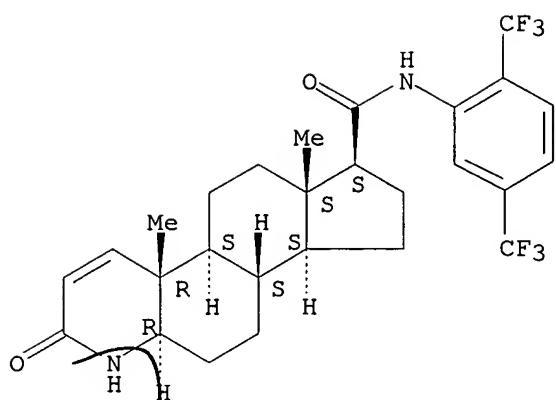
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9908684	A2	19990225	WO 1998-EP5192	19980817
	WO 9908684	A3	19990610		
	W:		AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	AU 9889796	A1	19990308	AU 1998-89796	19980817
	EP 1005346	A2	20000607	EP 1998-941422	19980817
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		
	BR 9810285	A	20000912	BR 1998-10285	19980817
	JP 2002511100	T2	20020409	JP 1999-512807	19980817
PRAI	GB 1997-17444	A	19970819		
	WO 1998-EP5192	W	19980817		
AB	The present invention discloses a novel soln. comprising a therapeutically effective amt. of a pharmaceutically active azasteroid, PEG, and propylene glycol. In another aspect, the present invention discloses a pharmaceutical compn. comprising the soln. of the invention. In another aspect, the present invention discloses a gelatin capsule filled with the compn. of the present invention. A soln. contg. 17-.beta.-N-[2,5,-bis(trifluoromethyl)]phenylcarbamoyl-4-aza-5-.alpha.-androst-1-en-3-one 0.6, PEG 400 7420.082, propylene glycol 390, polysorbate 80 7.8, and butylated hydroxytoluene 0.78 g was prepd. and filled in soft gelatin capsules at 0.1 mg steroid in each for examg. the bioavailability.				
IT	164656-23-9				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(solns. contg. azasteroids and PEG and propylene glycol suitable for soft gelatin capsules)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI)				
	(CA INDEX NAME)				

Absolute stereochemistry.



10/020/740

~~LI~~ ANSWER 28 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:136812 CAPLUS

DN 130:200932

TI Solubilization of azasteroids with esters

IN Parr, Alan Frank

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 14 pp.

CODEN: PIXXD2

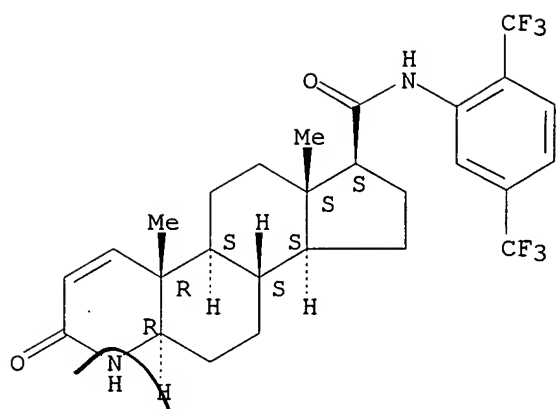
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9908666	A2	19990225	WO 1998-EP5194	19980817
	WO 9908666	A3	19990415		
	W:		AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	AU 9893430	A1	19990308	AU 1998-93430	19980817
	ZA 9807392	A	20000217	ZA 1998-7392	19980817
	EP 1007010	A2	20000614	EP 1998-946351	19980817
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO		
	BR 9810458	A	20000905	BR 1998-10458	19980817
	JP 2002511101	T2	20020409	JP 1999-512808	19980817
PRAI	GB 1997-17428	A	19970819		
	WO 1998-EP5194	W	19980817		
AB	The present invention discloses a novel soln. comprising a therapeutically effective amt. of a pharmaceutically active azasteroid, and a fatty acid ester of glycerol or propylene glycol. In another aspect, the present invention discloses a pharmaceutical compn. comprising the soln. of the invention. In another aspect, the present invention discloses a gelatin capsule filled with the compn. of the present invention. Capmul MCM was used to prep. fill formulations contg. 17.beta.-N-[2,5-bis(trifluoromethyl)-phenyl]carbamoyl-4-aza-5.alpha.-androst-1-en-3-one for soft gelatin capsules. Clin. studies showed that the relative bioavailability from the capsule was 80-90 %, as compared to 10-20 % for the same amt. of steroid in a tablet.				
IT	164656-23-9				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (solubilization of azasteroids with esters)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR) - (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

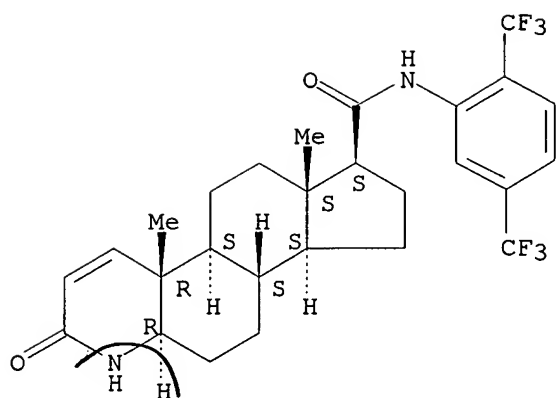


10/020,740

~~LT~~ ANSWER 29 OF 48 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1999:129092 CAPLUS
DN 130:346806
TI The pharmacokinetic modeling of GI198745 (dutasteride), a compound with parallel linear and nonlinear elimination
AU Gisleskog, Per Olsson; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CS Clinical Pharmacology, Glaxo Wellcome Research and Development, Middlesex, UB6 0HE, UK
SO British Journal of Clinical Pharmacology (1999), 47(1), 53-58
CODEN: BCPHBM; ISSN: 0306-5251
PB Blackwell Science Ltd.
DT Journal
LA English
AB The purpose was to characterize the pharmacokinetics of the dual 5.alpha.-reductase inhibitor GI198745 (dutasteride) to allow for more accurate predictions of GI198745 concns. after different dosing schedules. In this randomized, single-blind, parallel group study, 32 healthy male volunteers received single oral doses of GI198745 ranging from 0.01 to 40 mg. Data were analyzed by nonlinear mixed effects modeling using NONMEM where both linear and nonlinear pharmacokinetic models were examd. The time course of GI198745 serum concns. indicated concn. dependent elimination, with the apparent half-life increasing with dose. Data were best described by a two-compartment model with first order absorption and parallel linear and nonlinear elimination pathways. Drug absorption was rapid, and was followed by short distribution phase. A high vol. of distribution (511 l) and a low linear clearance (0.58 L h⁻¹) combined to give a half-life of .ltoreq.5 (1-7) weeks at high concns. As concns. declined towards Km (0.96 ng ml⁻¹), the proportion eliminated by the relatively rapid saturable elimination pathway, with a max. clearance of 6.2 L h⁻¹, increased and the half-life reduced to about 3 days. The estd. inter individual variability for the linear clearance was high (CV=70%). GI198745 pharmacokinetics are well described by a pharmacokinetic model with parallel linear and nonlinear elimination. Simulations using this model show that at daily doses of 0.1 mg the steady state drug concns., and the rate at which these are achieved, are mainly influenced by the nonlinear pathway, while at daily doses above 1 mg they are almost entirely influenced by the linear pathway.
IT **164656-23-9**, GI198745
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetic modeling of GI198745 (dutasteride) as compd. with parallel linear and nonlinear elimination in humans)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/020,740



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

115 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1999:119816 CAPLUS

DN 130:177939

TI Methods and compositions for treating preterm labor

IN Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne

PA Merck and Co., Inc., USA

SO U.S., 39 pp.

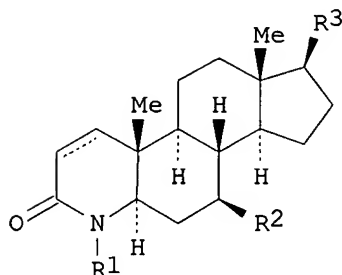
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5872126	A	19990216	US 1997-920505	19970829
OS	MARPAT 130:177939				
GI					



I

AB The present invention provides for a method of treating preterm labor in a subject in need of such treatment comprising administration of a therapeutically effective amt. of an inhibitor of 5.alpha.-reductase type 1 to the subject. Azasteroids, e.g. of formula I [R1, R2 = H, alkyl; R3 = alkyl], are prepd. as 5.alpha.-reductase inhibitors. The present invention further provides for a method of preventing premature labor in a subject susceptible thereto comprising administration of a labor-preventive amt. of an inhibitor of 5.alpha.-reductase type 1 to the subject. Further, the present invention also relates to a method of reducing the risk of premature labor in a subject at risk therefor. The present invention also provides for a method for stopping labor preparatory (i.e., prior) to Cesarean delivery in a subject in need of such treatment comprising administration of a therapeutically effective amt. of an inhibitor of 5.alpha.-reductase type 1 to the subject. Further, the present invention provides for pharmaceutical compns. useful in the methods of the present invention, as well as a method of manuf. of a medicament useful for treating pre-term labor and for stopping labor preparatory to Cesarean delivery.

IT 164656-23-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5.alpha.-reductase inhibitors for treating preterm labor)

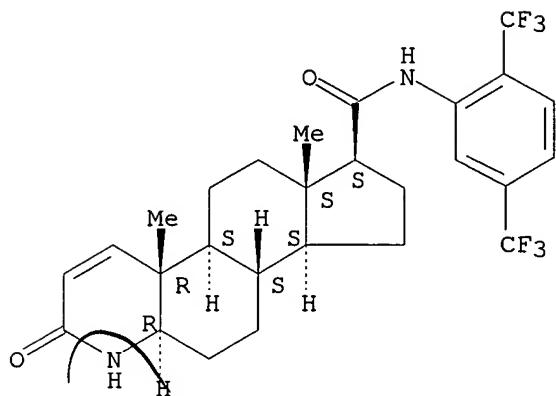
RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)

10/020,740

(CA INDEX NAME)

Absolute stereochemistry.



RE,CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LIB~~ ANSWER 31 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AM~~ 1999:37129 CAPLUS

~~DN~~ 130:262275

~~TI~~ A model for the turnover of dihydrotestosterone in the presence of the irreversible 5.alpha.-reductase inhibitors GI 198745 and finasteride

~~AU~~ Gisleskog, Per Olsson; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.

~~CS~~ Division of Clinical Pharmacology, Glaxo Wellcome Research and Development, Middlesex, UB6 0HE, UK

~~SO~~ Clinical Pharmacology and Therapeutics (St. Louis) (1998), 64(6), 636-647
CODEN: CLPTAT; ISSN: 0009-9236

~~PB~~ Mosby, Inc.

~~DT~~ Journal

~~LA~~ English

~~AB~~ The objective is to develop a pharmacokinetic-pharmacodynamic model that characterizes the conversion of testosterone to dihydrotestosterone (DHT) by 5.alpha.-reductase types 1 and 2 and the irreversible inhibition of 5.alpha.-reductase by finasteride, a 5.alpha.-reductase type 2 inhibitor and by GI198745 (dutasteride), a potent and specific dual 5.alpha.-reductase inhibitor. Healthy men (n = 48) received doses of 0.1 to 40 mg GI198745 (n = 4 subjects per dose), 5 mg finasteride (n = 8), or placebo (n = 8) in a parallel-group study. Plasma concns. of GI198745, finasteride, and DHT were measured frequently up to 8 wk after dosing. Models were fitted with mixed-effects modeling with the NONMEM program. The pharmacodynamics were well described with a model that accounted for the rates of DHT formation and elimination, 5.alpha.-reductase turnover, relative capacity of the 2 5.alpha.-reductase isoenzymes, and the rates of irreversible inhibition of one (finasteride) or both (GI198745) types of 5.alpha.-reductase. The model indicated that type 2 5.alpha.-reductase contributed approx. 80% of plasma DHT. GI198745 was about 3-fold more potent than finasteride on 5.alpha.-reductase type 2. Nearly full blockade of both isoenzymes was achieved at doses of 10 mg or more. GI198745, although the potency of this agent on 5.alpha.-reductase type 1 was less than on type 2. A physiol. based model for the turnover and irreversible inhibition of 5.alpha.-reductase and for formation and elimination of DHT described the data well. This model helps explain differences in the rates of onset and offset of effect and offers a way to det. the relative potency of the irreversible 5.alpha.-reductase inhibitors.

~~IT~~ 164656-23-9, GI198745

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

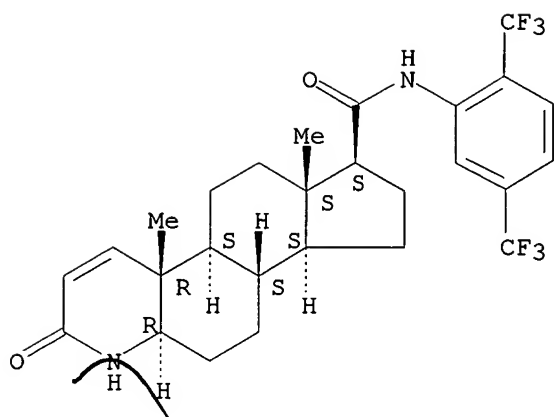
(modeling of turnover of dihydrotestosterone in presence of irreversible 5.alpha.-reductase inhibitors GI 198745 and finasteride)

~~RN~~ 164656-23-9 CAPLUS

~~CN~~ 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/020,740

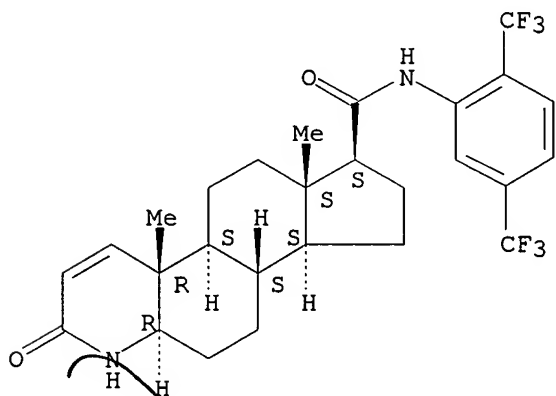


RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

~~LS~~ 5 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1998:698844 CAPLUS
DN 130:104595
TI Discovery and development of GG745, a potent inhibitor of both isoenzymes of 5.alpha.-reductase
AU Frye, Stephen V.; Bramson, H. Neal; Hermann, David J.; Lee, Frank W.; Sinhababu, Achintya K.; Tian, Gaochao
CS Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SO Pharmaceutical Biotechnology (1998), 11(Integration of Pharmaceutical Discovery and Development), 393-422
CODEN: PHBIEB; ISSN: 1078-0467
PB Plenum Publishing Corp.
DT Journal; General Review
LA English
AB A review with refs. The role of 5.alpha.-reductase in normal physiol., pathophysiol. of dihydrotestosterone, clin. effects of a type-2-selective 5.alpha.-reductase inhibitor, discovery and pharmacol. of GG 745, etc., are discussed.
IT 164656-23-9, GG 745
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(development of GG745 as inhibitor of isoenzymes of 5.alpha.-reductase)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

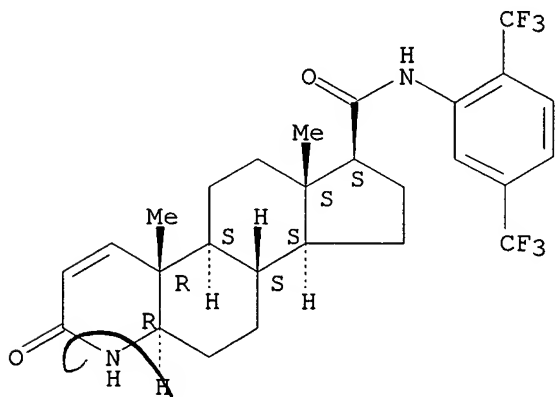


RE.CNT 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

LT5 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1998:571414 CAPLUS
DN 129:314665
TI Rapid development of reagent monoclonal antibodies to support drug
discovery and development
AU Wring, S. A.; Kilpatrick, K. E.; Waterhouse, I.; Carr, R. M.; Hochel, R.
M.; Jenner, W. N.; Serabjit-Singh, C.
CS Glaxo Wellcome Research Inc., Research Triangle Park, NC, 27709, USA
SO Methodological Surveys in Bioanalysis of Drugs (1998), 25(Drug Development
Assay Approaches), 181-189
CODEN: MSBDE6
PB Royal Society of Chemistry
DT Journal
LA English
AB A novel and rapid technique is described for the prodn. of reagent mAb's
in .apprx.30 days; this contrasts with conventional prodn. techniques that
typically require 3-9 mo. Methods and data are presented from programs to
produce Ab's to two drug haptens. The authors consider that the rapidity
of this prodn. technique will have a marked impact on increasing the value
of reagent mAb's during drug research and development programs.
IT 164656-23-9, GI 198745
RL: ANT (Analyte); ANST (Analytical study)
(prepn. of monoclonal antibodies to)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



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KIND

APPLICATION NO.

1999 2000 2001 2002 2003 2004 2005 2006 2007 2008 2009 2010 2011 2012 2013 2014 2015 2016 2017 2018 2019 2020 2021 2022 2023 2024 2025 2026 2027 2028 2029 2030 2031 2032 2033 2034 2035 2036 2037 2038 2039 2040 2041 2042 2043 2044 2045 2046 2047 2048 2049 2050 2051 2052 2053 2054 2055 2056 2057 2058 2059 2060 2061 2062 2063 2064 2065 2066 2067 2068 2069 2070 2071 2072 2073 2074 2075 2076 2077 2078 2079 2080 2081 2082 2083 2084 2085 2086 2087 2088 2089 2090 2091 2092 2093 2094 2095 2096 2097 2098 2099 2100 2101 2102 2103 2104 2105 2106 2107 2108 2109 2110 2111 2112 2113 2114 2115 2116 2117 2118 2119 2120 2121 2122 2123 2124 2125 2126 2127 2128 2129 2130 2131 2132 2133 2134 2135 2136 2137 2138 2139 2140 2141 2142 2143 2144 2145 2146 2147 2148 2149 2150 2151 2152 2153 2154 2155 2156 2157 2158 2159 2160 2161 2162 2163 2164 2165 2166 2167 2168 2169 2170 2171 2172 2173 2174 2175 2176 2177 2178 2179 2180 2181 2182 2183 2184 2185 2186 2187 2188 2189 2190 2191 2192 2193 2194 2195 2196 2197 2198 2199 2200 2201 2202 2203 2204 2205 2206 2207 2208 2209 2210 2211 2212 2213 2214 2215 2216 2217 2218 2219 2220 2221 2222 2223 2224 2225 2226 2227 2228 2229 2230 2231 2232 2233 2234 2235 2236 2237 2238 2239 2240 2241 2242 2243 2244 2245 2246 2247 2248 2249 2250 2251 2252 2253 2254 2255 2256 2257 2258 2259 2260 2261 2262 2263 2264 2265 2266 2267 2268 2269 2270 2271 2272 2273 2274 2275 2276 2277 2278 2279 2280 2281 2282 2283 2284 2285 2286 2287 2288 2289 2290 2291 2292 2293 2294 2295 2296 2297 2298 2299 2300 2301 2302 2303 2304 2305 2306 2307 2308 2309 2310 2311 2312 2313 2314 2315 2316 2317 2318 2319 2320 2321 2322 2323 2324 2325 2326 2327 2328 2329 2330 2331 2332 2333 2334 2335 2336 2337 2338 2339 2340 2341 2342 2343 2344 2345 2346 2347 2348 2349 2350 2351 2352 2353 2354 2355 2356 2357 2358 2359 2360 2361 2362 2363 2364 2365 2366 2367 2368 2369 2370 2371 2372 2373 2374 2375 2376 2377 2378 2379 2380 2381 2382 2383 2384 2385 2386 2387 2388 2389 2390 2391 2392 2393 2394 2395 2396 2397 2398 2399 2400 2401 2402 2403 2404 2405 2406 2407 2408 2409 2410 2411 2412 2413 2414 2415 2416 2417 2418 2419 2420 2421 2422 2423 2424 2425 2426 2427 2428 2429 2430 2431 2432 2433 2434 2435 2436 2437 2438 2439 2440 2441 2442 2443 2444 2445 2446 2447 2448 2449 2450 2451 2452 2453 2454 2455 2456 2457 2458 2459 2460 2461 2462 2463 2464 2465 2466 2467 2468 2469 2470 2471 2472 2473 2474 2475 2476 2477 2478 2479 2480 2481 2482 2483 2484 2485 2486 2487 2488 2489 2490 2491 2492 2493 2494 2495 2496 2497 2498 2499 2500 2501 2502 2503 2504 2505 2506 2507 2508 2509 2510 2511 2512 2513 2514 2515 2516 2517 2518 2519 2520 2521 2522 2523 2524 2525 2526 2527 2528 2529 2530 2531 2532 2533 2534 2535 2536 2537 2538 2539 2540 2541 2542 2543 2544 2545 2546 2547 2548 2549 2550 2551 2552 2553 2554 2555 2556 2557 2558 2559 2560 2561 2562 2563 2564 2565 2566 2567 2568 2569 2570 2571 2572 2573 2574 2575 2576 2577 2578 2579 2580 2581 2582 2583 2584 2585 2586 2587 2588 2589 2590 2591 2592 2593 2594 2595 2596 2597 2598 2599 2600 2601 2602 2603 2604 2605 2606 2607 2608 2609 2610 2611 2612 2613 2614 2615 2616 2617 2618 2619 2620 2621 2622 2623 2624 2625 2626 2627 2628 2629 2630 2631 2632 2633 2634 2635 2636 2637 2638 2639 2640 2641 2642 2643 2644 2645 2646 2647 2648 2649 2650 2651 2652 2653 2654 2655 2656 2657 2658 2659 2660 2661 2662 2663 2664 2665 2666 2667 2668 2669 2670 2671 2672 2673 2674 2675 2676 2677 2678 2679 2680 2681 2682 2683 2684 2685 2686 2687 2688 2689 2690 2691 2692 2693 2694 2695 2696 2697 2698 2699 2700 2701 2702 2703 2704 2705 2706 2707 2708 2709 2710 2711 2712 2713 2714 2715 2716 2717 2718 2719 2720 2721 2722 2723 2724 2725 2726 2727 2728 2729 2730 2731 2732 2733 2734 2735 2736 2737 2738 2739 2740 2741 2742 2743 2744 2745 2746 2747 2748 2749 2750 2751 2752 2753 2754 2755 2756 2757 2758 2759 2760 2761 2762 2763 2764 2765 2766 2767 2768 2769 2770 2771 2772 2773 2774 2775 2776 2777 2778 2779 2780 2781 2782 2783 2784 2785 2786 2787 2788 2789 2790 2791 2792 2793 2794 2795 2796 2797 2798 2799 2800 2801 2802 2803 2804 2805 2806 2807 2808 2809 2810 2811 2812 2813 2814 2815 2816 2817

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Figure 1. Schematic diagram of the experimental setup.

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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

A

US 1997-984425

19971203

A.

19980703

AU 1998-53691

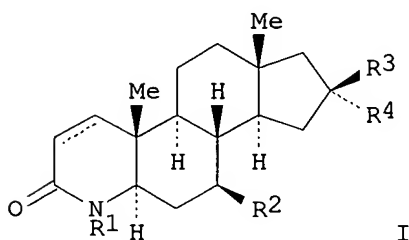
19971205

A

19970108

W

19971205



IT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

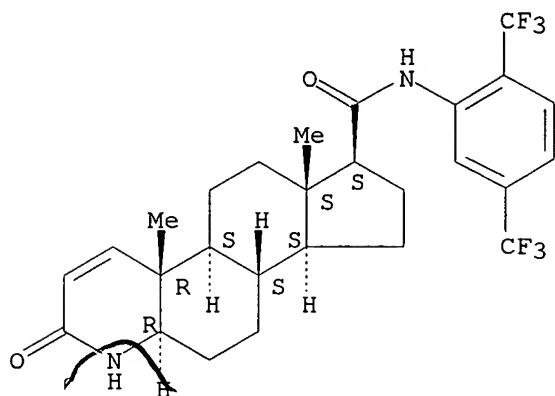
RN

CN

10/020,740

bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/020,740

L15 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1998:228121 CAPLUS

DN 128:308645

TI Synthesis of N-substituted 3-oxo-17.beta.-carboxamide-4-aza-5.alpha.-androstanes and the tautomerism of 3-oxo-4-aza-5-androstenes

AU Xia, Peng; Yang, Zheng-yu; Xia, Yi; Zhang, Hao-bing; Zhang, Ke-hua; Sun, Xun; Chen, Ying; Zheng, Yun-qing

CS Department Organic Chemistry, School Pharmacy, Shanghai Medical University, Shanghai, 200032, Peop. Rep. China

SO Heterocycles (1998), 47(2), 703-716

CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

AB An N-aryl-3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-carboxamide and three N-aryl or alkyl substituted 17.alpha.-hydroxy-3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxamides were synthesized as antiandrogen candidates from 3-oxoandrost-4-ene-17.beta.-carboxylic acid and androst-4-ene-3,17-dione resp. The chemo- and stereoselective redn. of 3-oxo-4-aza-5-ene intermediates with formic acid and their tautomerism in a soln. of chloroform and methanol were described.

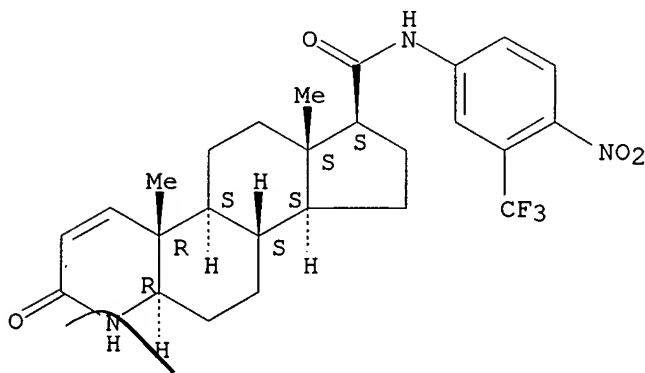
IT 206351-33-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of N-substituted oxocarboxamide azaandrostanes and tautomerism of oxoazaandrostenes)

RN 206351-33-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/020,740

~~DS~~ ANSWER 36 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1998:169455 CAPLUS

DN 128:230564

TI Preparation and pharmaceutical compositions of androstanes and pregnanes as 5.alpha.-reductase inhibitors for preventing preterm labor

IN Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne

PA Merck & Co., Inc., USA; Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne

SO PCT Int. Appl., 129 pp.

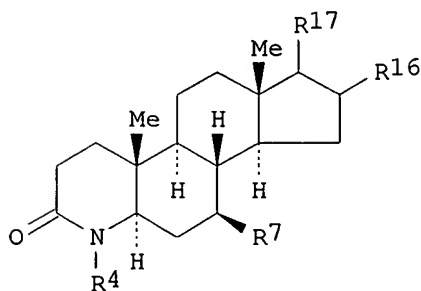
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9809632	A1	19980312	WO 1997-US15504	19970903
	W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9742485	A1	19980326	AU 1997-42485	19970903
PRAI	US 1996-25519P	P	19960906		
	GB 1996-24171	A	19961119		
	WO 1997-US15504	W	19970903		
OS	MARPAT 128:230564				
GI					



I

AB Aza-androstanes and pregnanes such as I [R4 = R7 = H, alkyl; R16 = H, OH, F, CN, alkyl, alkoxy, alkylidenyl, aryloxy, alkylthio, arylthio, heteroaryloxy, etc.; R17 = H, alkyl, alkylidenyl, alkoxy, aryloxy, carbamoyl, alkylthio, arylthio, heteroaryloxy, etc.; 1,2-, 5,10-satd., 1,2-, 5,10-unsatd.] were prepd. as 5.alpha.-reductase inhibitors for treatment of preterm labor. Thus, 7.beta.,20-dimethyl-4-aza-5.alpha.-pregn-17-en-3-one was prepd. starting from pregnenolone acetate. The prepd. compds. where tested for 5.alpha.-reductase types 1 and 2 inhibitory activity and pharmaceutical compns. of the prepd. compds. were presented.

IT 164656-23-9P

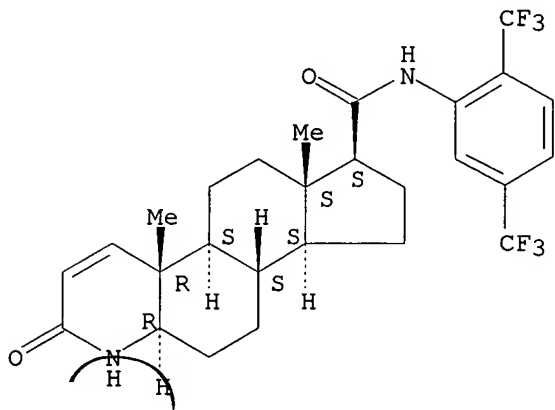
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmaceutical comps. of androstanes and pregnanes as
5.alpha.-reductase inhibitors for preventing preterm labor)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



10/020,740

ANSWER 37 OF 48 CAPLUS COPYRIGHT 2002 ACS

1997:776030 CAPLUS

128:48405

Preparation of 17.beta.-carboxanilides of 4-aza-5.alpha.-androstan-3-ones as 5.alpha.-reductase inhibitors

Rasmusson, Gary H.; Bakshi, Raman K.; Patel, Gool F.

Merck and Co., Inc., USA

U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 957,231, abandoned.

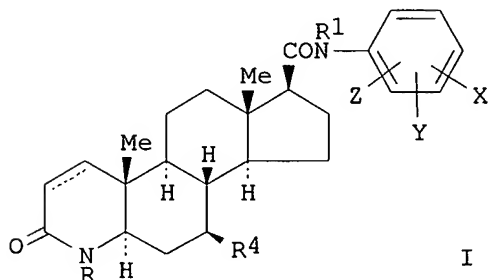
CODEN: USXXAM

Patent

English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5693810	A	19971202	US 1995-406898	19950321
	WO 9407861	A1	19940414	WO 1993-US9585	19931006
	W:	AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US			
	RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
PRAI	US 1992-957231	B2	19921006		
	WO 1993-US9585	W	19931006		
OS	MARPAT 128:48405				
GI					



Described are new 17.beta.-carboxanilides of 4-aza-5.alpha.-androstan-3-ones and related compds. of formula I [R = H, Me, Et; R1 = H, alkyl, Ph; X, Y, Z = H, SH, alkylthio, acyl, aryl, CONR2R3, NHCOR2, CO2R2, NR3COR3; CR2CONHR3, NHSO2R2, OR2, NR2R3, CO2R2; R2, R3 = H, alkyl, cycloalkyl, aryl; R4 = H, .beta.-alkyl; X, Y, Z can not all be H when R1 = H, alkyl, and when R1 = Ph and R4 = H, Me; dashed line = single or double bond] and the use of such compds. as 5.alpha.-reductase inhibitors for treatment of benign prostatic hyperplasia acne, seborrhea, female hirsutism, prostatitis, and prostatic carcinoma and other hyperandrogenetic related disorders.

158522-79-3P 158522-80-6P 158522-86-2P

158522-87-3P 158522-88-4P 158522-89-5P

158522-90-8P 158522-95-3P 158522-98-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

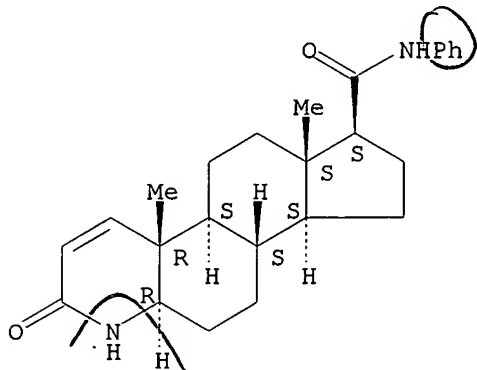
(prepn. of carboxanilides of azaandrostanones as 5.alpha.-reductase inhibitors)

158522-79-3 CAPLUS

10/020,740

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

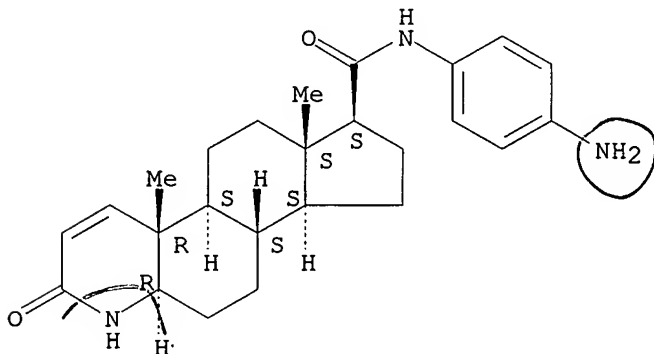
Absolute stereochemistry.



RN 158522-80-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

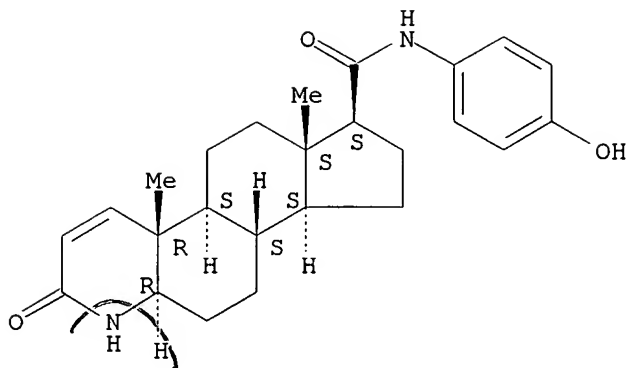
Absolute stereochemistry.



RN 158522-86-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-hydroxyphenyl)-, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

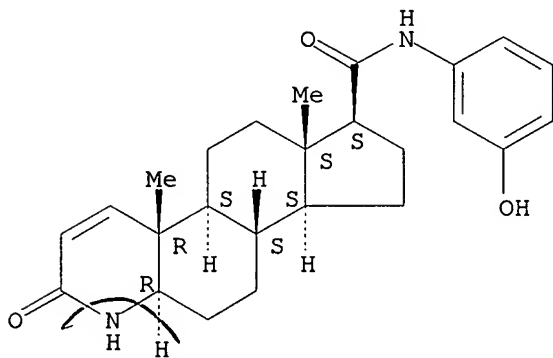
Absolute stereochemistry.



RN 158522-87-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

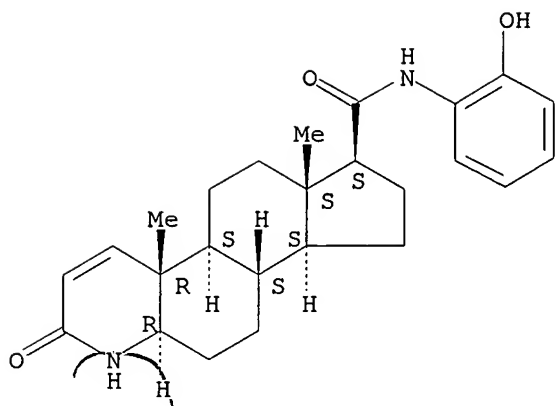
Absolute stereochemistry.



RN 158522-88-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

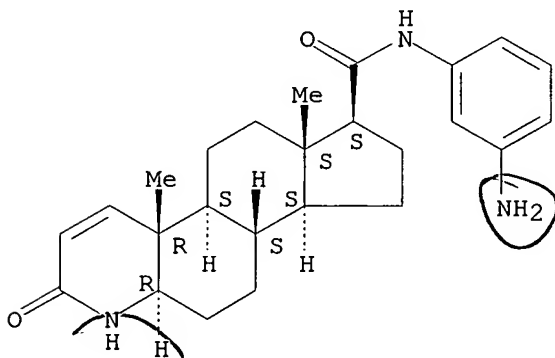
Absolute stereochemistry.



RN 158522-89-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

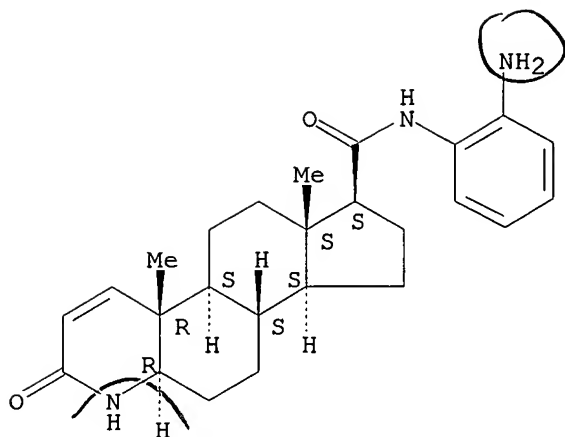
Absolute stereochemistry.



RN 158522-90-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

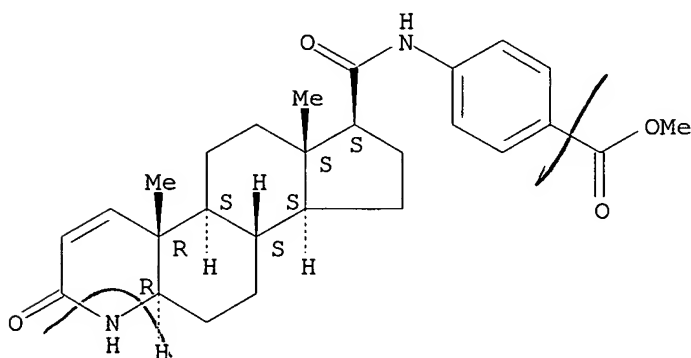
Absolute stereochemistry.



RN 158522-95-3 CAPLUS

CN Benzoic acid, 4-[[[(2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl)carbonyl]amino]-, methyl ester, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

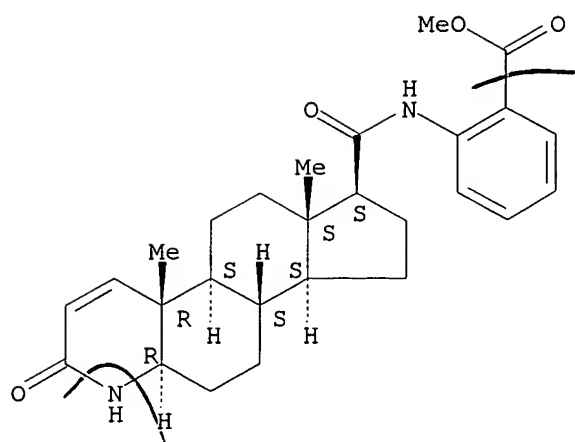
Absolute stereochemistry.



RN 158522-98-6 CAPLUS

CN Benzoic acid, 2-[[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/020,740

~~LS~~ ANSWER 38 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:645681 CAPLUS

~~DN~~ 127:314482

TI Unique preclinical characteristics of GG745, a potent dual inhibitor of 5.alpha.-reductase

AU Bramson, H. Neal; Hermann, David; Batchelor, Kenneth W.; Lee, Frank W.; James, Michael K.; Frye, Stephen V.

CS Division of Biochemistry, Glaxo Wellcome Research Institute, Research Triangle Park, NC, USA

SO Journal of Pharmacology and Experimental Therapeutics (1997), 282(3), 1496-1502

CODEN: JPETAB; ISSN: 0022-3565

PB Williams & Wilkins

DT Journal

LA English

AB Selective inhibition of type 2 5.alpha.-reductase has been shown to be efficacious in the treatment of benign prostatic hyperplasia. Pharmacokinetic and pharmacodynamic results are reported of treatment with a potent inhibitor of both 5.alpha.-reductase isoenzymes, GG745, in rats, dogs and men. In the rat, GG745 has a similar effect on DHT-driven prostatic growth as finasteride, another dual 5.alpha.-reductase inhibitor in this species. However, GG745 appears to be more potent in the rat, a result that likely reflects the greater inherent potency and terminal half-life of GG745 (14 h) compared with that of finasteride (1 h). These pharmacokinetic differences are also maintained in the dog (65 and 4 h for GG745 and finasteride, resp.). From these results, the literature, and in vitro studies, we estd. doses of GG745 likely to prove efficacious in reducing DHT levels in man. These estd. values were predictive of single-dose effects of GG745 in man. Results from single-dose evaluations in man indicate that GG745 has a terminal half-life of .apprx.240 h, and single doses of >10 mg decreased DHT levels significantly more than did single 5-mg doses of finasteride. These data support the hypothesis that a mol. (GG745) that effectively inhibits both 5.alpha.-reductases will lower serum DHT levels significantly more than a mol. that inhibits only a single 5.alpha.-reductase isoenzyme (e.g., finasteride, a selective inhibitor of the type 2 enzyme in man).

IT 164656-23-9, GG745

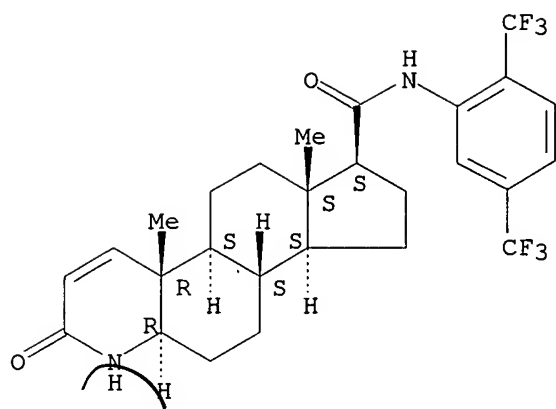
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preclin. characteristics of GG745, potent dual inhibitor of 5.alpha.-reductase, for treatment of prostatic hyperplasia: comparison with finasteride)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



applicant
 L15 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1997:459157 CAPLUS

DN 127:145293

TI 4-Methyl-3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-N-aryl-carboxamides: an approach to combined androgen blockade [5.alpha.-reductase inhibition with androgen receptor binding in vitro]

AU Tolman, Richard L.; Sahoo, Soumya P.; Bakshi, Raman K.; Gratale, Dominick; Patel, Gool; Patel, Sushma; Toney, Jeffrey; Chang, Benedict; Harris, Georgianna S.

CS Merck Research Laboratories, Departments of Medicinal Chemistry and Enzymology, Rahway, NJ, 07065, USA

SO Journal of Steroid Biochemistry and Molecular Biology (1997), 60(5/6), 303-309

CODEN: JSBBEZ; ISSN: 0960-0760

PB Elsevier

DT Journal

LA English

AB 4-Aza-5.alpha.-androst-1-ene-17.beta.-N-substituted carboxamides are potent human type 2 5.alpha.-reductase (5aR) inhibitors with generally poor binding to the human androgen receptor (hAR). When the 17-amide N-substituent included an arom. residue, potent dual inhibitors of both type 1 and 2 5aR are produced, but hAR binding remained poor. Tertiary-substituted-17-amides have reduced inhibition of both 5aR isoenzymes. The addn. of an N4-Me substituent to the A-ring profoundly increased hAR affinity and the addn. of unsatn. to the A-ring (.DELTA.1) modestly augmented hAR binding. The unsubstituted carbanilides in the .DELTA.1-N4-Me series show some selectivity for type 1 5aR over the type 2 isoenzyme, whereas addn. of aryl substituents, particularly at the 2-position, increased type 2 5aR binding to provide dual inhibitors with excellent hAR binding, e.g. N-(2-chlorophenyl)-3-oxo-4-methyl-4-aza-5.alpha.-androst-1-ene-17.beta.-carboxamide(9c). Compds. of this type exhibit low nanomolar IC50s for both human 5aR isoenzymes as well as the human androgen receptor. Kinetic anal. confirms that the prototype 9c displays reversible, competitive inhibition of both human isoenzymes of 5aR with Ki values of less than 10 nM. Furthermore, this compd. binds to the androgen receptor with an IC50 equal to 8 nM. Compds. in this series are projected to be powerful antagonists of testosterone and dihydrotestosterone action in vivo, with potential utility in the treatment of prostatic carcinoma (PC).

IT 158522-79-3P 158522-91-9P 188589-51-7P

188589-59-5P 188589-61-9P 188589-63-1P

188589-66-4P 188589-69-7P 193408-82-1P

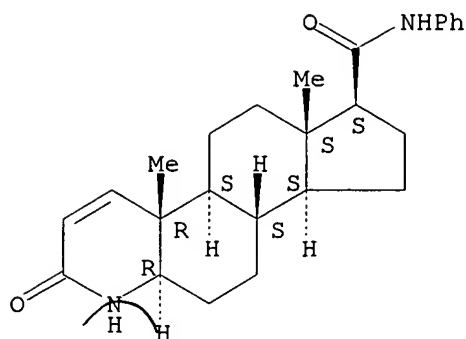
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(azasteroids as an approach to combined 5.alpha.-reductase inhibition with androgen receptor binding in vitro)

RN 158522-79-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

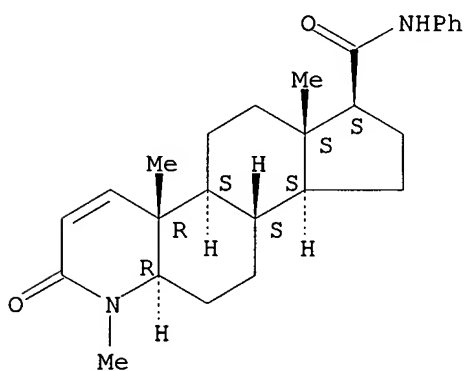
Absolute stereochemistry.



RN 158522-91-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

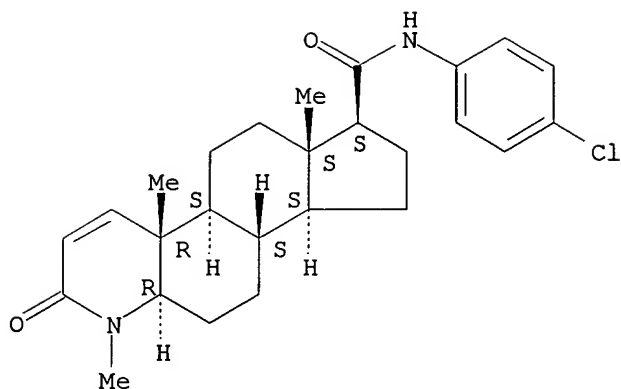
Absolute stereochemistry.



RN 188589-51-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

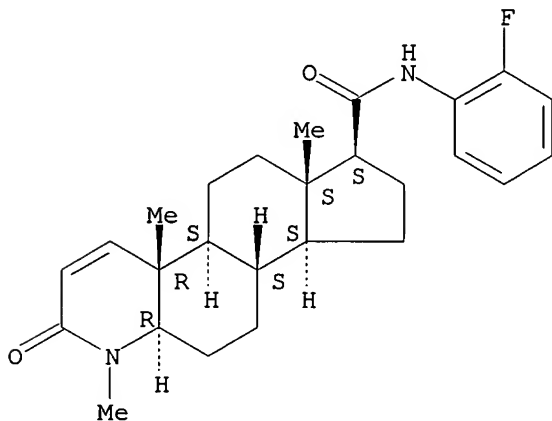
Absolute stereochemistry.



RN 188589-59-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-
oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

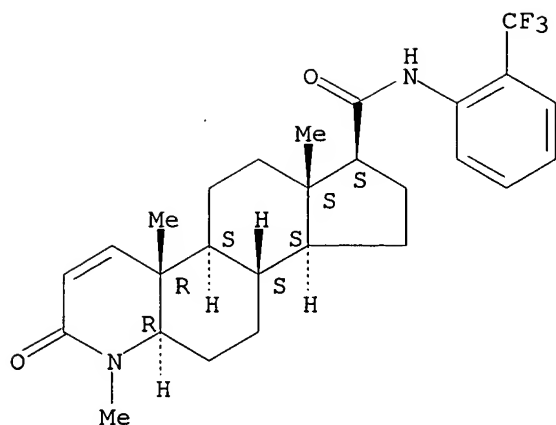
Absolute stereochemistry.



RN 188589-61-9 CAPLUS

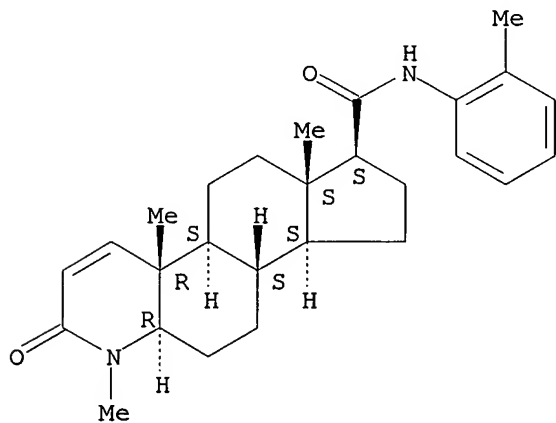
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



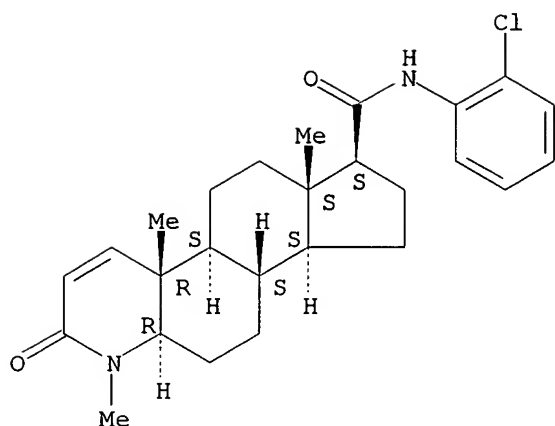
RN 188589-63-1 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



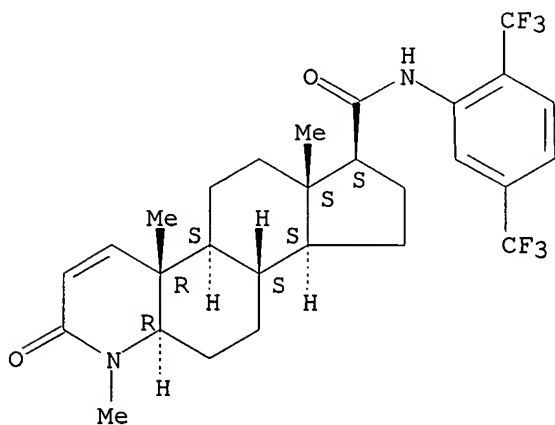
RN 188589-66-4 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



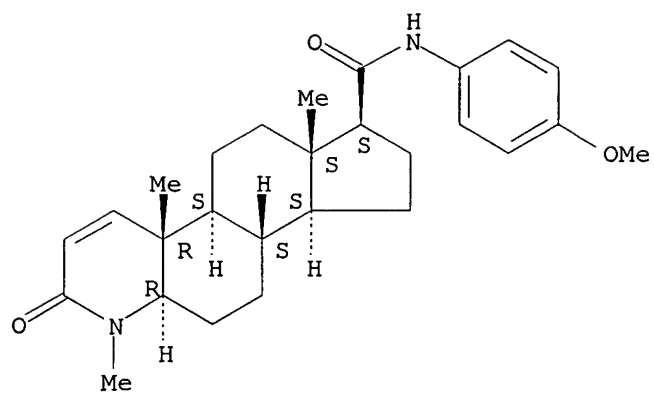
RN 188589-69-7 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193408-82-1 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-methoxyphenyl)-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/020,740

15 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1997:329289 CAPLUS

DN 126:301795

TI Method of preventing androgenetic alopecia with substituted
4-aza-5.alpha.-androst-1-ene-3-one 5-alpha reductase inhibitors

IN Gormley, Glenn J.; Kaufman, Keith D.

PA Merck and Co., Inc., USA; Gormley, Glenn J.; Kaufman, Keith D.

SO PCT Int. Appl., 26 pp.

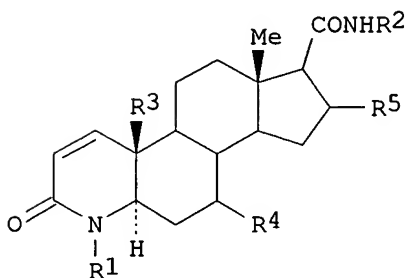
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9711702	A1	19970403	WO 1996-US15164	19960923
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	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	CA 2231434	AA	19970403	CA 1996-2231434	19960923
	AU 9670782	A1	19970417	AU 1996-70782	19960923
	EP 859617	A1	19980826	EP 1996-931671	19960923
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 11513380	T2	19991116	JP 1996-513521	19960923
PRAI	US 1995-4421P	P	19950927		
	GB 1996-2834	A	19960213		
	WO 1996-US15164	W	19960923		
OS	MARPAT 126:301795				
GI					



I

AB A method for preventing androgenetic alopecia (male pattern baldness) and promoting hair growth by administering 5.alpha.-reductase 2 inhibitors is presented. The 5.alpha.-reductase 2 inhibitors have e.g. structural formula I [R1 = H, Me, Et; R2 = hydrocarbon radical selected from (un)substituted straight/branched chain C1-12 alkyl and monocyclic aryl; R' = H, Me; R'' = H, .beta.-methyl; and R''' = H, .alpha.-Me, .beta.-methyl] or a pharmaceutically acceptable salt thereof. Oral and topical administration of substituted 4-aza-5.alpha.-androst-1-ene-3-one 5-alpha reductase inhibitors is proposed and some phys. characterization

and prepn. (for fanasteride form I and II) data are presented.

IT **164656-23-9**

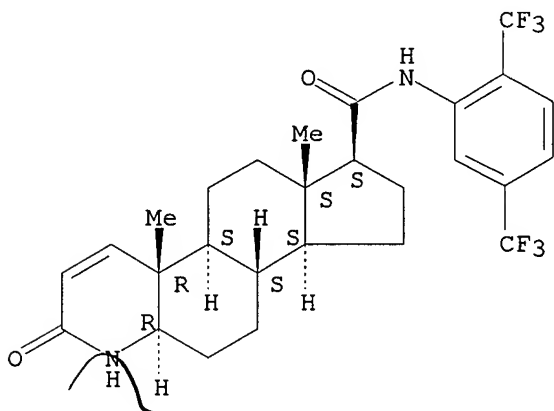
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(androgenetic alopecia preventing with 5.alpha.-reductase 2 inhibitors)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1997:265608 CAPLUS

DN 126:251287

TI Preparation of 4-azasteroids for treatment of hyperandrogenic conditions

IN Bakshi, Raman K.; Sahoo, Soumya P.; Tolman, Richard L.

PA Merck and Co., Inc., USA; Bakshi, Raman, K.; Sahoo, Soumya, P.; Tolman, Richard, L.

SO PCT Int. Appl., 54 pp.

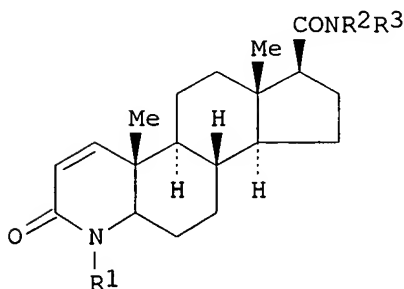
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9710217	A1	19970320	WO 1996-US14564	19960911
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	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9669737	A1	19970401	AU 1996-69737	19960911
	AU 707324	B2	19990708		
	EP 859761	A1	19980826	EP 1996-930822	19960911
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
	JP 11512434	T2	19991026	JP 1996-512068	19960911
	US 6001844	A	19991214	US 1998-29926	19980311
PRAI	US 1995-3826P	P	19950915		
	GB 1996-3487	A	19960220		
	WO 1996-US14564	W	19960911		
OS	MARPAT 126:251287				
GI					



AB 4-Azaandrostanamides I (R1 = Me, Et; R2 = H, alkyl; R3 = aryl, heteroaryl) were prepd. and tested for 5.alpha.-reductase inhibitory activity, an indication of their usefulness for the treatment of hyperandrogenic conditions such as androgenetic alopecia, prostatic carcinoma, benign prostatic hyperplasia, acne vulgaris, and seborrhea. Thus, I (R1 = Me, R2 = H, R3 = 4-ClC6H4) was prepd. starting from 3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-methycarboxylate and 4-ClC6H4NH2 and showed IC50 values of 40, 100, and 10 nM when tested for type 1 5.alpha.-reductase, type 2

5.alpha.-reductase, and human antiandrogen activity, resp.

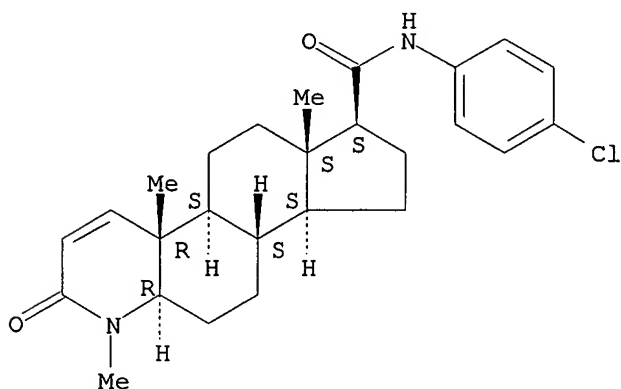
IT 188589-51-7P 188589-55-1P 188589-59-5P
188589-61-9P 188589-63-1P 188589-66-4P
188589-69-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-azasteroids for treatment of hyperandrogenic conditions)

RN 188589-51-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

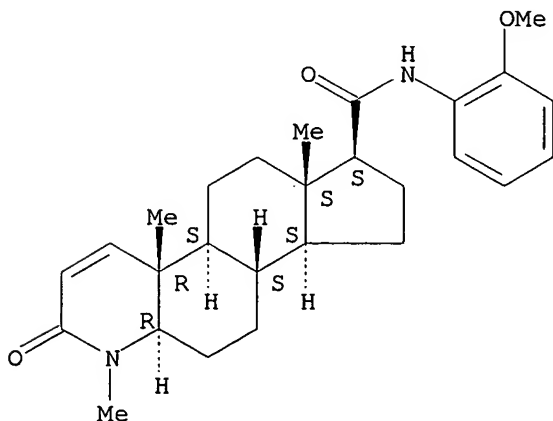
Absolute stereochemistry.



RN 188589-55-1 CAPLUS'

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-methoxyphenyl)-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



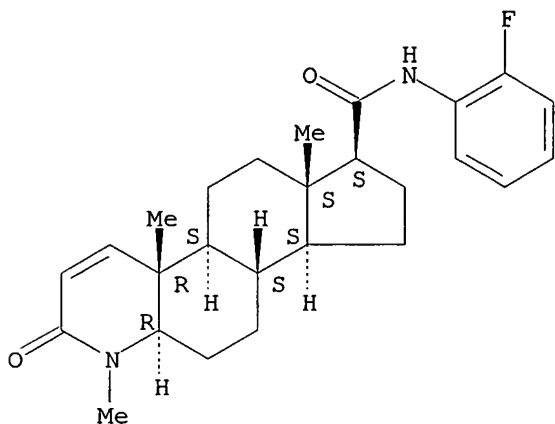
RN 188589-59-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-

10/020,740.

oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

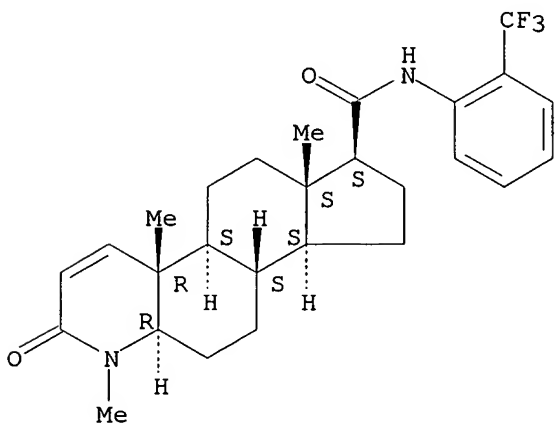
Absolute stereochemistry.



RN 188589-61-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

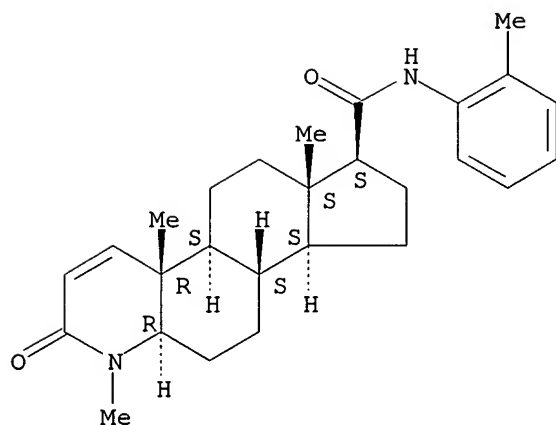
Absolute stereochemistry.



RN 188589-63-1 CAPLUS

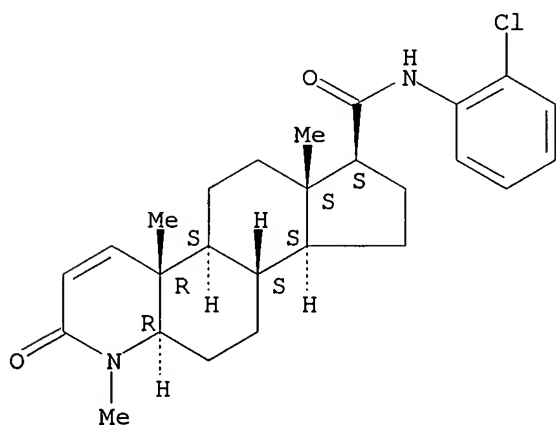
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



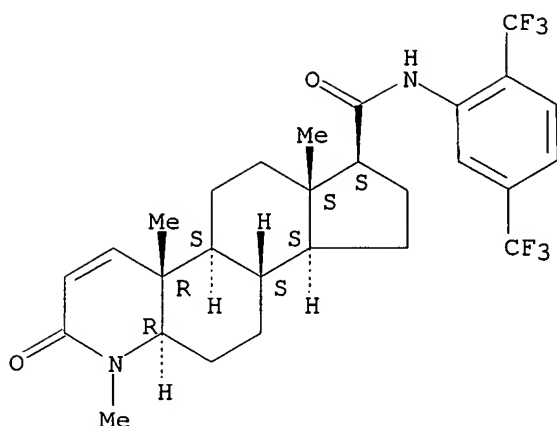
RN 188589-66-4 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-
 oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



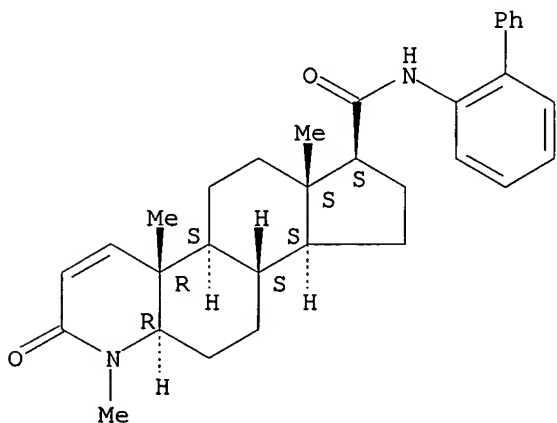
RN 188589-69-7 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
 bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
 tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



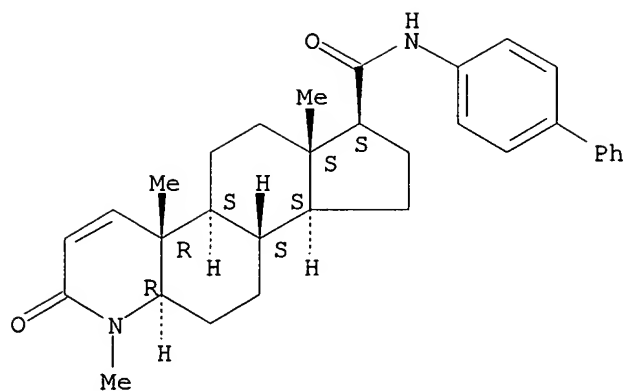
IT 188589-71-1P 188589-73-3P 188589-80-2P
 188589-84-6P 188589-87-9P 188589-89-1P
 188589-91-5P 188589-93-7P 188589-95-9P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-azasteroids for treatment of hyperandrogenic conditions)
 RN 188589-71-1 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-2-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188589-73-3 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-4-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

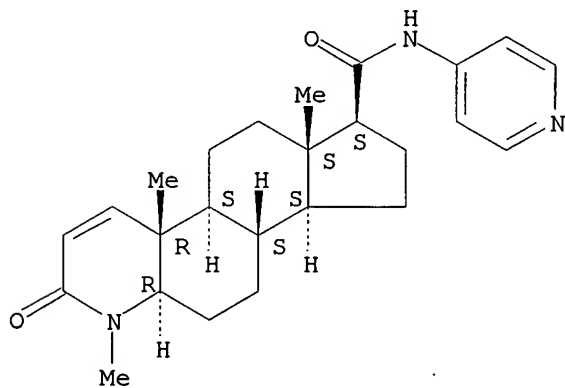
Absolute stereochemistry.



RN 188589-80-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-4-pyridinyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

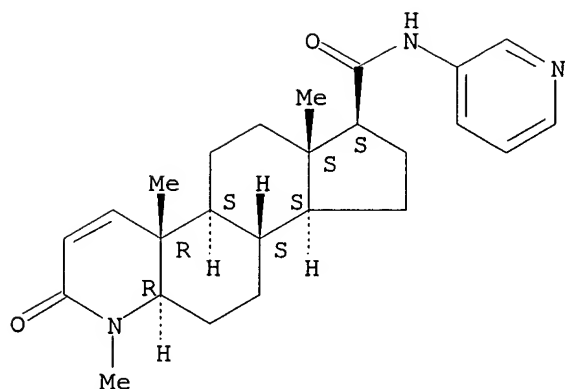
Absolute stereochemistry.



RN 188589-84-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-3-pyridinyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

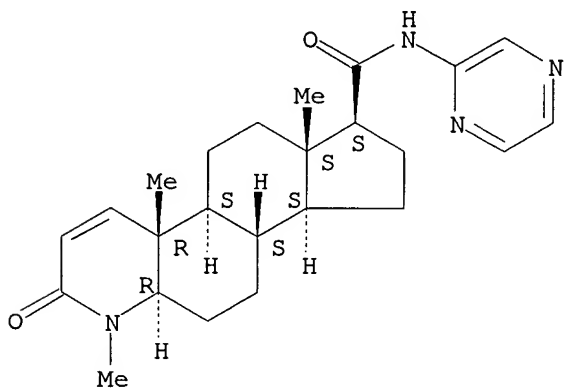
Absolute stereochemistry.



RN 188589-87-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-pyrazinyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

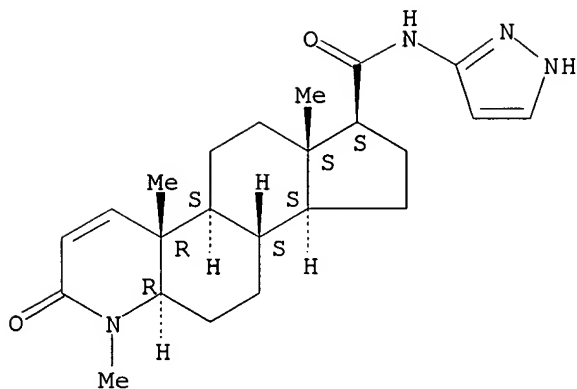
Absolute stereochemistry.



RN 188589-89-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-1H-pyrazol-3-yl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

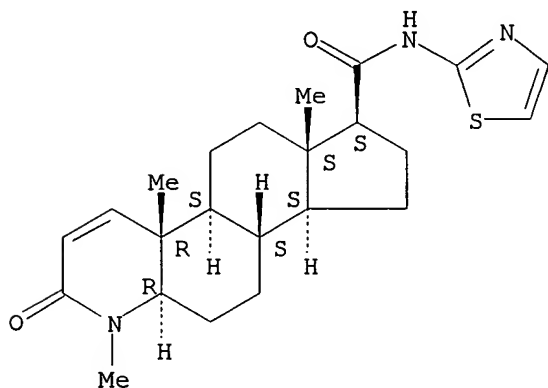
Absolute stereochemistry.



RN 188589-91-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-2-thiazolyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

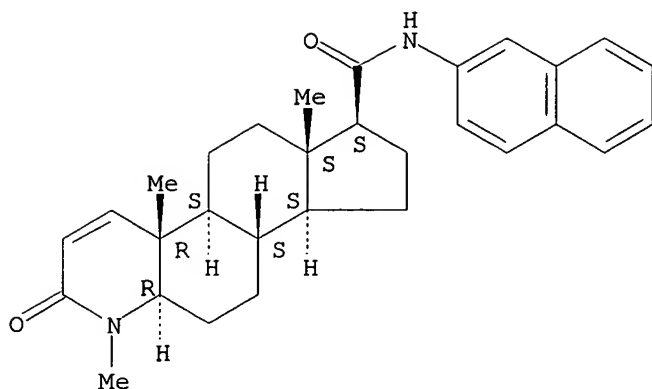
Absolute stereochemistry.



RN 188589-93-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-2-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

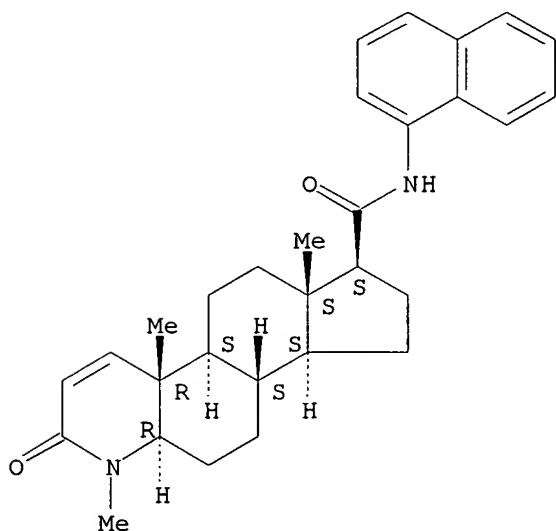
Absolute stereochemistry.



RN 188589-95-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-1-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~DI5~~ ANSWER 42 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:637682 CAPLUS

~~DN~~ 125:309040

TI Preparation and formulation of an androstenone derivative for treatment of androgen-related diseases

IN Batchelor, Kenneth W.; Frye, Stephen V.; Dorsey, George F., Jr.; Mook, Robert A., Jr.

PA Glaxo Wellcome Inc., USA

SO U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 123,280, abandoned.

CODEN: USXXAM

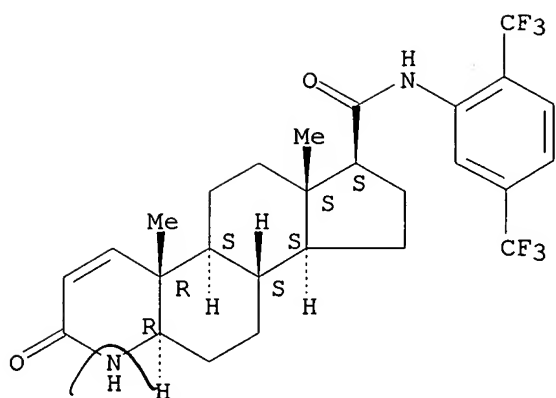
DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5565467	A	19961015	US 1995-405120	19950316
	ZA 9407118	A	19950526	ZA 1994-7118	19940915
	ZA 9407119	A	19950526	ZA 1994-7119	19940915
	CA 2170047	AA	19950323	CA 1994-2170047	19940916
	CN 1131424	A	19960918	CN 1994-193410	19940916
	CN 1057771	B	20001025		
	HU 73850	A2	19960930	HU 1996-656	19940916
	HU 220060	B	20011028		
	EP 783001	A1	19970709	EP 1997-200658	19940916
	EP 783001	B1	19991117		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 162199	E	19980115	AT 1994-929828	19940916
	ES 2113127	T3	19980416	ES 1994-929828	19940916
	IL 110978	A1	19990126	IL 1994-110978	19940916
	CZ 286069	B6	20000112	CZ 1996-745	19940916
	US 5846976	A	19981208	US 1996-708167	19960822
PRAI	US 1993-123280	B2	19930917		
	US 1993-136515	A	19931012		
	EP 1994-928605	A3	19940916		
	US 1995-405120	A3	19950316		
AB	The present invention relates to the compd. 17.beta.-N-(2,5-bis(trifluoromethyl))phenylcarbamoyl-4-aza-5.alpha.-androst-1-en-3-one, solvates thereof, its prepn., intermediates used in its prepn., pharmaceutical formulations thereof and its use in the treatment of androgen-responsive and -mediated diseases (no data).				
IT	164656-23-9P				
	RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)				
	(prepn. and formulation of an androstenone deriv. for treatment of androgen-related diseases)				
RN	164656-23-9 CAPLUS				
CN	1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)				
	(CA INDEX NAME)				

Absolute stereochemistry.



~~DI~~5 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:171150 CAPLUS

~~DN~~ 124:249630

TI 4-Aza-3-oxo-5.alpha.-androst-1-ene-17.beta.-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5.alpha.-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5.alpha.-Reductase Inhibitory Potency. [Erratum to document cited in CA123:187677]

AU Bakshi, Raman K.; Rasmusson, Gary H.; Patel, Gool F.; Mosley, Ralph T.; Chang, Benedict; Ellsworth, Kenneth; Harris, Georgianna S.; Tolman, Richard L.

CS USA

SO Journal of Medicinal Chemistry (1996) 39(5), 1192

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB The errors were not reflected in the abstr. or the index entries.

IT 158522-79-3P 158522-80-6P 158522-86-2P

158522-87-3P 158522-88-4P 158522-89-5P

158522-90-8P 164656-23-9P 167557-96-2P

167557-97-3P 167557-98-4P 167557-99-5P

167558-00-1P 167558-01-2P 167558-02-3P

167558-03-4P 167558-04-5P 167558-05-6P

167558-06-7P 167558-07-8P 167558-08-9P

167558-09-0P 167558-10-3P 167558-11-4P

167558-12-5P 167558-13-6P 167558-14-7P

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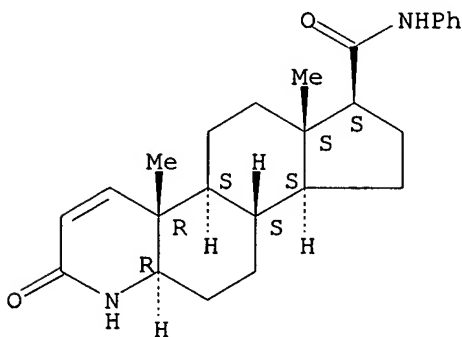
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure activity relations of androstene arylcarboxamides as dual inhibitors of human type 1 and type 2 steroid 5.alpha.-reductases (Erratum))

RN 158522-79-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

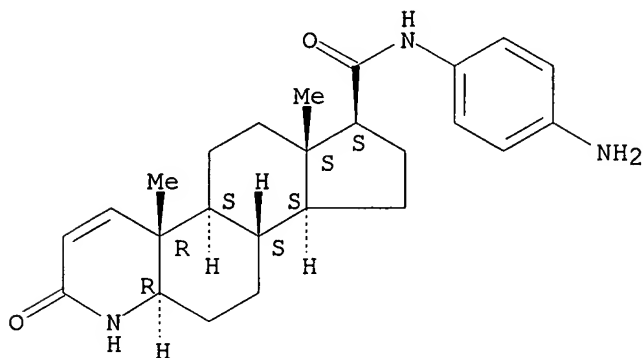


RN 158522-80-6 CAPLUS

10/020,740

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

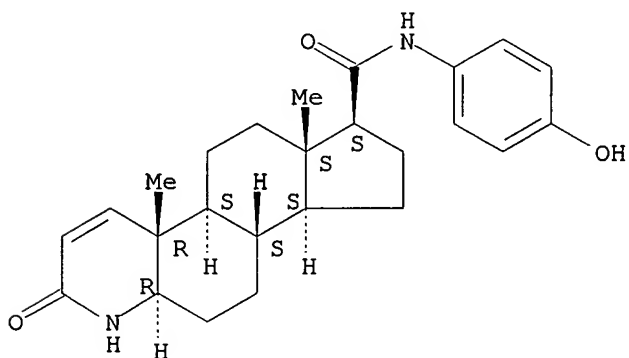
Absolute stereochemistry.



RN 158522-86-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

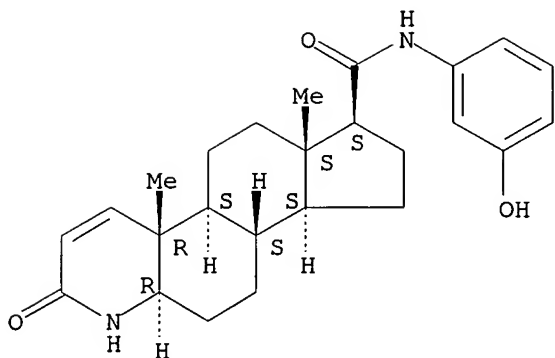
Absolute stereochemistry.



RN 158522-87-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

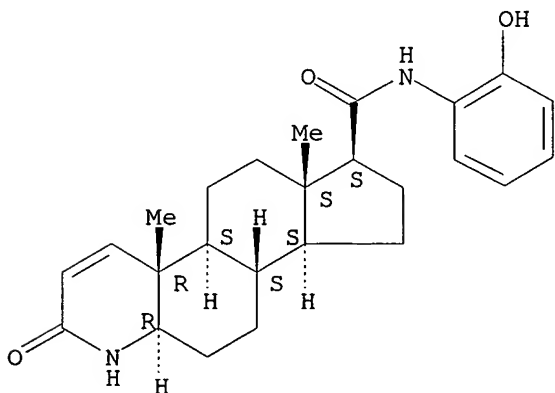
Absolute stereochemistry.



RN 158522-88-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

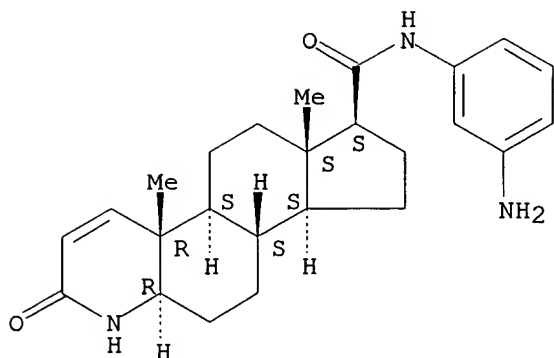
Absolute stereochemistry.



RN 158522-89-5 CAPLUS

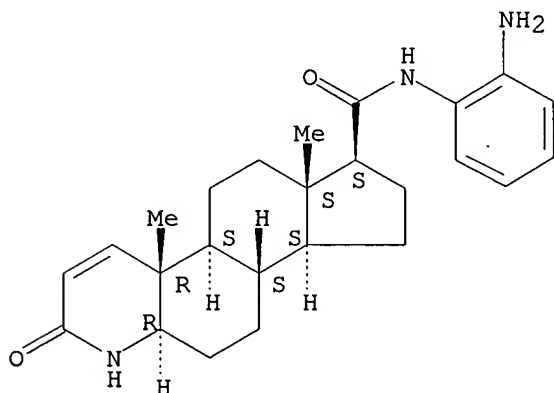
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



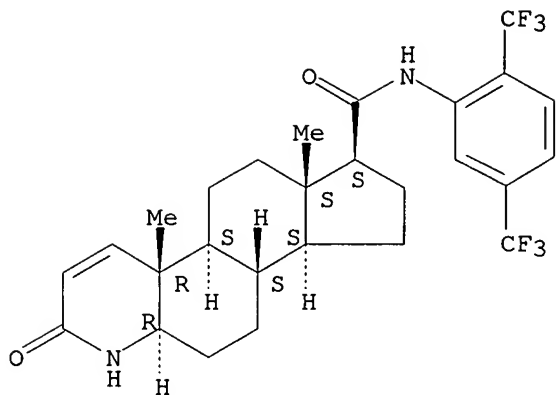
RN 158522-90-8 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
 (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164656-23-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
 bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
 tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
 (CA INDEX NAME)

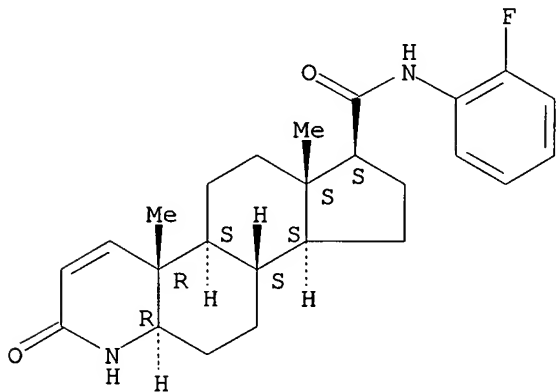
Absolute stereochemistry.



RN 167557-96-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

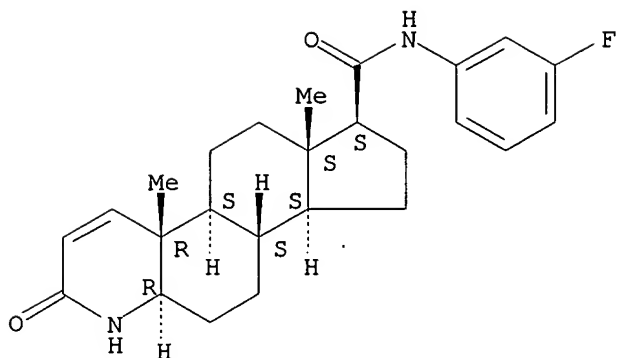
Absolute stereochemistry.



RN 167557-97-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

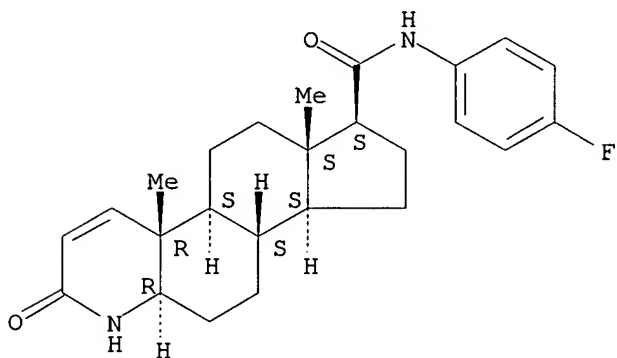
Absolute stereochemistry.



RN 167557-98-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

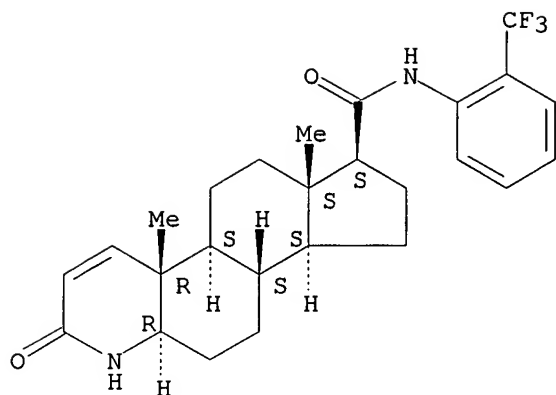
Absolute stereochemistry.



RN 167557-99-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

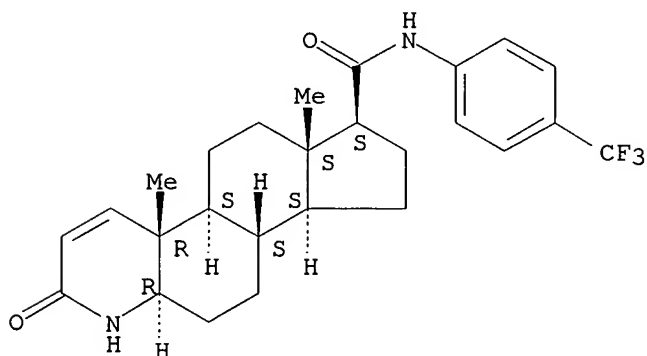
Absolute stereochemistry.



RN 167558-00-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[4-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

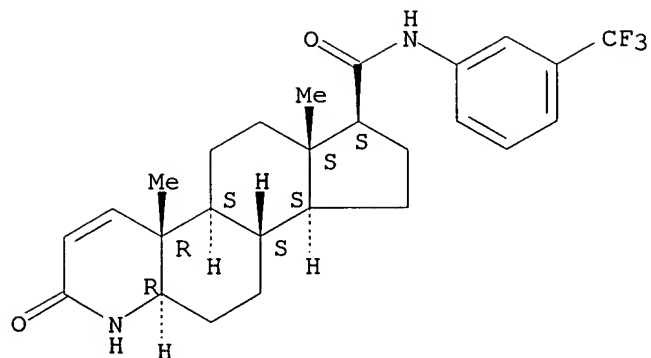
Absolute stereochemistry.



RN 167558-01-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

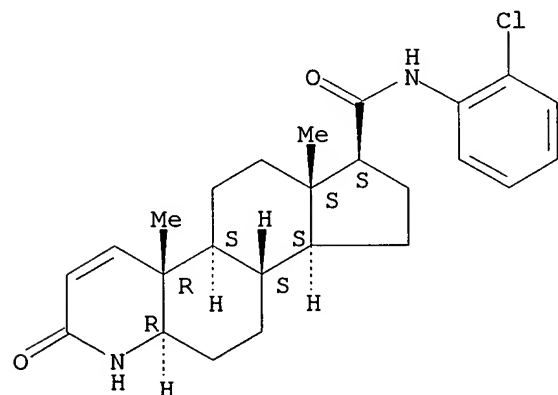
Absolute stereochemistry.



RN 167558-02-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

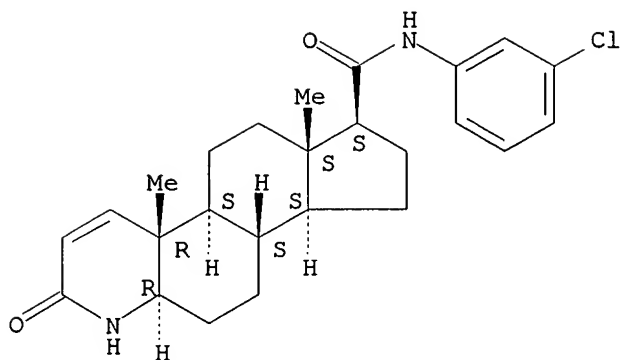
Absolute stereochemistry.



RN 167558-03-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

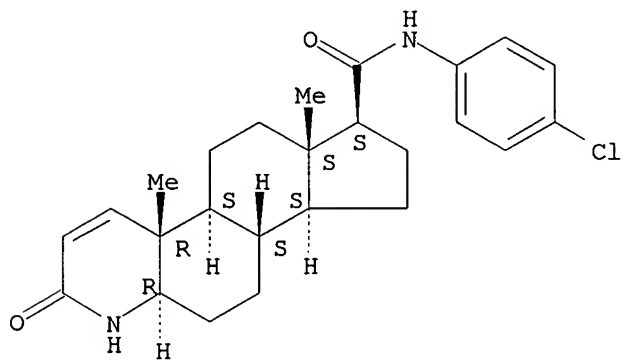
Absolute stereochemistry.



RN 167558-04-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

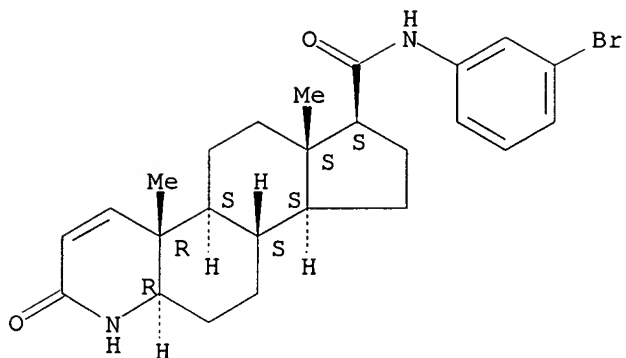
Absolute stereochemistry.



RN 167558-05-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-bromophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

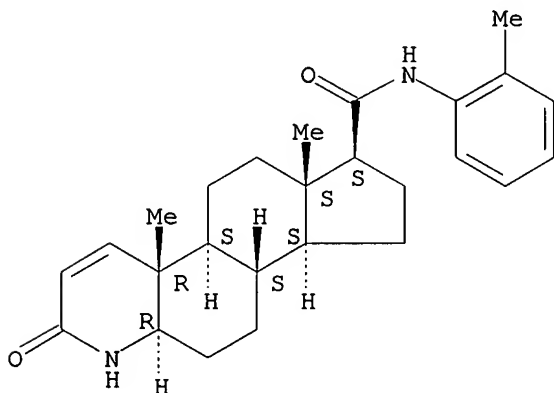
Absolute stereochemistry.



RN 167558-06-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

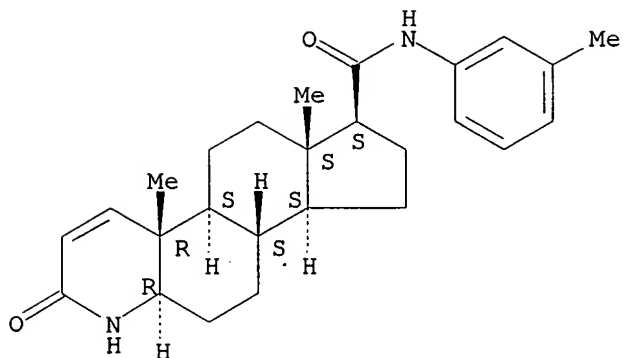
Absolute stereochemistry.



RN 167558-07-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(3-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

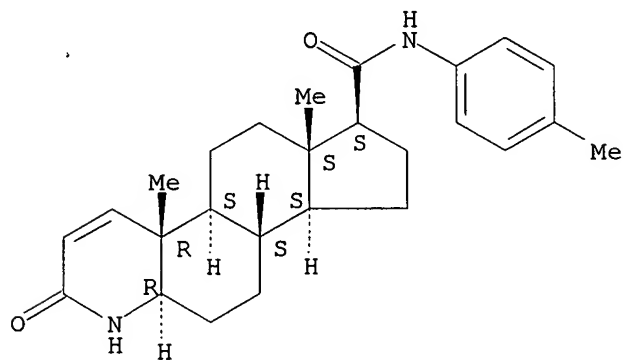
Absolute stereochemistry.



RN 167558-08-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(4-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

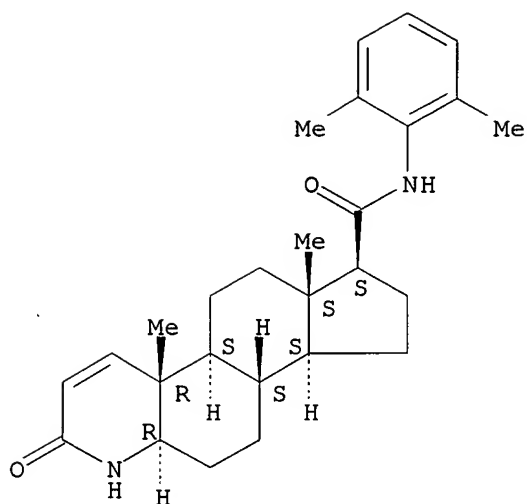
Absolute stereochemistry.



RN 167558-09-0 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2,6-dimethylphenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

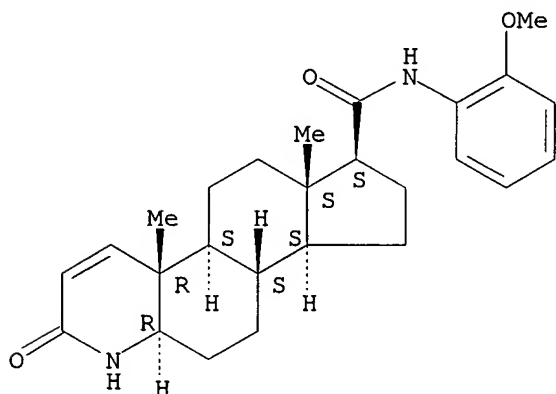
Absolute stereochemistry.



RN 167558-10-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

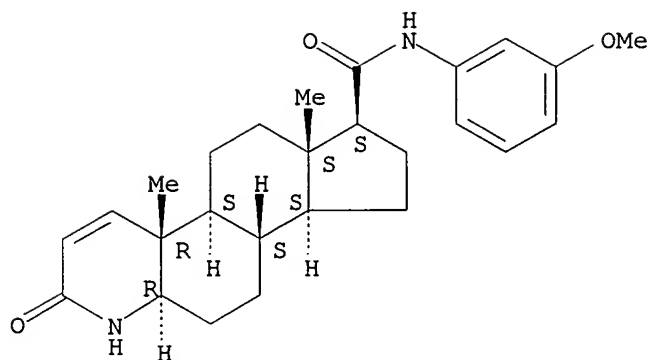
Absolute stereochemistry.



RN 167558-11-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

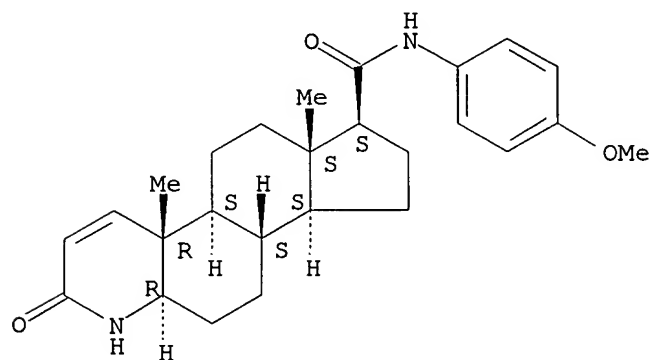
Absolute stereochemistry.



RN 167558-12-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR) - (9CI) (CA INDEX NAME)

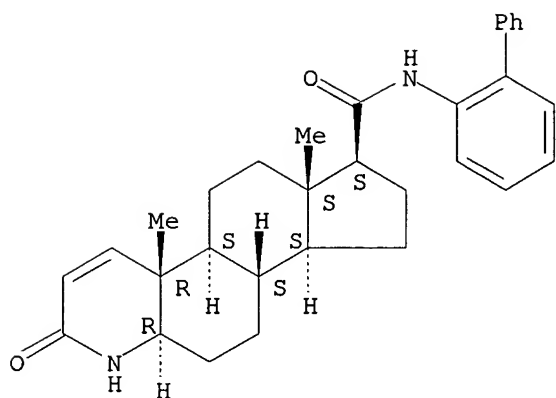
Absolute stereochemistry.



RN 167558-13-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-2-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR) - (9CI) (CA INDEX NAME)

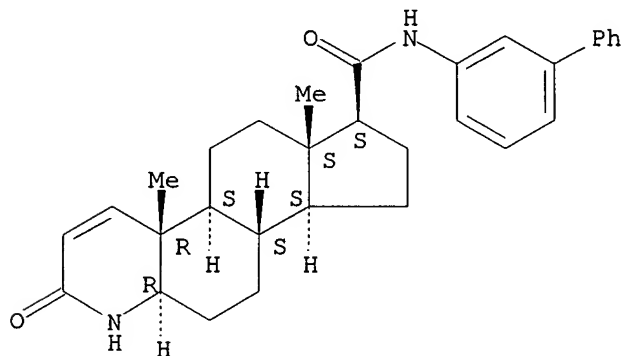
Absolute stereochemistry.



RN 167558-14-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-3-yl-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

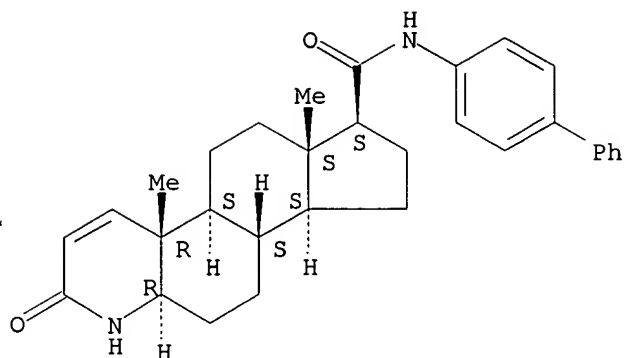
Absolute stereochemistry.



RN 167558-15-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-4-yl-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

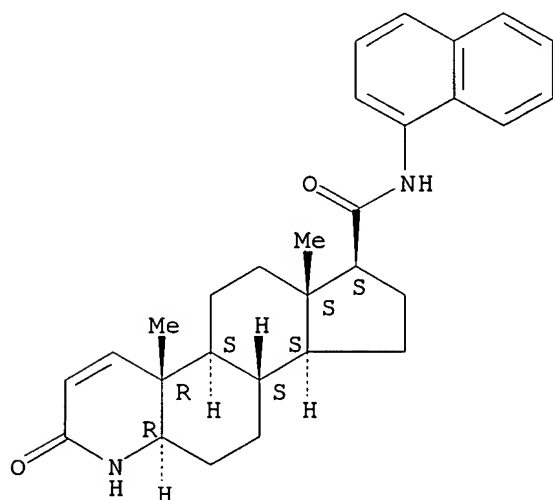
Absolute stereochemistry.



RN 167558-16-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-1-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

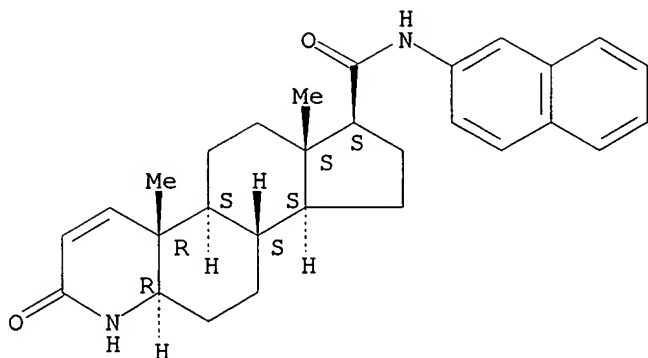
Absolute stereochemistry.



RN 167558-17-0 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-2-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

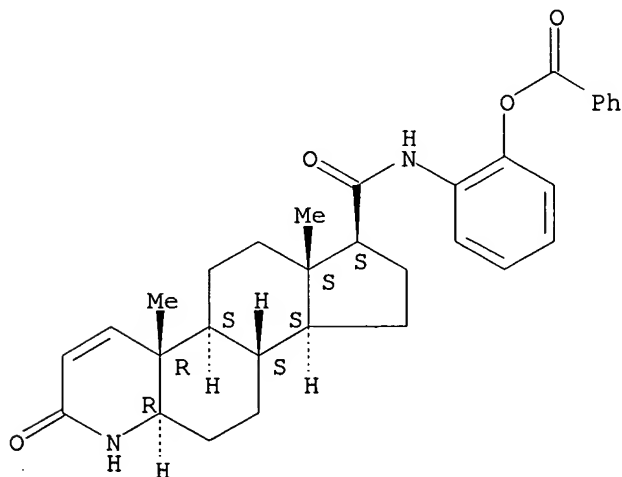
Absolute stereochemistry.



RN 167558-18-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(benzoyloxy)phenyl]-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

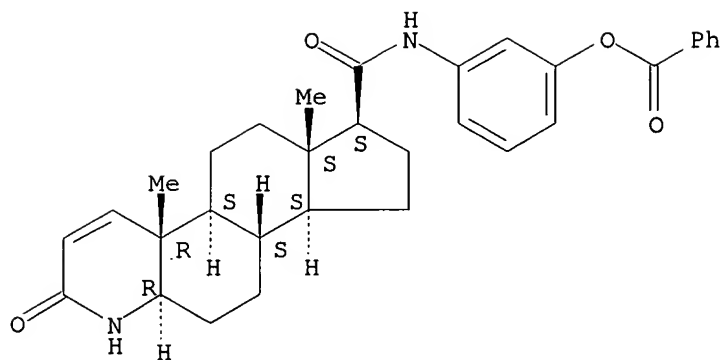
Absolute stereochemistry.



RN 167558-19-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[3-(benzoyloxy)phenyl]-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

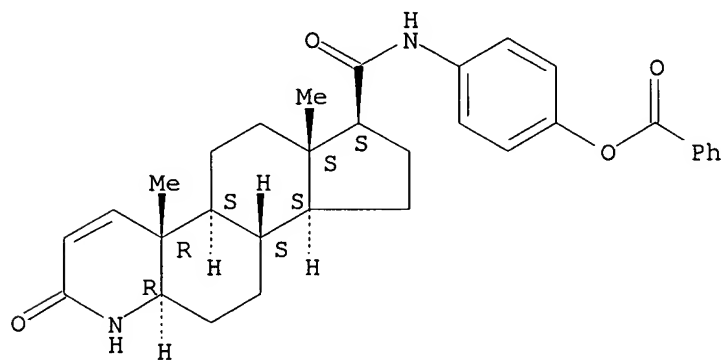
Absolute stereochemistry.



RN 167558-20-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[4-(benzoyloxy)phenyl]-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 44 OF 48 CAPLUS COPYRIGHT 2002 ACS

1995:726591 CAPLUS

123:187677

4-Aza-3-oxo-5.alpha.-androst-1-ene-17.beta.-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5.alpha.-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5.alpha.-Reductase Inhibitory Potency

Bakshi, Raman K.; Rasmusson, Gary H.; Patel, Gool F.; Mosley, Ralph T.; Chang, Benedict; Ellsworth, Kenneth; Harris, Georgianna S.; Tolman, Richard L.

Department of Medicinal Chemical Research Molecular Systems and Biochemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

Journal of Medicinal Chemistry (1995), 38(17), 3189-92

CODEN: JMCMAR; ISSN: 0022-2623 Aug. 18

American Chemical Society

Journal

English

Synthesis and in vitro human type 1 and type 2 5.alpha.-reductase inhibitory activity of 4-aza-5.alpha.-androst-1-en-3-one-17.beta.-N-arylcarboxamides is discussed. The authors have shown that: (a) anilides bind most favorably to both type 1 and type 2 isoenzymes in a trans conformation; (b) introduction of a F or CF₃ group at the ortho position leads to increase in type 1 inhibitory potency; (c) good type 1 inhibitory potency is seen with the .alpha.-naphthyl imide (14a) and meta biphenyl amide (13b); (d) these azasteroids are time-dependent inhibitors of human type 1 and type 2 enzyme and are far more potent than the fixed-time assay results would imply. Furthermore, the authors have not only shown the important differences in the binding pocket of type 1 and type 2 enzyme around C-17, but have also demonstrated that compds. could be optimized to potent dual inhibitors of human type 1 and type 2 5.alpha.-reductase. Azasteroid 7 has shown in vivo efficacy in redn. of prostate size in systemically treated dogs.

158522-79-3P 158522-80-6P 158522-86-2P

158522-87-3P 158522-88-4P 158522-89-5P

158522-90-8P 164656-23-9P 167557-96-2P

167557-97-3P 167557-98-4P 167557-99-5P

167558-00-1P 167558-01-2P 167558-02-3P

167558-03-4P 167558-04-5P 167558-05-6P

167558-06-7P 167558-07-8P 167558-08-9P

167558-09-0P 167558-10-3P 167558-11-4P

167558-12-5P 167558-13-6P 167558-14-7P

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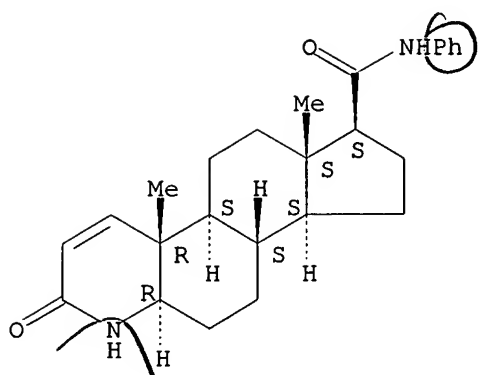
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure activity relations of androstene arylcarboxamides as dual inhibitors of human type 1 and type 2 steroid 5.alpha.-reductases)

158522-79-3 CAPLUS

1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

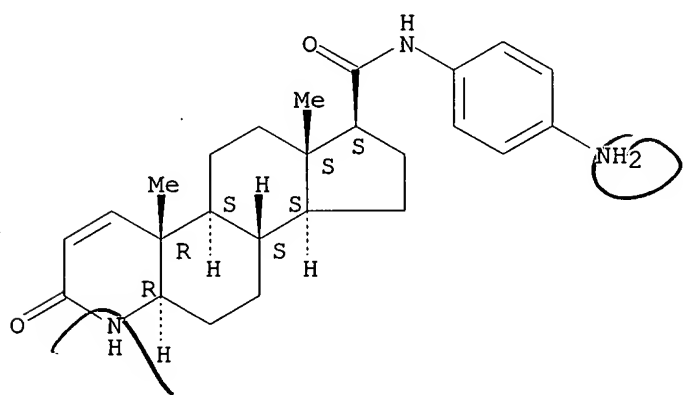
Absolute stereochemistry.



RN 158522-80-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

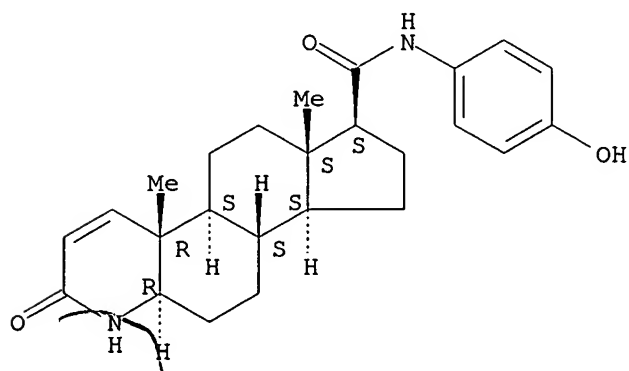
Absolute stereochemistry.



RN 158522-86-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

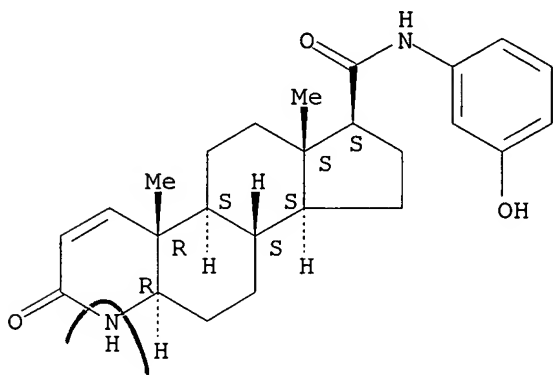
Absolute stereochemistry.



RN 158522-87-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

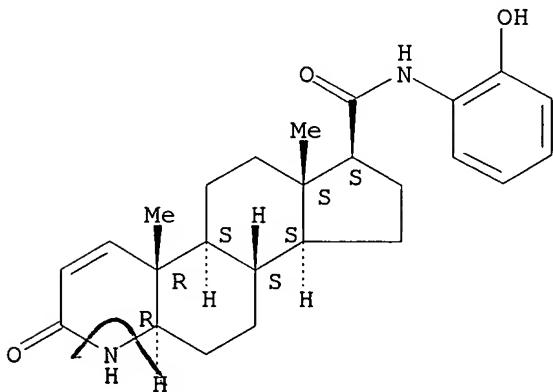
Absolute stereochemistry.



RN 158522-88-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

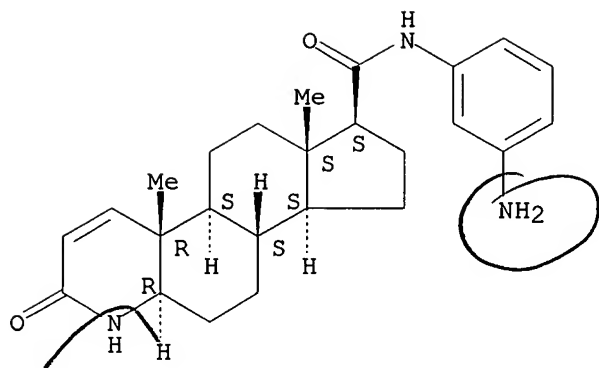
Absolute stereochemistry.



RN 158522-89-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

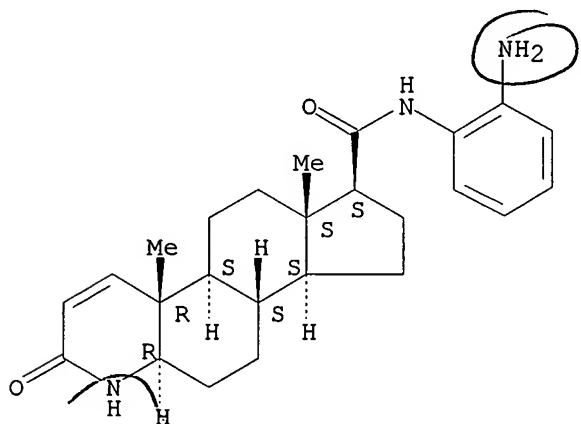
Absolute stereochemistry.



RN 158522-90-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

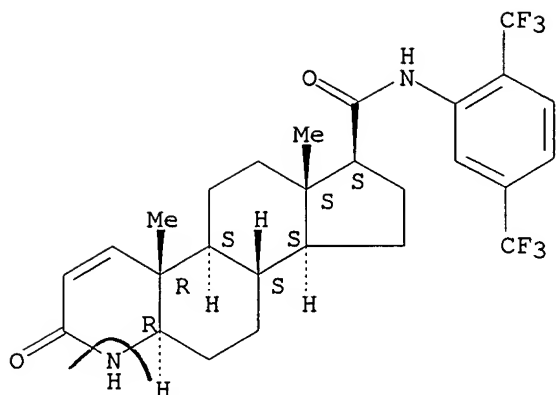
Absolute stereochemistry.



RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-
bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-
tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

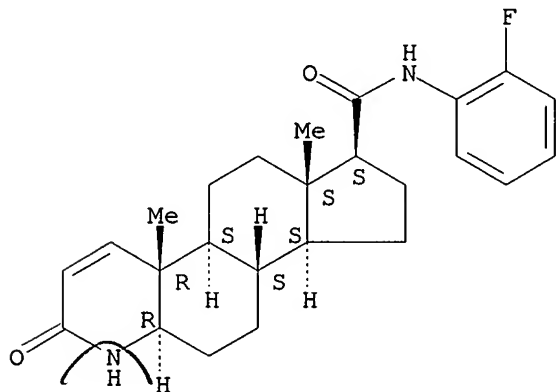
Absolute stereochemistry.



RN 167557-96-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

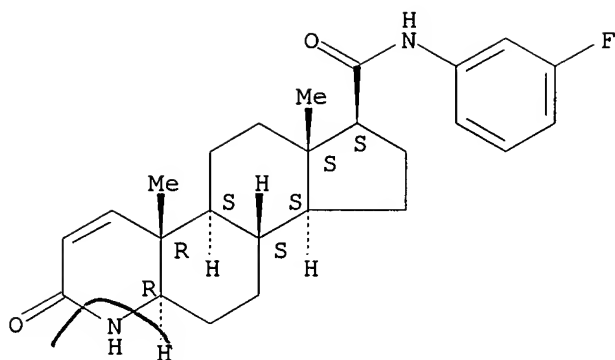
Absolute stereochemistry.



RN 167557-97-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

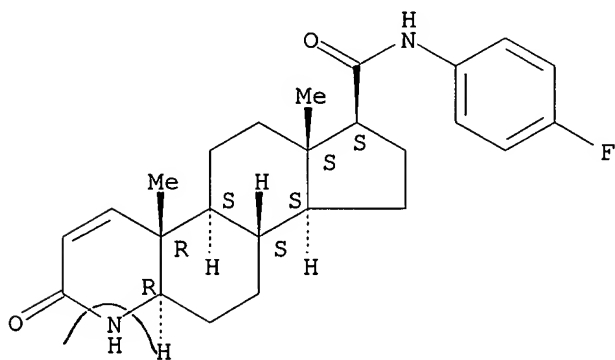
Absolute stereochemistry.



RN 167557-98-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-fluorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

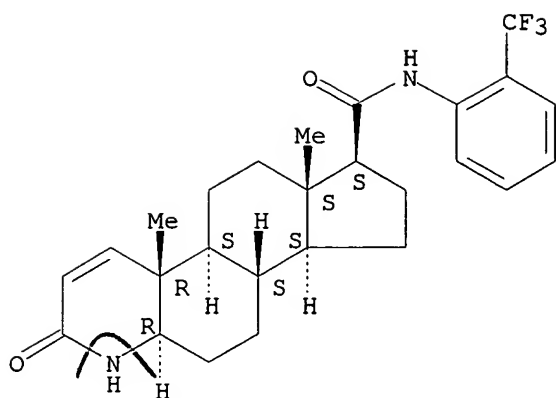
Absolute stereochemistry.



RN 167557-99-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

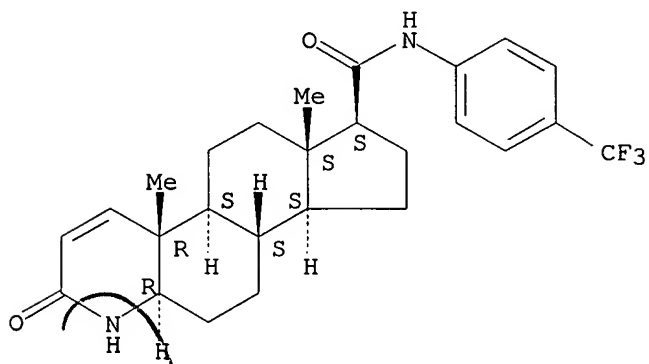
Absolute stereochemistry.



RN 167558-00-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[4-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

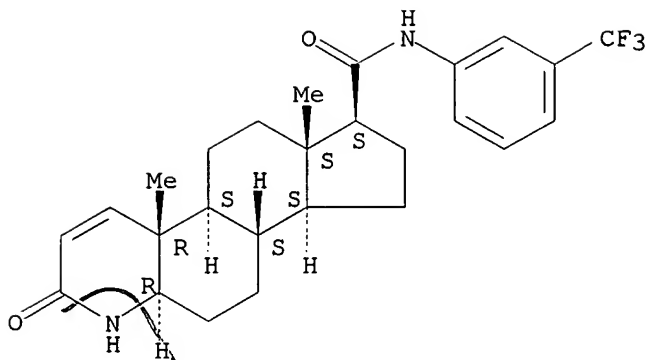
Absolute stereochemistry.



RN 167558-01-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

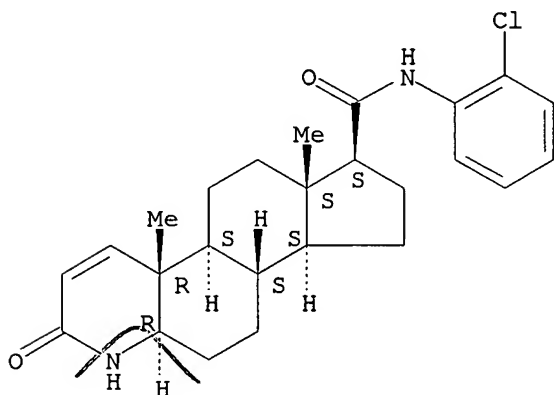
Absolute stereochemistry.



RN 167558-02-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

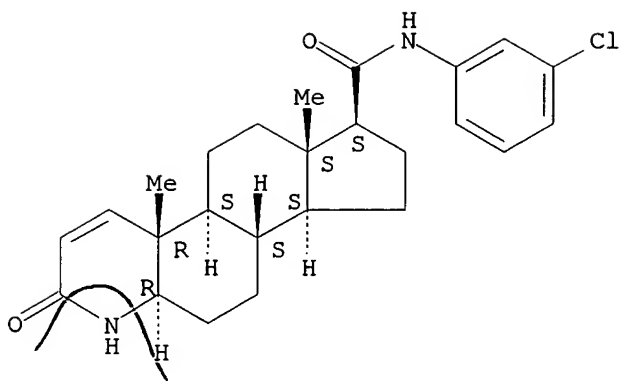
Absolute stereochemistry.



RN 167558-03-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

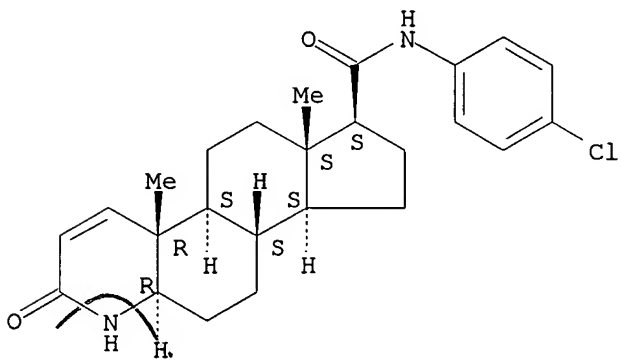
Absolute stereochemistry.



RN 167558-04-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

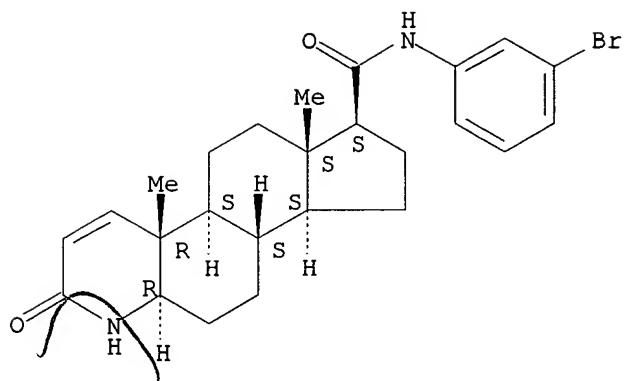
Absolute stereochemistry.



RN 167558-05-6 CAPLUS

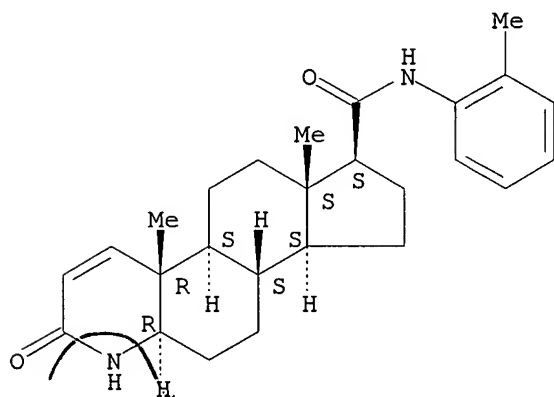
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-bromophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



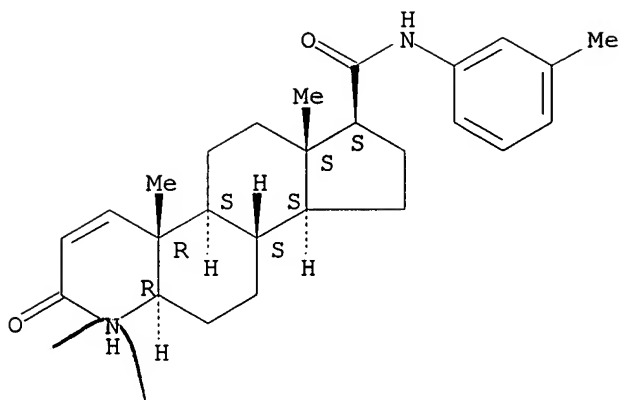
RN 167558-06-7 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



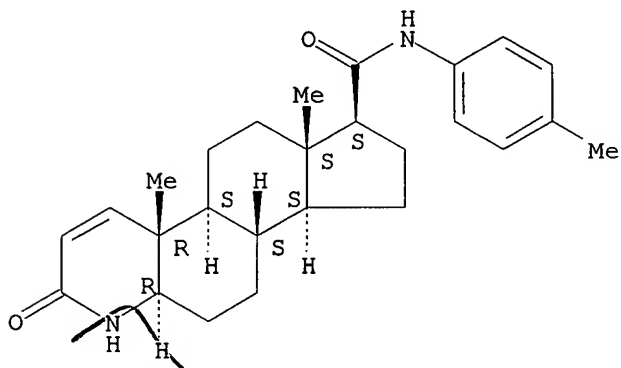
RN 167558-07-8 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(3-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



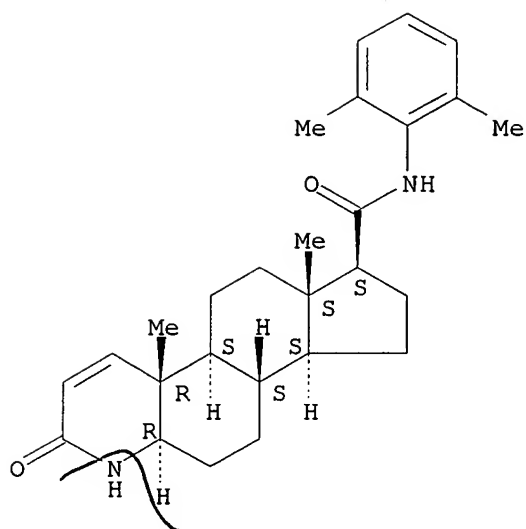
RN 167558-08-9 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(4-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167558-09-0 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2,6-dimethylphenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

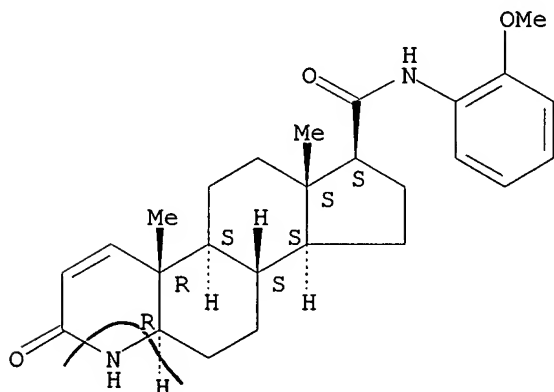
Absolute stereochemistry.



RN 167558-10-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

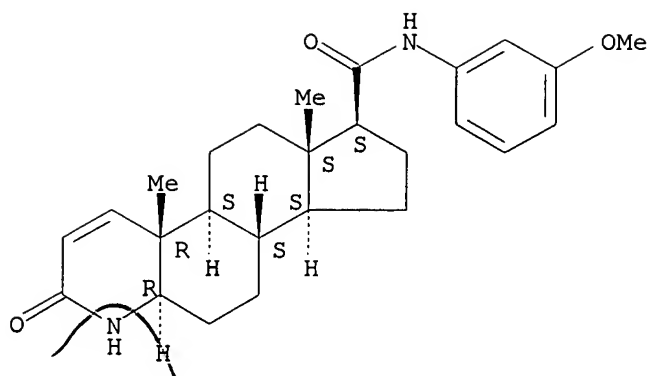
Absolute stereochemistry.



RN 167558-11-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

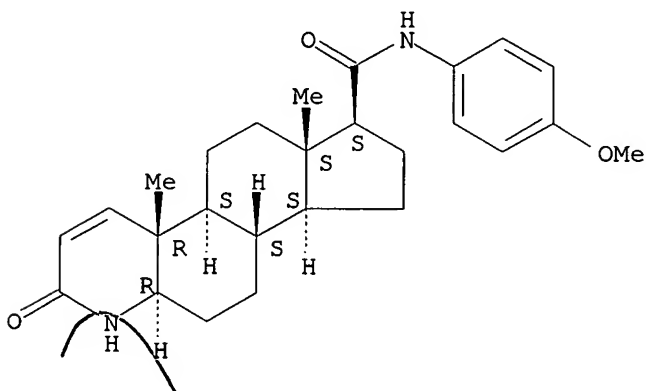
Absolute stereochemistry.



RN 167558-12-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

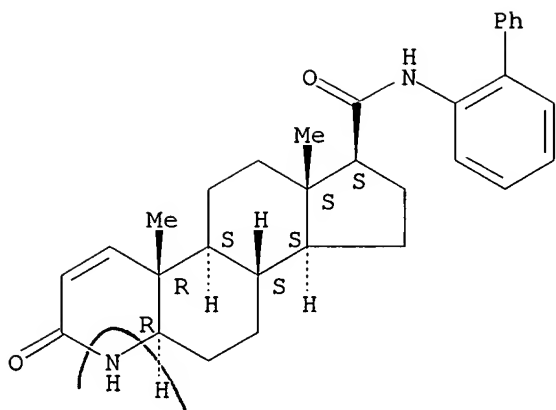
Absolute stereochemistry.



RN 167558-13-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-2-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

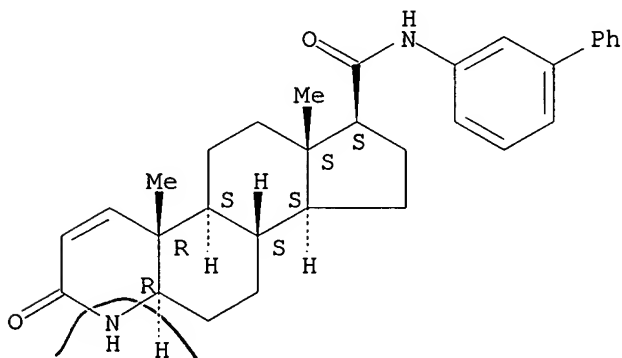
Absolute stereochemistry.



RN 167558-14-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-3-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

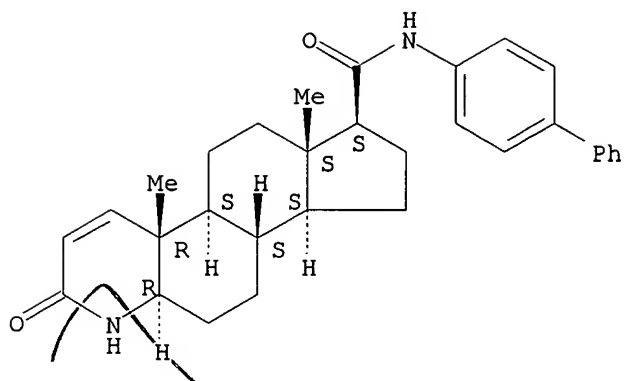
Absolute stereochemistry.



RN 167558-15-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-4-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

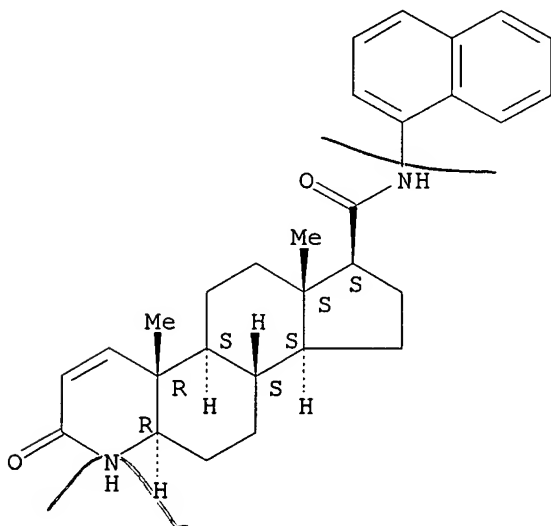
Absolute stereochemistry.



RN 167558-16-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-1-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

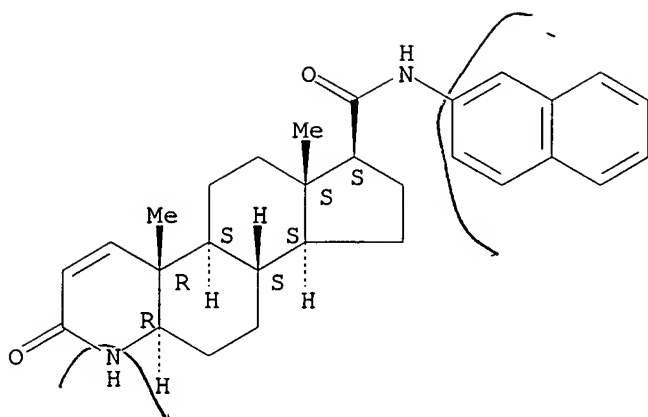
Absolute stereochemistry.



RN 167558-17-0 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-2-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

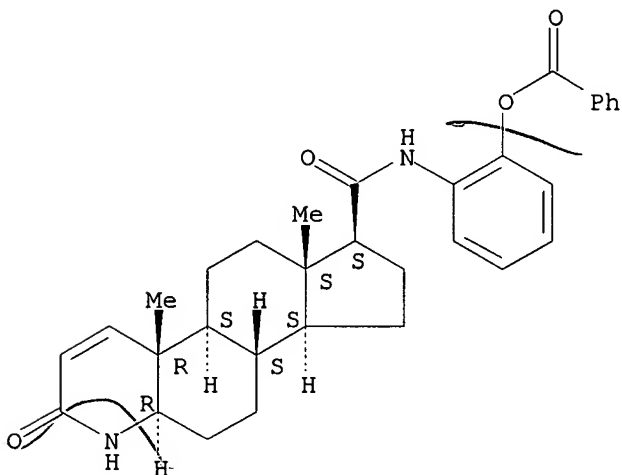
Absolute stereochemistry.



RN 167558-18-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(benzoyloxy)phenyl]-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

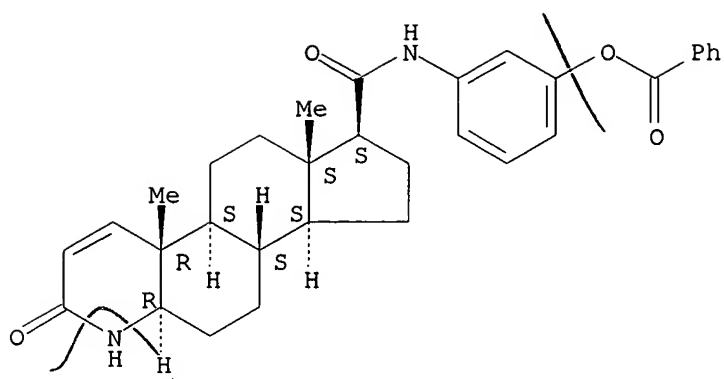
Absolute stereochemistry.



RN 167558-19-2 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[3-(benzoyloxy)phenyl]-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

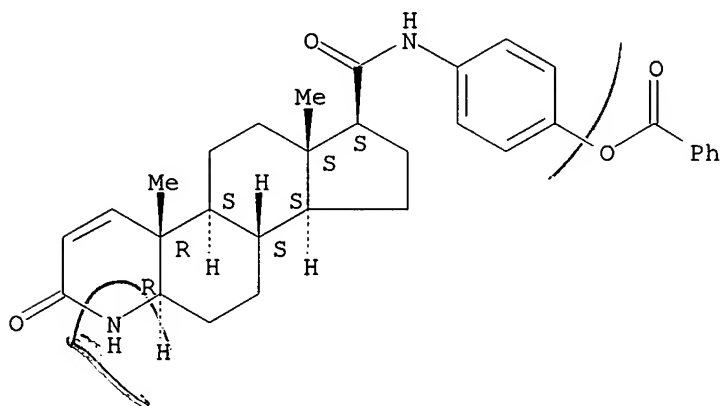
Absolute stereochemistry.



RN 167558-20-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[4-(benzoyloxy)phenyl]-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/020,740

~~15~~ ANSWER 45 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN- 1995:665048 CAPLUS

DN 123:56392

TI 17.beta.-carbamoyl-4-aza-5.alpha.-androstan-3-ones as selective
5.alpha.-reductase inhibitors

IN Batchelor, Kenneth William; Frye, Stephen Vernon

PA Glaxo Inc., USA

SO PCT Int. Appl.

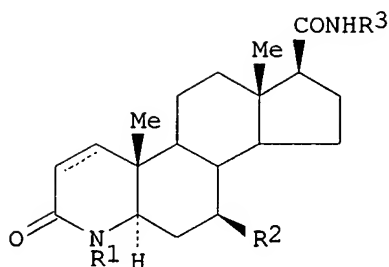
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507926	A1	19950323	WO 1994-US10479	19940916
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	TW 408127	B	20001011	TW 1994-83108128	19940903
	CA 2171329	AA	19950323	CA 1994-2171329	19940916
	AU 9477980	A1	19950403	AU 1994-77980	19940916
	AU 685167	B2	19980115		
	EP 719277	A1	19960703	EP 1994-928605	19940916
	EP 719277	B1	19971217		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1134155	A	19961023	CN 1994-193959	19940916
	CN 1041939	B	19990203		
	HU 74445	A2	19961230	HU 1996-643	19940916
	JP 09502729	T2	19970318	JP 1994-509374	19940916
	EP 783001	A1	19970709	EP 1997-200658	19940916
	EP 783001	B1	19991117		
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	ES 2110260	T3	19980201	ES 1994-928605	19940916
	IL 110979	A1	19990817	IL 1994-110979	19940916
	AT 186733	E	19991215	AT 1997-200658	19940916
	RU 2144037	C1	20000110	RU 1996-108412	19940916
	ES 2140181	T3	20000216	ES 1997-200658	19940916
	US 5817818	A	19981006	US 1996-617859	19960314
	FI 9601232	A	19960315	FI 1996-1232	19960315
	NO 9601085	A	19960422	NO 1996-1085	19960315
PRAI	US 1993-123280	A	19930917		
	US 1993-136515	A	19931012		
	EP 1994-928605	A3	19940916		
	WO 1994-US10479	W	19940916		
OS	CASREACT 123:56392; MARPAT 123:56392				
GI					



I

AB The present invention relates to title compds. I [C(1)-C(2) = single or double bond; R1, R2 = H, Me; R2 =s hydrogen or methyl; R3 = aryl, arylcycloalkyl] and pharmaceutically acceptable solvates thereof and their use in the treatment of androgen-responsive and -mediated diseases. Thus, 3-oxo-4-androstene-17.beta.-carboxylic acid was treated with RNH2 [R = 1-(4-chlorophenyl)cyclopent-1-yl] to give the carbamate, subjected to oxidative cleavage of the A-ring, recycled with NH3, and subjected to double bond redn. to give I [R1, R2 = H, R3 = R, the dotted bond is single] which had potent selective inhibiting activity for types 1 and 2 steroid 5.alpha.-reductases relative to 3.beta.-hydroxy-.DELTA.5-steroid dehydrogenase.

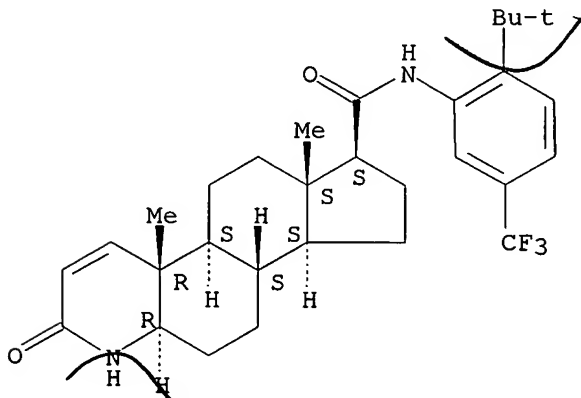
IT 164721-99-7P 164722-02-5P 164722-05-8P
164722-06-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(17.beta.-carbamoyl-4-aza-5.alpha.-androstane-3-ones as selective 5.alpha.-reductase inhibitors)

RN 164721-99-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

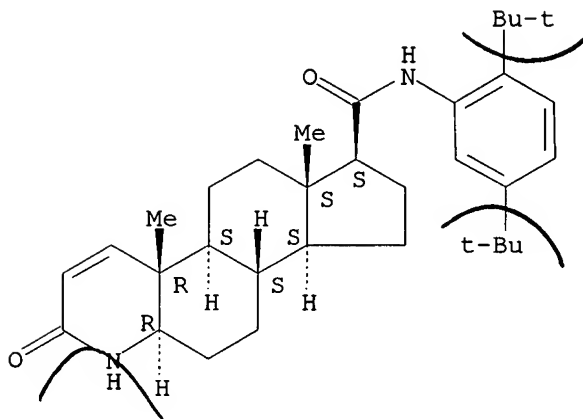


RN 164722-02-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(1,1-dimethylethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-

4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

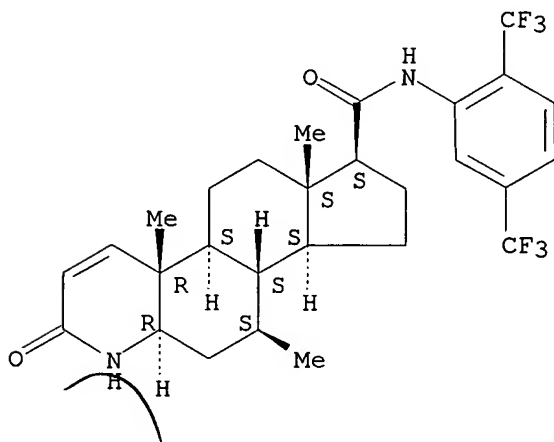
Absolute stereochemistry.



RN 164722-05-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

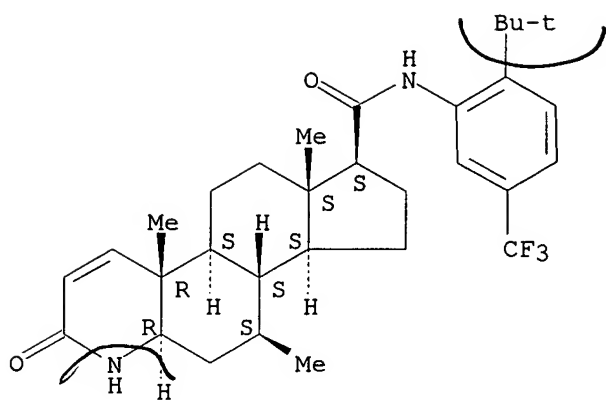
Absolute stereochemistry.



RN 164722-06-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~IN~~ 5 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2002 ACS
 AN 1995:662472 CAPLUS
 DN 123:56393
 TI Androsthenone derivative
 IN Batchelor, Kenneth William; Frye, Stephen Vernon
 PA Glaxo Inc., USA
 SO PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507927	A1	19950323	WO 1994-US10530	19940916
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9407118	A	19950526	ZA 1994-7118	19940915
	ZA 9407119	A	19950526	ZA 1994-7119	19940915
	CA 2170047	AA	19950323	CA 1994-2170047	19940916
	AU 9478751	A1	19950403	AU 1994-78751	19940916
	AU 690925	B2	19980507		
	EP 719278	A1	19960703	EP 1994-929828	19940916
	EP 719278	B1	19980114		
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	CN 1057771	B	20001025		
	HU 73850	A2	19960930	HU 1996-656	19940916
	HU 220060	B	20011028		
	JP 09502731	T2	19970318	JP 1994-509391	19940916
	JP 2904310	B2	19990614		
	EP 783001	A1	19970709	EP 1997-200658	19940916
	EP 783001	B1	19991117		
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	AT 162199	E	19980115	AT 1994-929828	19940916
	ES 2113127	T3	19980416	ES 1994-929828	19940916
	IL 110978	A1	19990126	IL 1994-110978	19940916
	RU 2140926	C1	19991110	RU 1996-108410	19940916
	CZ 286069	B6	20000112	CZ 1996-745	19940916
	PL 180002	B1	20001130	PL 1994-313492	19940916
	SK 281869	B6	20010806	SK 1996-347	19940916
	RO 117455	B1	20020329	RO 1996-537	19940916
	FI 9601231	A	19960315	FI 1996-1231	19960315
	NO 9601080	A	19960315	NO 1996-1080	19960315
PRAI	US 1993-123280	A	19930917		
	US 1993-136515	A	19931012		
	EP 1994-928605	A3	19940916		
	WO 1994-US10530	W	19940916		

OS CASREACT 123:56393

AB The present invention relates to 17.beta.-N-[2,5-bis(trifluoromethyl)phenyl]carbamoyl-4-aza-5.alpha.-androst-1-en-3-one (I), solvates thereof, its prepn., intermediates used in its prepn., pharmaceutical formulations thereof and its use in the treatment of androgen-responsive and -mediated diseases. Thus, 3-oxo-4-androstene-17.beta.-carboxylic acid was carbamoylated, subjected to oxidative

cleavage of the A-ring, cyclized with NH_3 , and reduced to give I, which is a strong selective inhibitor of testosterone 5.alpha.-reductase.

IT **164656-23-9P**

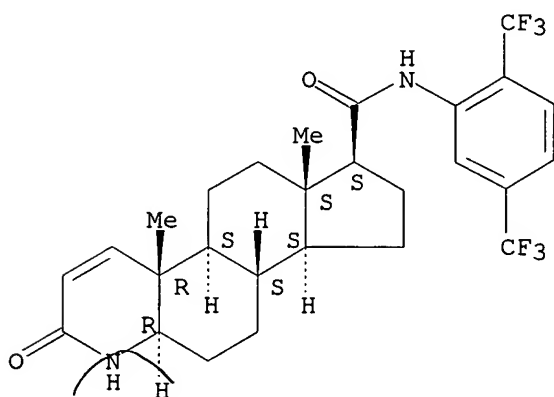
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(bis(trifluoromethyl)phenylcarbamoylazaandrostenone as testosterone reductase inhibitor)

RN 164656-23-9 CAPLUS

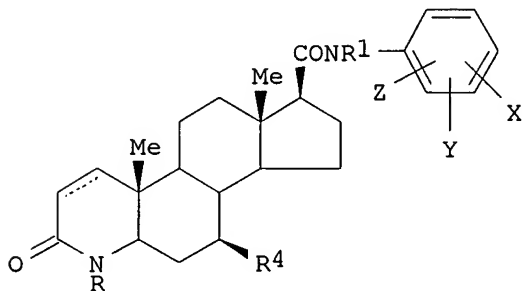
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



~~DI~~5 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2002 ACS
 AN 1994:680956 CAPLUS
 DN 121:280956
 TI Preparation of 4-aza-5.alpha.-androstan-3-one-17.beta.-carboxanilides as
 5.alpha.-reductase inhibitors
 IN Rasmusson, Gary H.; Bakshi, Raman K.; Patel, Gool F.
 PA Merck and Co., Inc., USA
 SO PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9407861	A1	19940414	WO 1993-US9585	19931006
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 663924	A1	19950726	EP 1993-923301	19931006
	EP 663924	B1	20010214		
	US 5693810	A	19971202	US 1995-406898	19950321
	LV 12721	B	20011220	LV 2001-88	20010601
PRAI	US 1992-957231	A2	19921006		
	WO 1993-US9585	W	19931006		
OS	MARPAT 121:280956				
GI					

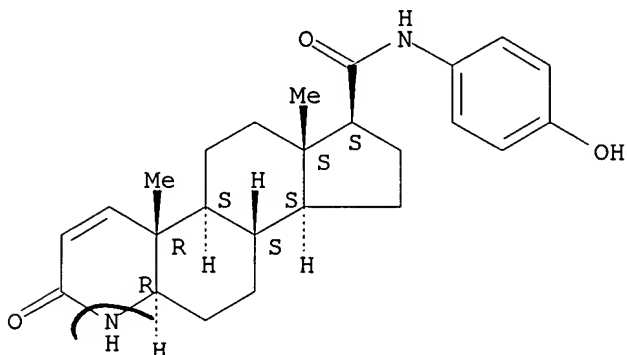


AB Title compds. I (R = H, Me, Et, R1 = H, C1-10 alkyl, Ph; X, Y, Z =H, HO, H2N, HS, C1-4 alkyl-S, HO2C,NC, C2-10 acyl, C3-8 alkyl, C3-8 cycloalkyl, C6-14 aryl, heteroaryl, heteroaroyl, etc.; R4 = O, .alpha.-H, .beta.-H, .beta.-C1-4 alkyl, C2-4 alkenyl, HO, HO2C, spiro, etc.) and a salt thereof, useful as 5.alpha.-reductase inhibitors and for treatment of hyperandrogenic conditions of acne, androgenic alopecia, female hirsutism, benign prostatic hyperplasia, prostatitis, and prostatic cancer (no data), are prepd. S-2'-pyridyl 3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-thiocarboxylate (prepn. given) and aniline were heated overnight at 130.degree. to give I (R = R1 = R4 = X = Y = Z = H).
 IT 158522-86-2 158522-87-3 158522-88-4
 158522-89-5 158522-90-8 158522-91-9
 158522-95-3 158522-98-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (for 5.alpha.-reductase inhibition)
 RN 158522-86-2 CAPLUS

10/020,740

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

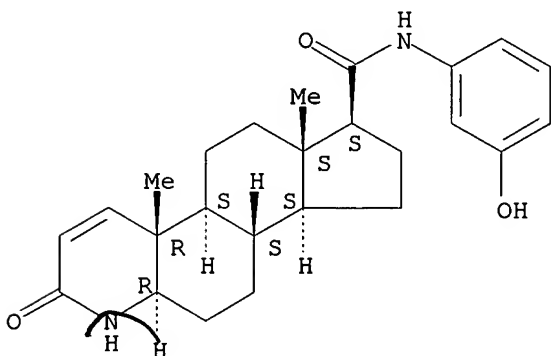
Absolute stereochemistry.



RN 158522-87-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

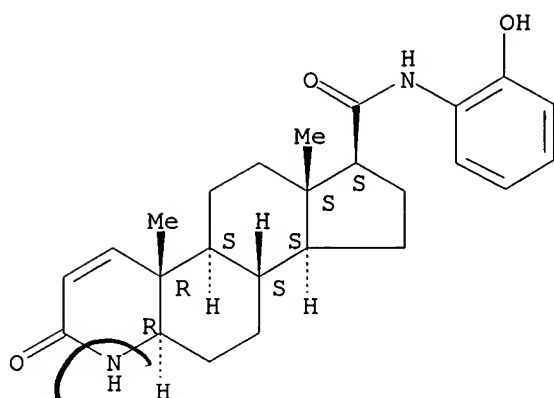
Absolute stereochemistry.



RN 158522-88-4 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

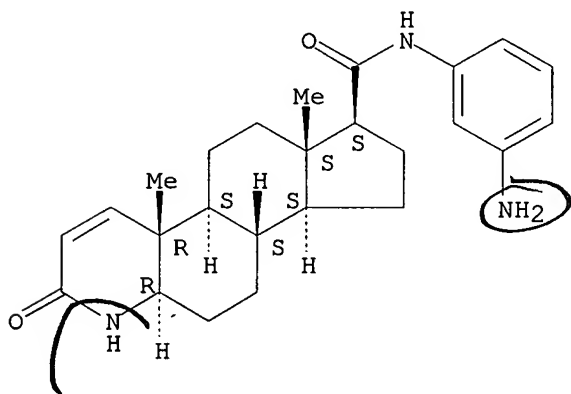
Absolute stereochemistry.



RN 158522-89-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

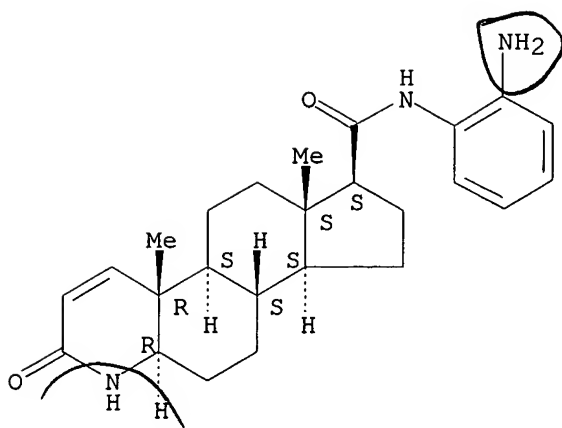
Absolute stereochemistry.



RN 158522-90-8 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

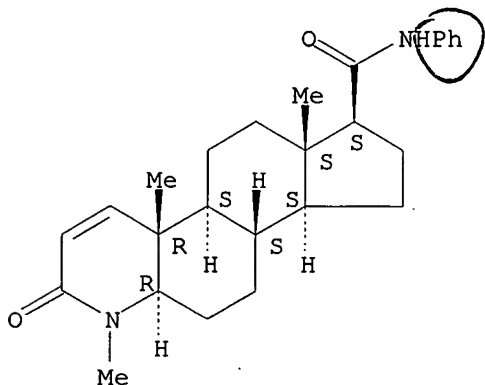
Absolute stereochemistry.



RN 158522-91-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

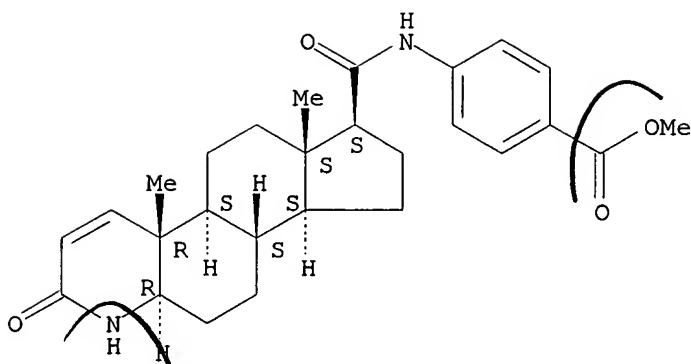
Absolute stereochemistry.



RN 158522-95-3 CAPLUS

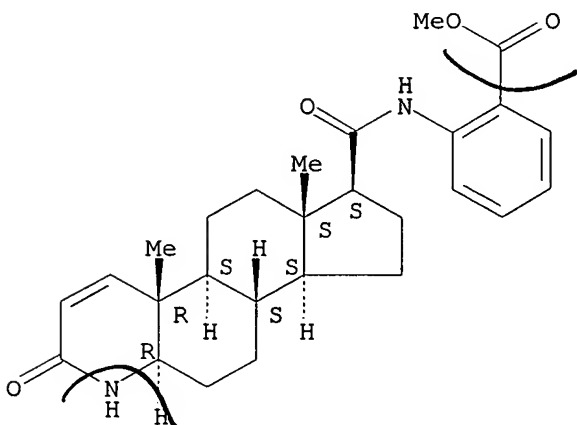
CN Benzoic acid, 4-[[[(2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl)carbonyl]amino]-, methyl ester, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



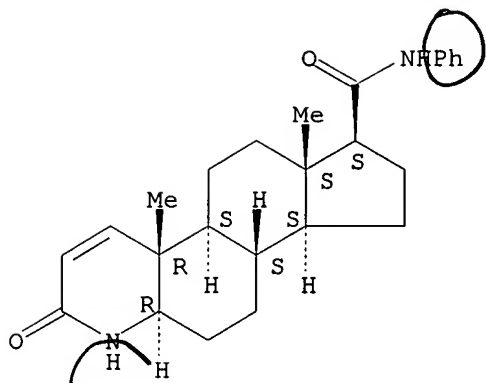
RN 158522-98-6 CAPLUS
 CN Benzoic acid, 2-[[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 158522-79-3P 158522-80-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as 5.alpha.-reductase inhibitor)
 RN 158522-79-3 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

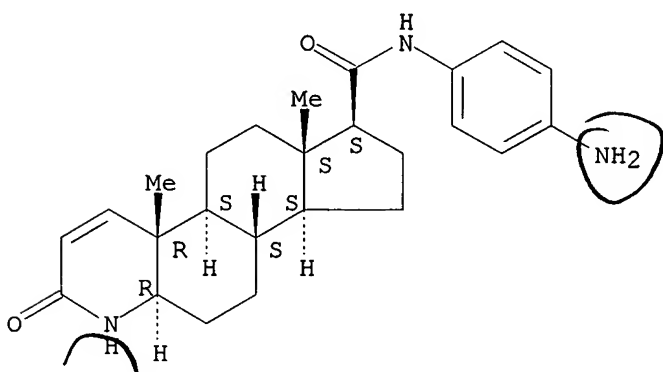
Absolute stereochemistry.



RN 158522-80-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



115 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2002 ACS

AN 1993:539600 CAPLUS

DN 119:139600

TI Preparation and formulation of 3-oxo-4-aza-5.alpha.-androst(-1-ene)-17.beta.-carboxamides and analogs as testosterone 5.alpha.-reductase inhibitors

IN Biollaz, Michel

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 15 pp.

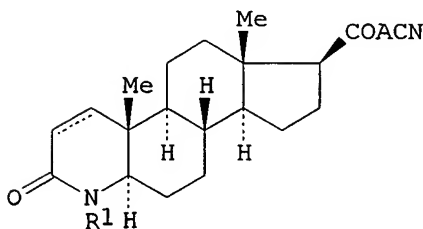
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 538192	A1	19930421	EP 1992-810766	19921008
	EP 538192	B1	19970423		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5304562	A	19940419	US 1992-954081	19920930
	IL 103361	A1	19970610	IL 1992-103361	19921005
	CA 2080054	AA	19930410	CA 1992-2080054	19921007
	AU 9226261	A1	19930422	AU 1992-26261	19921007
	AU 657579	B2	19950316		
	NO 9203911	A	19930413	NO 1992-3911	19921008
	ZA 9207747	A	19930413	ZA 1992-7747	19921008
	HU 62600	A2	19930528	HU 1992-3189	19921008
	AT 152121	E	19970515	AT 1992-810766	19921008
	ES 2101073	T3	19970701	ES 1992-810766	19921008
	JP 05213989	A2	19930824	JP 1992-271226	19921009
	US 5378710	A	19950103	US 1993-132399	19931006
PRAI	CH 1991-2978		19911009		
	US 1992-954081		19920930		
OS	MARPAT 119:139600				
GI					



AB Title compds. [I; A = NR₂X, NR₂YZ, OX, OYZ; R₁ = H, Me, Et; R₂ = H, alkyl; X = C1-2 alkylene, C3-6 cycloalkylidene; Y = bond, C1-6 alkylene; Z = (substituted) phenylene; dashed line = optional bond] were prepd. as testosterone 5.alpha.-reductase inhibitors (no data). Thus, 3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxylic acid was converted to the acid chloride which was condensed with 4-(H₂N)C₆H₄CN to give N-(4-cyanophenyl)-3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxamide.

IT **149281-18-5P 149281-27-6P 149281-30-1P**

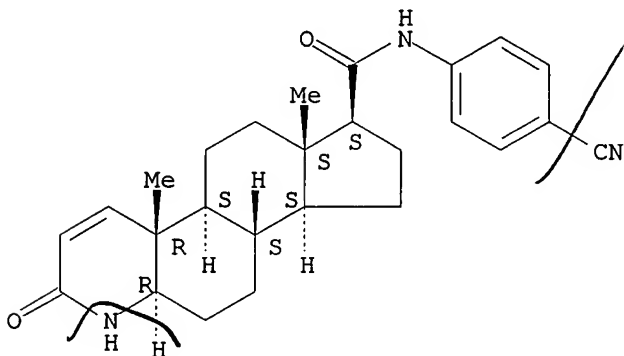
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as testosterone reductase inhibitor)

RN 149281-18-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-cyanophenyl)-
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
 (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

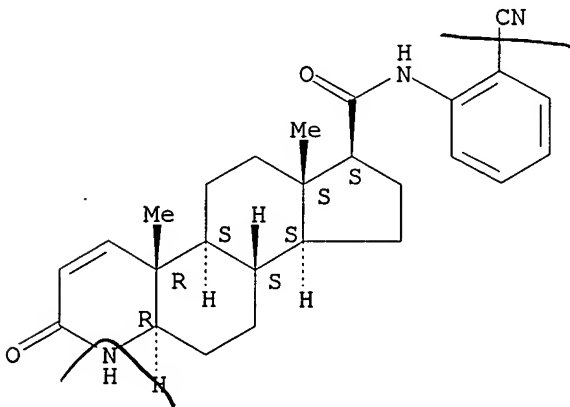
Absolute stereochemistry.



RN 149281-27-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-cyanophenyl)-
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
 (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149281-30-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-cyanophenyl)-
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
 (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/020,740

